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NEWS 7	MAY 19	Derwent World Patents Index to be reloaded and enhanced
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NEWS 9	MAY 30	The F-Term thesaurus is now available in CA/CAplus
NEWS 10	JUN 02	The first reclassification of IPC codes now complete in INPADOC
NEWS 11	JUN 26	TULSA/TULSA2 reloaded and enhanced with new search and and display fields
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NEWS EXPRESS JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.

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NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8
NEWS X25	X.25 communication option no longer available

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FILE 'HOME' ENTERED AT 13:50:33 ON 06 JUL 2006

=> file reg
COST IN U.S. DOLLARS SINCE FILE TOTAL

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DICTIONARY FILE UPDATES:    5 JUL 2006  HIGHEST RN 890705-10-9

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L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


=> s l1 sss sam
SAMPLE SEARCH INITIATED 13:51:05 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     2168 TO ITERATE

 92.3% PROCESSED     2000 ITERATIONS                                3 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        40567 TO    46153
PROJECTED ANSWERS:               3 TO      173

L2            3 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 13:51:12 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    43347 TO ITERATE

100.0% PROCESSED    43347 ITERATIONS                              104 ANSWERS
```

SEARCH TIME: 00.00.02

L3 104 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY 166.94 TOTAL SESSION 167.15

FULL ESTIMATED COST

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FILE LAST UPDATED: 5 Jul 2006 (20060705/ED)

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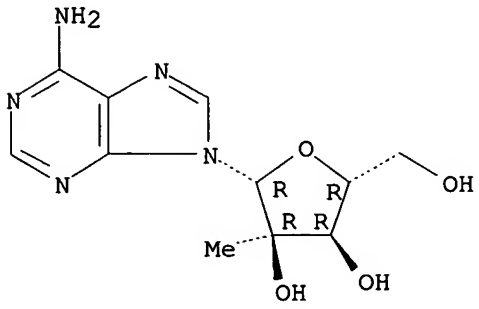
=> s l3
L4 55 L3

=> d bib abs hitstr 45-55 l4

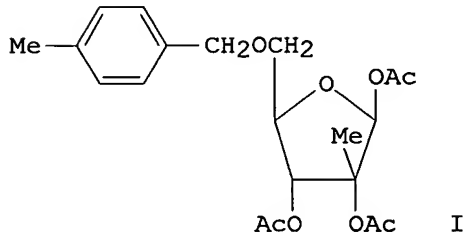
L4 ANSWER 45 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1989:71643 CAPLUS
DN 110:71643
TI Substrate specificity of adenosine deaminase: role of methyl groups at 2',3'- and 5'-positions of adenosine
AU Kalinichenko, E. N.; Beigel'man, L. N.; Mikhailov, S. N.; Mikhailopulo, I. A.
CS Inst. Bioorg. Chem., Minsk, USSR
SO Bioorganicheskaya Khimiya (1988), 14(9), 1157-61
CODEN: BIKHD7; ISSN: 0132-3423
DT Journal
LA Russian
AB The substrate specificity of adenosine deaminase was studied using C'-Me derivs. of adenosine. On the basis of the correlation revealed between conformations of 2'- and 3'-C-methyladenosine and their substrate properties, a modified stereochem. model is suggested: the enzyme accepts the substrate within a N-type conformational range (4E↔4T3↔3E) of the furanose ring. The model was analyzed in detail using a number of C3'-modified adenosines and 5'-C-methyladenosine analogs with D-allo- and L-talo-configuration.
IT 15397-12-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with adenosine deaminase, kinetics of)
RN 15397-12-3 CAPLUS

CN Adenosine, 2'-C-methyl- (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



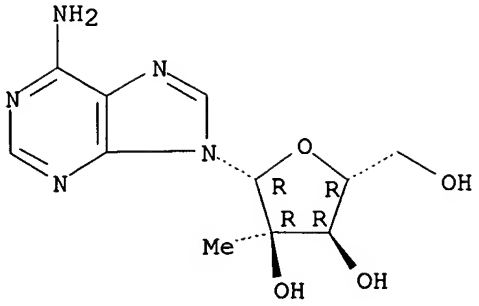
L4 ANSWER 46 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1988:204993 CAPLUS
DN 108:204993
TI New syntheses of 2'-C-methyl nucleosides starting from D-glucose and D-ribose
AU Beigelman, L. N.; Ermolinskii, B. S.; Gurskaya, G. V.; Tsapkina, E. N.; Karpeiskii, M. Ya.; Mikhailov, S. N.
CS Inst. Mol. Biol., Moscow, USSR
SO Carbohydrate Research (1987), 166(2), 219-32
CODEN: CRBRAT; ISSN: 0008-6215
DT Journal
LA English
OS CASREACT 108:204993
GI



I

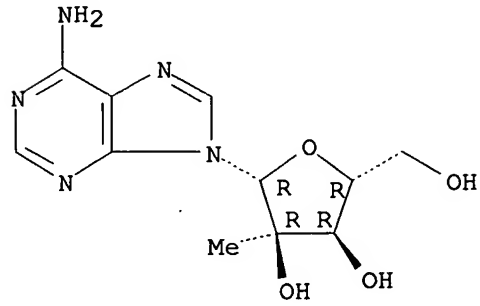
AB Effective general methods have been developed for the synthesis of 2'-C-methylnucleosides from D-glucose and D-ribose. 3-O-Benzyl-1,2-O-isopropylidene-3-C-methyl-O-D-allofuranose was prepared in 5 steps from D-glucose and converted into 1,2,3-dtri-O-acetyl-2-C-methyl-5-O-p-methylbenzoyl-D-ribofuranose (I). I was also synthesized from 2-C-hydroxymethyl-2,3-O-isopropylidene-5-O-trityl-D-ribofuranose, prepared in 3 steps from D-ribose. Condensation of I with the bis(trimethylsilyl) derivs. of uracil, N4-benzoylcytosine, and N6-benzoyladenine in the presence of F3CSO3SiMe3 followed by removal of the protecting acyl groups yielded the 2'-C-methylnucleosides.
IT 15397-12-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 15397-12-3 CAPLUS
CN Adenosine, 2'-C-methyl- (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 47 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1988:146857 CAPLUS
DN 108:146857
TI 2'-, 3'- And 5'-C-Methyl derivatives of uridine in the reaction of microbiological transglycosylation
AU Zinchenko, A. I.; Barai, V. N.; Eroshevskaya, L. A.; Beigel'man, L. N.; Mikhailov, S. N.; Karpeiskii, M. Ya.; Mikhailopulo, I. A.
CS Inst. Mikrobiol., Moscow, USSR
SO Doklady Akademii Nauk SSSR (1987), 297(3), 731-4 [Biochem.]
CODEN: DANKAS; ISSN: 0002-3264
DT Journal
LA Russian
AB Transglycosylation of uridine and its Me derivs. was carried out by cell suspensions of Escherichia coli. The reaction was catalyzed by uridine phosphorylase and purine nucleoside phosphorylase which were detected in cell-free exts. The products (adenine nucleosides) from uridine, uracil alloside, and uracil taloside were obtained at a yield of 100, 42, and .2%, resp. 2'-C-Methyluridine and 3-C-methyluridine did not undergo any transglycosylation, suggesting that the 5'-C-Me group is crucial for the reaction to take place.
IT 15397-12-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, by microbial transglycosylation of methyluridine)
RN 15397-12-3 CAPLUS
CN Adenosine, 2'-C-methyl- (8CI, 9CI) (CA INDEX NAME)

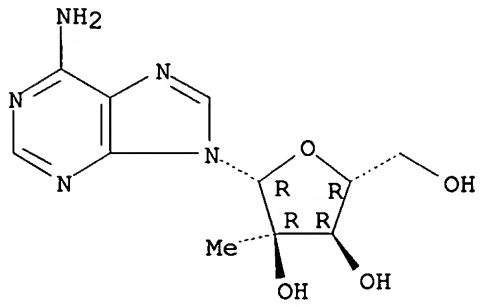
Absolute stereochemistry.



L4 ANSWER 48 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1980:560970 CAPLUS
DN 93:160970
TI Adenosine receptor activation in human fibroblasts: nucleoside agonists and antagonists

AU Bruns, Robert F.
CS Dep. Neurosci., Univ. California, La Jolla, CA, 92093, USA
SO Canadian Journal of Physiology and Pharmacology (1980), 58(6), 673-91
CODEN: CJPPA3; ISSN: 0008-4212
DT Journal
LA English
AB Adenosine [58-61-7] (ED50 15 μM) causes a 50-fold increase in intracellular cyclic AMP in the VA13 human fibroblast line. A total of 128 nucleosides was tested as agonists and antagonists. Eight classes of compds. were found: full agonists (14 compds.), weak agonists (20), high-efficacy partial agonists (16), low-efficacy partial agonists (7), competitive inhibitors (11), noncompetitive inhibitors (3), partial agonist - noncompetitive inhibitors (3), and inactive compds. (54). The noncompetitive inhibitors antagonized the responses to adenosine, isoproterenol, and prostaglandin E1 and thus may have been adenylate cyclase inhibitors. The most potent noncompetitive inhibitor, 2',5'-dideoxyadenosine [6698-26-6] was a partial inhibitor, reducing the response to isoproterenol by only 77% even at very high concns. The most potent agonists, partial agonists, and pure antagonists had apparent affinities of about 5 μM. Although all positions were important for affinity at the adenosine receptor, only the 3'- and 5'-positions and to a much lesser extent the 6- and 8-positions had an effect on efficacy. The receptor tolerated bulky groups at the 6-position of adenosine, had an Et-sized pocket near the 5'-position, and had little bulk tolerance towards modifications at other positions. Among the full agonists, only one 5'-derivative and one 2-position derivative had higher apparent affinity than adenosine. Studies with conformationally restricted agonists and antagonists showed that adenosine must be in the anti conformation in order to bind to the receptor.
IT 15397-12-3
RL: BIOL (Biological study)
(adenosine receptor response to, structure in relation to)
RN 15397-12-3 CAPLUS
CN Adenosine, 2'-C-methyl- (8CI, 9CI) (CA INDEX NAME)

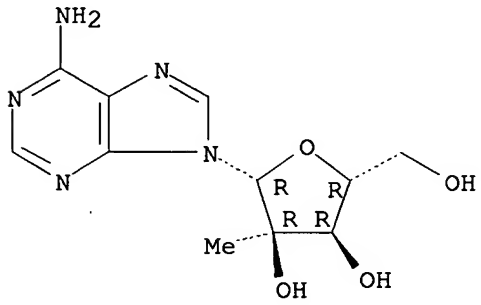
Absolute stereochemistry.



L4 ANSWER 49 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1973:30124 CAPLUS
DN 78:30124
TI Solvolysis of adenine nucleosides. I. Effects of sugars and adenine substituents on acid solvolyses
AU Garrett, Edward R.; Mehta, P. J.
CS Coll. Pharm., Univ. Florida, Gainesville, FL, USA
SO Journal of the American Chemical Society (1972), 94(24), 8532-41
CODEN: JACSAT; ISSN: 0002-7863
DT Journal

LA English
AB The acidic solvolyses of 2',3'-dideoxyadenosine > 2'-deoxyadenosine > 9-β-D-psicofuranosyladenine » 3'-deoxyadenosine > 8-bromoadenosine > 9-β-D-arabinofuranosyladenine .apprx. 2-chloroadenosine .apprx. N6-methyladenosine .gtorsim. adenosine .apprx. 2-methyladenosine > 1-methyladenosine .apprx. N6,N6-dimethyladenosine .gtorsim. 9-β-D-xylofuranosyladenine > 8-methoxyadenosine .apprx. 2'-C-methyladenosine gave the resp. sugar and stable adenine moiety except in the case of where the resultant 1-methyladenine was more slowly transformed into 5-aminoimidazole-4-N'-methylcarboxamidine. The ranking of relative activities are given above for 80° in 0.10M HCl. Only specific acid catalyzed solvolyses of the protonated and non-protonated species were observed and there was no maximum in solvolysis rate in the low pH region, supporting the argument against a Schiff base intermediate subsequent to ethereal oxygen attack. The probability of an A-1 mechanism for solvolyses of diprotonated adenine nucleosides with protons on the nitrogens in the 1 and 7 positions was favored by the fact that the entropies of activation, ΔS.++., were close to zero. Although the inductive effect of the 2'-OH inhibited acid solvolysis, a less significant increase in reactivity was introduced by the substitution of a hydrogen for the 3'-OH. The effects of substituents on the pyrimidine ring lead to only minor effects in reactivity whereas substitution of Br or MeO on C-8 of the imidazole portion has a more pronounced effect. The 1-methyladenosine cation solvolyzes in acid at about the same rate as the adenosine cation strongly suggesting that it is the 1-protonated form of the latter that reacts with a second proton to result in a solvolyzing dication.
IT 15397-12-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(solvolysis of)
RN 15397-12-3 CAPLUS
CN Adenosine, 2'-C-methyl- (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 50 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1971:23087 CAPLUS
DN 74:23087
TI Nucleosides of branched-chain nitromethyl, cyanomethyl, and aminomethyl sugars
AU Rosenthal, Alex; Sprinzl, Matej; Baker, Donald A.
CS Dep. Chem., Univ. British Columbia, Vancouver, BC, Can.
SO Tetrahedron Letters (1970), (48), 4233-5
CODEN: TELEAY; ISSN: 0040-4039
DT Journal
LA English
GI For diagram(s), see printed CA Issue.
AB The oxidation of 9-(3,5-O-isopropylidene-β-D-xylofuranosyl)adenine with

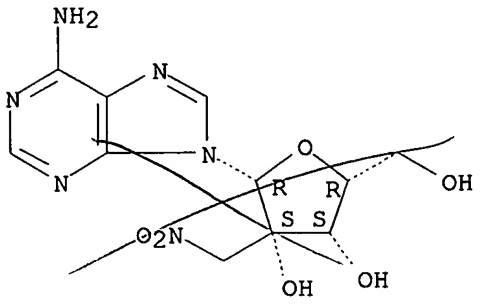
RuO4 gave the 2'-oxo-derivative, which, upon treatment with MeNO2 and NaOMe gave I. Reduction of I with Pd gave 9-(2-C-acetamidomethyl-3,5-O-isopropylidene-β-D-lyxofuranosyl)adenine. The condensation of 1,2:5,6-di-O-isopropylidene-α-D-ribo-hexofuranos-3-ulose with di-Et cyanomethylphosphonate in the presence of NaH, followed by hydrogenation over Pd, gave II. Selective hydrolysis of II followed by benzoylation, hydrolysis of the 1,2-O-isopropylidene group and acetylation gave III. Frsion of III with 6-chloropurine gave 6-chloro-9-(2-O-acetyl-5,6-di-O-benzoyl-3,C-cyanomethyl-3-deoxy-β-D-allofuranosyl)purine which upon treatment with Me2NH gave 6-dimethylamino-9-(3-C-cyanomethyl-3-deoxy-β-D-allofuranosyl)purine.

IT 30737-89-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 30737-89-4 CAPLUS

CN Adenine, 9-[2-C-(nitromethyl)-β-D-lyxofuranosyl]- (8CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 51 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1970:404136 CAPLUS

DN 73:4136

TI Mass spectrometry of nucleic acid components. Analogs of adenosine

AU Shaw, Stanley James; Desiderio, Dominic M.; Tsuboyama, Kaoru; McCloskey, James A.

CS Inst. for Lipid Res., Baylor Coll. of Med., Houston, TX, USA

SO Journal of the American Chemical Society (1970), 92(8), 2510-22
CODEN: JACSAT; ISSN: 0002-7863

DT Journal

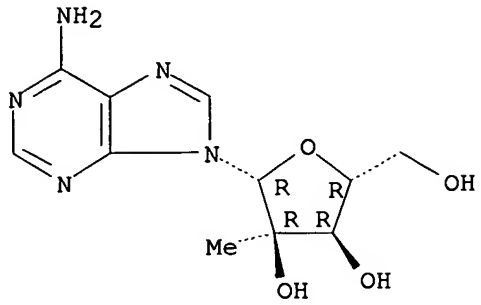
LA English

AB The mass spectra of adenosine and 32 of its analogs were studied in detail. Principal fragmentation pathways for structurally significant ions were determined and decomposition mechanisms postulated, based on metastable transitions, deuterium and substituent labels, and high-resolution mass spectra. The major ions M -30, base +44, and base +30 are proposed to arise from initial transfer of sugar hydroxyl hydrogens to the charge-localized purine base. Methylation at N6 is characterized by elimination of MeN6 with rearrangement of either H or a Me group as previously reported for the corresponding bases. 2'-O-Methylation leads to a unique sugar fragment resulting from elimination of the base plus a 3'- or 5'-hydroxyl H. Anomers are readily distinguished by their mass spectra, but steric orientation of sugar hydroxyls cannot be determined directly. However the abundance of the M - 30 ion was found to depend strongly on the steric accessibility of C-5' to the base.

IT 15397-12-3
RL: PRP (Properties)

(mass spectrum of)
RN 15397-12-3 CAPLUS
CN Adenosine, 2'-C-methyl- (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 52 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1969:502192 CAPLUS
DN 71:102192
TI Substituted purine nucleosides
IN Walton, Edward
PA Merck and Co., Inc.
SO Fr., 11 pp.
CODEN: FRXXAK
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 1521076		19680412	FR 1967-104388	19670427
	DE 1593110			DE	
	DE 1620053			DE	
	DE 1695411			DE	
	DE 1768470			DE	
	DE 1770700			DE	
	GB 1163102			GB	
	GB 1187824			GB	
	GB 1187825			GB	
	US 3480613		19691125	US	19670703
PRAI	US		19660502		

AB The title compds. (I), which were useful in preparing nucleotides for the study of nucleic acid metabolism were prepared by treating 2,6-substituted chloromercuri-purines with 2,3,5,-tri-O-acyl-2-methyl-D-ribofuranosyl halides to give 2,6-substituted 9-(2,3,5,-tri-O-acyl-2-C-methyl-D-ribofuranosyl) purines which were solvolyzed, aminolyzed, or mercaptolyzed. Thus, a solution of 5 g. 2-C-methyl-D-ribono-1,4-lactone in 100 cc. dry pyridine at 5° was treated with 17 cc.BzCl, heated 65-70° 4 hrs., and kept at room temperature 6 hrs. to give 60% 2,3,5-tri-O-benzoyl-2-C-methyl-D-ribono-1,4-lactone (II) m. 138-40°. A solution 7 g. II in 30 cc. dry tetrahydrofuran under N was cooled and treated with 58.8 cc. M di-sec-isoamyl-borane, kept at room temperature 16 hrs., 6 cc. H2O added, refluxed 0.5 hr., and at 5°, 11.5 cc. H2O2 added keeping the pH 7-8 by the addition of about 7 cc. 3N Na2CO3 to give 37% 2,3,5-tri-O-benzoyl-2-C-methyl-(α and β)-D-ribofuranose (III) purified by chromatog. on silica gel. A solution 4.2 g. III (containing a small amount of 3,5-di-O-benzoyl-2-C-methyl-(α,β)-D-ribofuranose) in 80 cc. dry pyridine was treated with 8.0 cc. BzCl and heated at 90° for 4 hrs. to give 42% 1,2,3,5-tetra-O-benzoyl-2-C-

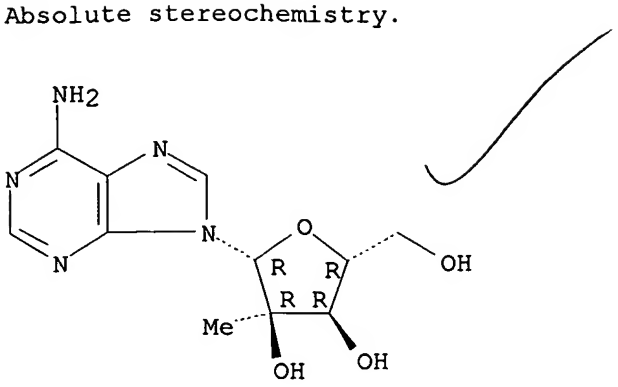
methyl-β-D-ribofuranose (IV), m. 155-6°, and 57% 1,2,3,5-tetra-O-benzoyl-2-C-methyl-α-D-ribofuranose (V) as an oil. to 100 cc. of a saturated HCl Et2O solution was added 2 cc. AcCl and 1.5 g. IV and the mixture kept at room temperature 2 hrs. to give 2,3,5-tri-O-benzoyl-2-C-methyl-β-D-ribofuranosyl chloride (VI). A solution of 1.5 g. V in 7.5 cc. AcOH was treated with a solution of 0.25 cc. AcBr and 7.5 cc. 32% HBr in AcOH and the mixture kept at 25° 24 hrs. to give 2,3,5-tri-O-benzoyl-2-C-methyl-β-D-ribofuranosyl bromide. From a suspension of 5.95 g. 2-acetamido-9-chloromercuri-6-hydroxypurine in 175 cc. xylene about 25 cc. of xylene was distilled to remove traces of H2O, the VI prepared from 8.1 g. IV in 25 cc. dry xylene was added, and the mixture stirred at 50-100° and refluxed 1 hr. to give 2-acetamido-9-(2,3,5-tri-O-benzoyl-2-methyl-D-ribofuranosyl)-6-hydroxypurine (VII). Similarly prepared were: 6-N-methyl-9-(2,3,5-tri-O-benzoyl-C-methyl-D-ribofuranosyl)benzamidopurine (VIII); 6-chloro-9-(2,3,5-tri-O-benzoyl-2-C-methyl-D-ribofuranosyl)purine (IX); 2,6-dibenzamido-9-(2,3,5-tri-O-benzoyl-2-C-methyl-D-ribofuranosyl)purine (X); 6-methyl-9-(2,3,5-tri-O-benzoyl-2-C-methyl-D-ribofuranosyl)purine (XI); 6-benzamido-9-(2,3,5-tri-O-benzoyl-2-C-methyl-D-ribofuranosyl)purine (XII). A suspension of 1.0 g. IX in 25 cc. MeOH containing 6.5 g. Me2NH was heated 10 hrs. in a sealed tube at 100° and concentrated in vacuo and the residue dissolved in 25 cc. H2O, washed with C6H6, and treated with 2 g. Dowex II-X8 strongly basic anion-exchange resin to give I (R1 = Me2N, R = H). A mixture of 1.2 g. X in 12 cc. dry MeOH was treated with 97 mg. Na in 12 cc. MeOH and refluxed 3 hrs. to give I (R = R1 = NH2). A suspension of 1.25 g. IX and 307 mg. thiourea in 3 cc. EtOH was refluxed 40 min. to give 9-(2,3,5-tri-O-benzoyl-2-C-methyl-D-ribofuranosyl)purine-6-thiol, (XIII). A suspension of 400 mg. XIII in 3.5 cc. MeOH was treated with a solution prepared from 19.5 mg. Na in 3.5 cc. dry MeOH and the mixture refluxed 3 hrs. to give I (R = H, R1 = SH). A mixture 1 g. IX, 8 g. MeNH2, and 25 g. MeOH was heated at 100° 10 hrs. in a sealed tube to give I(R = H, R1 = NHMe). A solution of 1 g. IX in 17 cc. dioxane, 80 mg. MgO, and 0.5 g. of 5% Pd on C was shaken 98 hrs. in a H atmospheric at 25° to give 9-(2,3,5-tri-O-benzoyl-2-C-methyl-D-ribofuranosyl)purine (XIV). A solution 400 mg. XIV in 8 cc. dry MeOH was treated with a solution of 23 mg. Na in 8 cc. dry MeOH and refluxed 3 hrs. to give I(R = R1 = H). A suspension of 800 mg. VII in 8 cc. anhydrous MeOH was treated with a solution of 105 mg. Na in 8 cc. dry MeOH and the mixture refluxed 2 hrs. to give 9-(2-C-methyl-D-ribofuranosyl)guanine. A solution of 479 mg. IX in 20 cc. MeOH containing 2 g. NH3 was kept at 5° 20 hrs. to give I(R = H, R1 = Cl). A suspension of 3.9 g. VIII in 40 cc. dry MeOH was treated with a solution prepared from 175 mg. Na in 40 cc. dry MeOH, and the mixture refluxed 3.5 hrs. to give I(R = H, R1 = MeNH). A solution of 2.0 g. IX in 30 cc. EtOH containing 12 cc. EtNH2 was heated in a sealed tube at 100° for 10 hrs. to give I(R = H, R1 = NHEt). A solution of 605 mg. IX in 30 cc. dry MeOH was treated with a solution prepared by saturating 20 cc. of a 0.1N NaOMe solution with MeSH, and the mixture refluxed 30 min. to give I(R = H, R1 = SMe). A mixture of 590 mg. XI and 50 cc. dry MeOH was treated with a solution prepared from 23 mg. Na and 10 cc. dry MeOH, and the mixture refluxed 4 hrs. to give I(R = H, R1 = Me). A mixture 1.48 g. XII and 15 cc. MeOH was treated with a solution prepared from 70 mg. Na and 5 cc. MeOH, and the mixture refluxed 45 min. to give 59% 2'-C-methyladenosine.

IT 15397-12-3P 25899-60-9P 25899-63-2P
25899-67-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 15397-12-3 CAPLUS

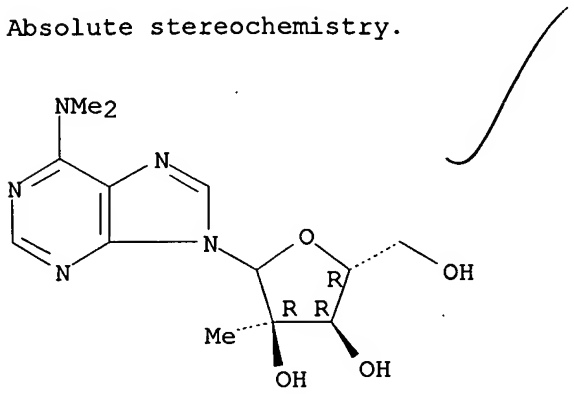
CN Adenosine, 2'-C-methyl- (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



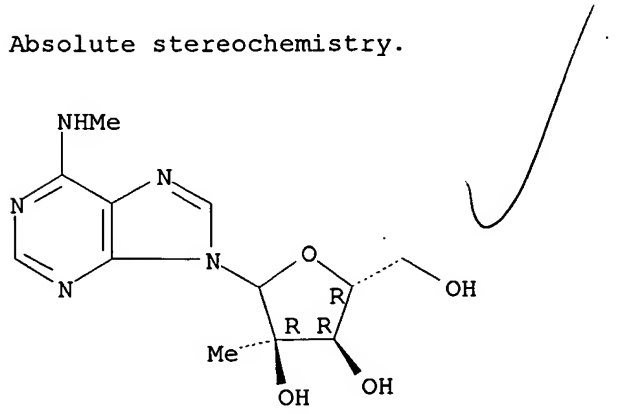
RN 25899-60-9 CAPLUS
CN Adenine, N,N-dimethyl-9-(2-C-methyl-D-ribofuranosyl)- (8CI) (CA INDEX NAME)

Absolute stereochemistry.



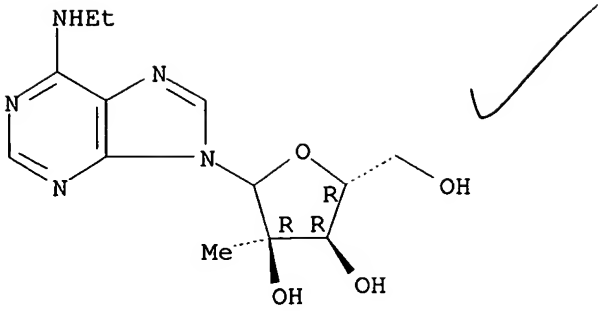
RN 25899-63-2 CAPLUS
CN Adenine, N-methyl-9-(2-C-methyl-D-ribofuranosyl)- (8CI) (CA INDEX NAME)

Absolute stereochemistry.



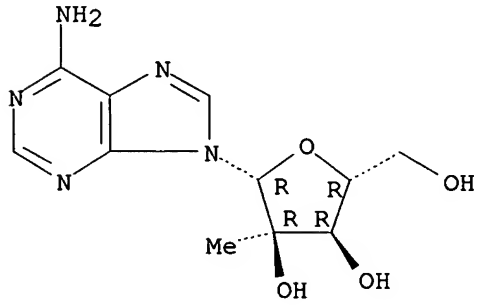
RN 25899-67-6 CAPLUS
CN Adenine, N-ethyl-9-(2-C-methyl-D-ribofuranosyl)- (8CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 53 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1969:403610 CAPLUS
DN 71:3610
TI Circular dichroism of nucleoside derivatives. VI. Optically active bands of adenine nucleoside derivatives
AU Miles, Daniel W.; Robins, Morris J.; Robins, Roland K.; Eyring, Henry
CS Univ. of Utah, Salt Lake City, UT, USA
SO Proceedings of the National Academy of Sciences of the United States of America (1969), 62(1), 22-9
CODEN: PNASA6; ISSN: 0027-8424
DT Journal
LA English
AB Structures and circular dichroism (CD) curves with several characteristic absorption curves of 17 purine nucleoside derivs. are presented. The CD data show that the 260 and 207 mμ absorption systems of the adenine chromophore contain at least 2 electronic transitions. The CD maxima, at 260, 240, 220, and 200 mμ, seem related in the 5 major base constituents of nucleic acids and derivs. The optically active transitions at these wavelengths are discussed. Solvent studies other than H2O at pH 7, including EtOH and methylcyclohexane, suggest the CD bands arise from π-π* transitions. A weak absorption band, with little rotatory power, that obeys the criteria of an n-π* band is resolved near 290 mμ in hydrocarbon solvents.
IT 15397-12-3
RL: PRP (Properties)
(circular dichroism of)
RN 15397-12-3 CAPLUS
CN Adenosine, 2'-C-methyl- (8CI, 9CI) (CA INDEX NAME)

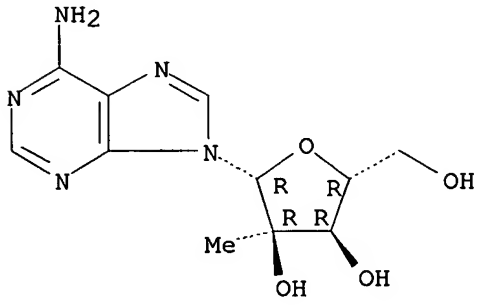
Absolute stereochemistry.



L4 ANSWER 54 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1968:436399 CAPLUS
DN 69:36399

TI Branched-chain sugar nucleoside. IV. 2'-Methyladenosine
AU Jenkins, Susan R.; Arison, Byron; Walton, Edward
CS Merck Sharp and Dohme Res. Lab., Rahway, NJ, USA
SO Journal of Organic Chemistry (1968), 33(6), 2490-4
CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA English
GI For diagram(s), see printed CA Issue.
AB The synthesis of 2'-C-methyladenosine (I) is described. The required derivative of the previously unknown 2-C-methyl-D-ribofuranose was prepared starting with 2-C-methyl-D-ribono-1,4-lactone (II). II was completely benzoylated and the Bz derivative reduced with bis-(3-methyl-2-butyl)borane which produced a mixture of 2,3,5-tri-O-benzoyl-2-C-methyl-α(and β)-D-ribofuranose and 3,5-di-O-benzoyl-2-C-methyl-α(and β)-D-ribofuranose. This mixture was benzoylated to give a mixture of α and β tetrabenzoates which was converted into 2,3,5-tri-O-benzoyl-2-C-methyl-β-D-ribofuranosyl chloride (III). III reacted with chloromercuri-6-benzamidopurine to give the completely acylated nucleoside. Catalytic removal of the Bz blocking groups with NaOMe in MeOH led to the isolation of cryst.I. From N.M.R. spectral measurements and consideration of steric interactions, it is suggested that I exists in a 2'-oxo-3'-endo (T23) conformation and is, therefore, conformationally unrelated to adenosine. 18 references.
IT 15397-12-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 15397-12-3 CAPLUS
CN Adenosine, 2'-C-methyl- (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 55 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1967:95342 CAPLUS
DN 66:95342
TI Branched-chain sugar nucleosides. New type of biological active nucleoside
AU Walton, Edward; Jenkins, Susan R.; Nutt, Ruth F.; Zimmerman, Morris; Holly, Frederick W.
CS Merck Sharp und Dohme Res. Labs., Rahway, NJ, USA
SO Journal of the American Chemical Society (1966), 88(19), 4524-5
CODEN: JACSAT; ISSN: 0002-7863
DT Journal
LA English
AB 2'-C-Methyladenosine (I) and 3'-C-methyladenosine (II), the first nucleosides of branched-chain sugars, were prepared in a search for analogs resistant to adenosine deaminase (LaPage and Junga, CA 62, 4429c). I was deaminated at a rate only 1/25th that of adenosine and II was not affected. At a concentration of 10 μg./ml. they had 65 to 80% inhibitory

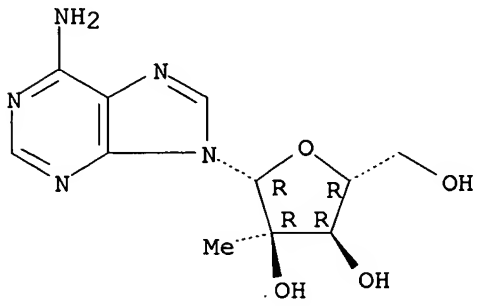
effect on KB cells in culture (Gitterman, et al., CA 63, 10496h). I was synthesized from 2,3,5-tri-O-benzoyl-α-D-glucosaccharinic acid lactone through reduction with bis(3-methyl-2-butyl)borane to 2,3,5-tri-O-benzoyl-2-C-methyl-α(and β)-D-ribofuranose and benzoylation to the tetrabenzoate which was resolved by chromatography into a solid (III) (presumably β) and a sirup (IV) (presumably α). III and IV were converted into the same chloro derivative (V) by ethereal HCl, conversion of III being more rapid, probably because of an anchimeric effect. V reacted with chloromercuri-6-benzamidopurine to give amorphous 9-(2,3,5-tri-O-benzoyl-2-C-methyl-β - D - ribofuranosyl) - 6 - benzamidopurine, which was purified on silica gel and was converted by NaOMe into I.

IT 15397-12-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 15397-12-3 CAPLUS

CN Adenosine, 2'-C-methyl- (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



10/530,627

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L10           1 WO 200362256/PN
                (WO2003062256/PN)

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E1 THROUGH E39 ASSIGNED

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FULL ESTIMATED COST                                       9.72     403.22

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                         ENTRY    SESSION
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DICTIONARY FILE UPDATES:   5 JUL 2006  HIGHEST RN 890705-10-9

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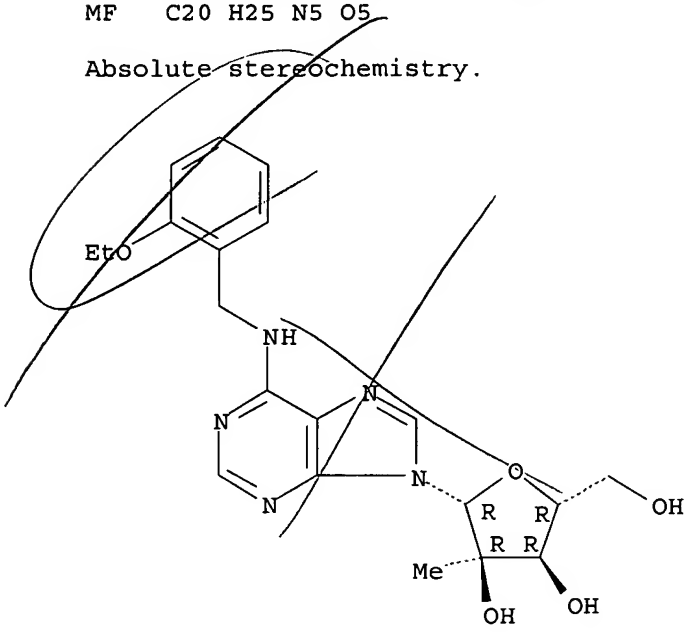
=> d scan l11

L11 39 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Adenosine, N-[(2-ethoxyphenyl)methyl]-2'-C-methyl- (9CI)
MF C20 H25 N5 O5

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):38

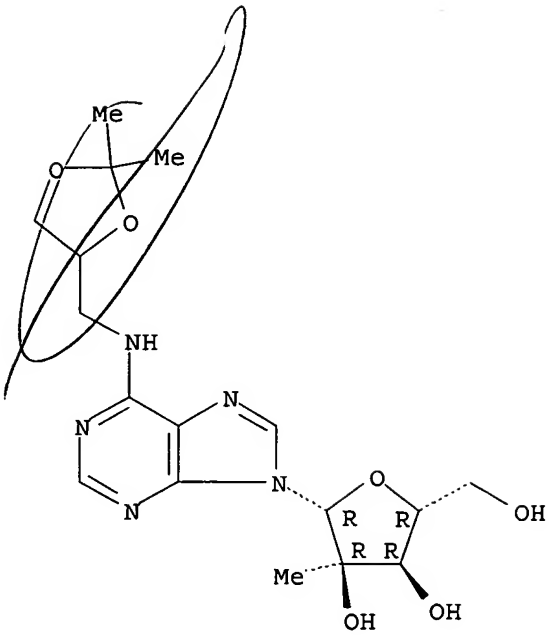
L11 39 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Hydrazine, methyl- (6CI, 8CI, 9CI)
MF C H6 N2
CI COM

$H_3C-NH-NH_2$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

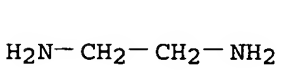
L11 39 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Adenosine, N-[(2,2-dimethyl-1,3-dioxolan-4-yl)methyl]-2'-C-methyl- (9CI)
MF C17 H25 N5 O6

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

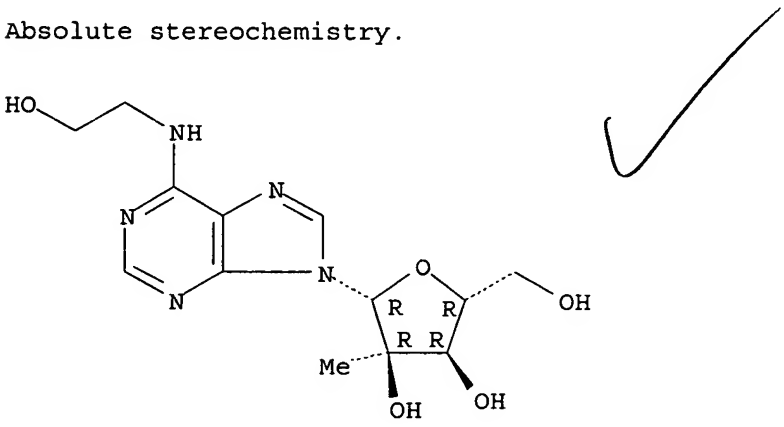
L11 39 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN 1,2-Ethanediamine (9CI)
MF C2 H8 N2
CI COM



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L11 39 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Adenosine, N-(2-hydroxyethyl)-2'-C-methyl- (9CI)
MF C13 H19 N5 O5

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L11 39 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Ethanol, 2-hydrazino- (6CI, 7CI, 8CI, 9CI)

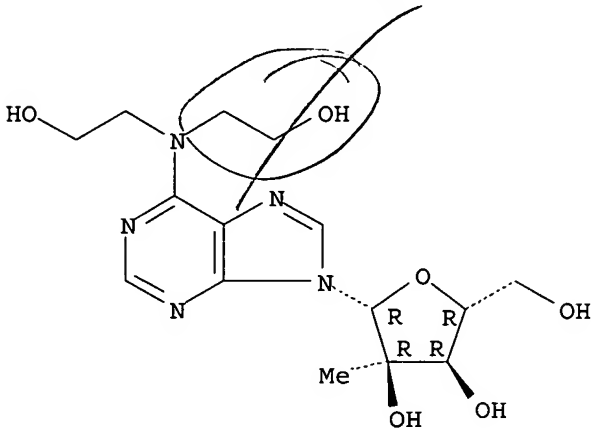
MF C2 H8 N2 O
CI COM

HO—CH_2—CH_2—NH—NH_2

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L11 39 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Adenosine, N,N-bis(2-hydroxyethyl)-2'-C-methyl- (9CI)
MF C15 H23 N5 O6

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

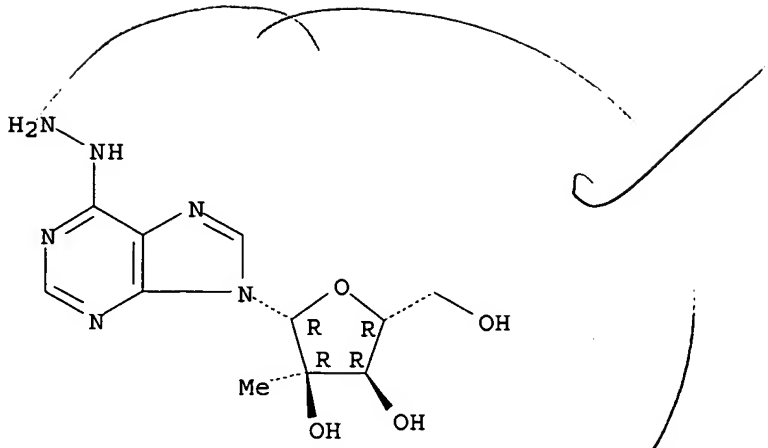
L11 39 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Ethanol, 2-amino- (8CI, 9CI)
MF C2 H7 N O
CI COM

H_2N—CH_2—CH_2—OH

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L11 39 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Inosine, 2'-C-methyl-, hydrazone (9CI)
MF C11 H16 N6 O4

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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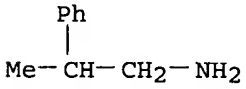
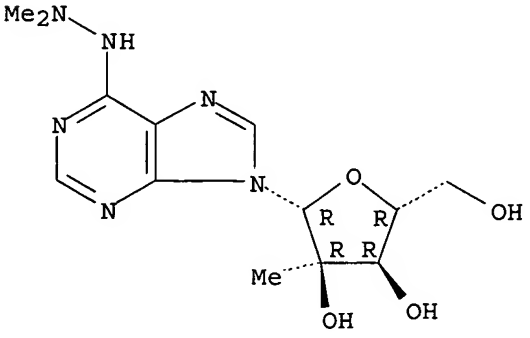
IN Benzeneethanamine, β-methyl- (9CI)
MF C9 H13 N
CI COM



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

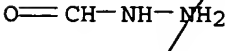
L11 39 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Inosine, 2'-C-methyl-, 2,2-dimethylhydrazone (9CI)
MF C13 H20 N6 O4

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

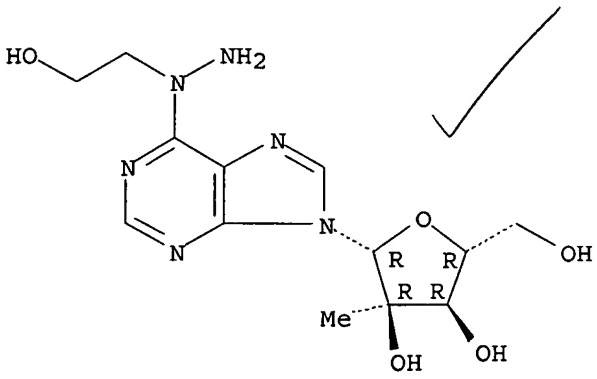
L11 39 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Hydrazinecarboxaldehyde (9CI)
MF C H4 N2 O
CI COM



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

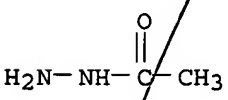
L11 39 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Ethanol, 2-[1-[9-(2-C-methyl-β-D-ribofuranosyl)-9H-purin-6-yl]hydrazino]- (9CI)
MF C13 H20 N6 O5

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

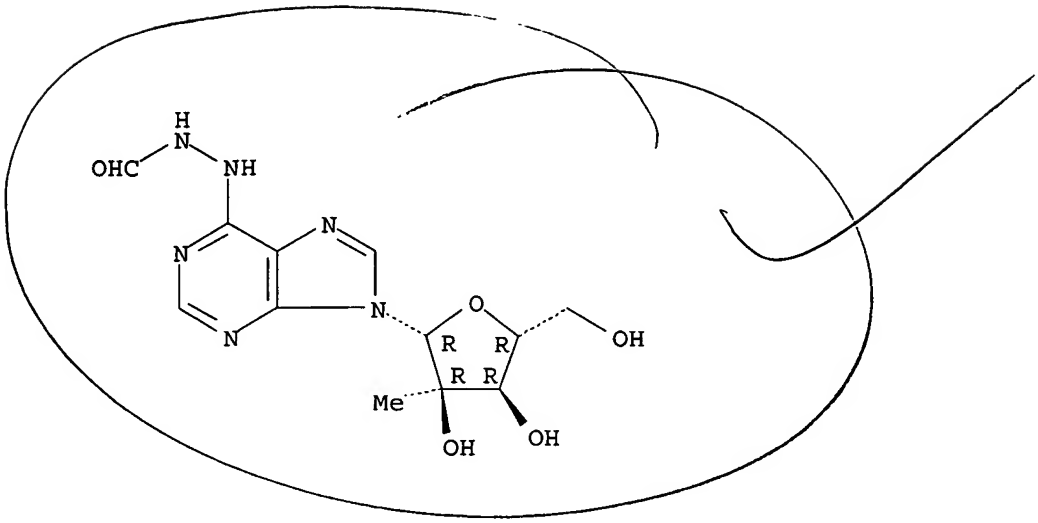
L11 39 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Acetic acid, hydrazide (6CI, 7CI, 8CI, 9CI)
MF C2 H6 N2 O
CI COM



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L11 39 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Inosine, 2'-C-methyl-, formylhydrazone (9CI)
MF C12 H16 N6 O5

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

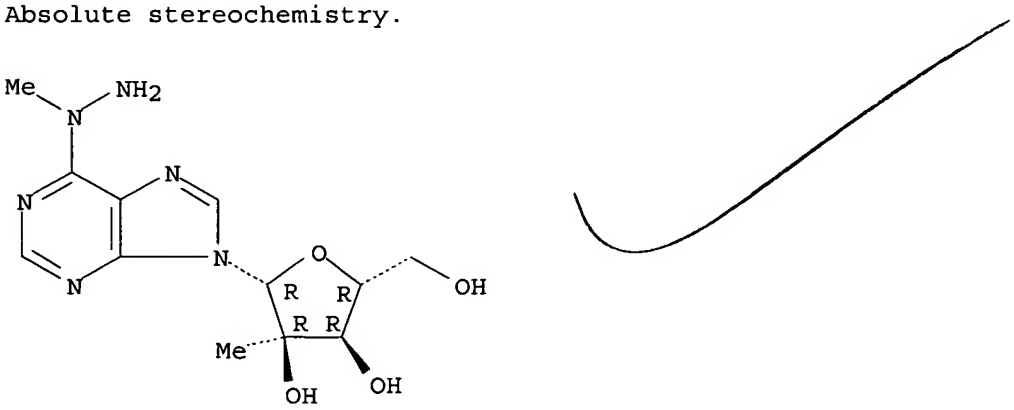
L11 39 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Methanamine, N-methoxy- (9CI)
MF C2 H7 N O
CI COM

$H_3C-NH-O-CH_3$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

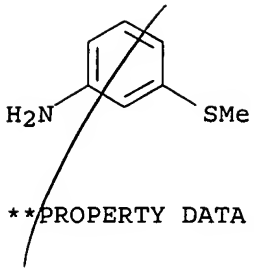
L11 39 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN 9H-Purine, 6-(1-methylhydrazino)-9-(2-C-methyl-β-D-ribofuranosyl)-
(9CI)
MF C12 H18 N6 O4

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

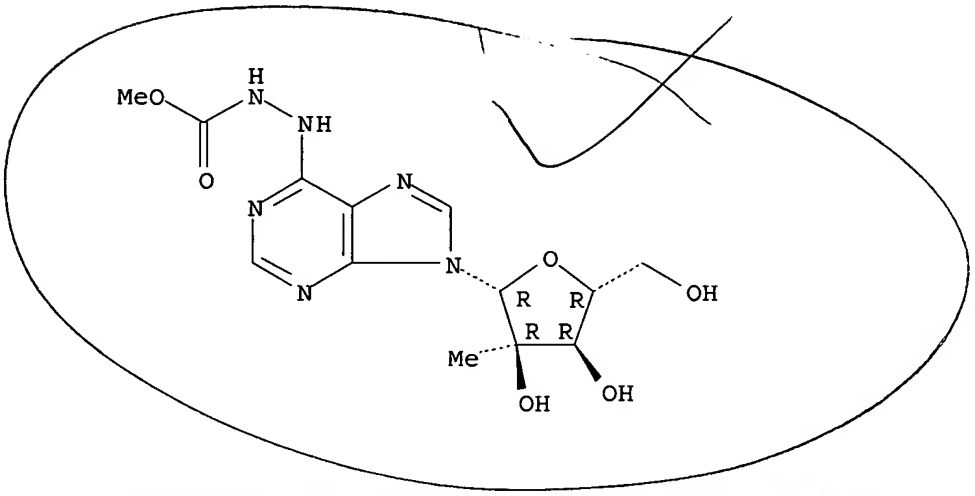
L11 39 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Benzenamine, 3-(methylthio)- (9CI)
MF C7 H9 N S
CI COM



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

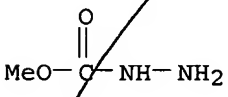
L11 39 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Hydrazinecarboxylic acid, 2-[9-(2-C-methyl-β-D-ribofuranosyl)-9H-purin-6-yl]-, methyl ester (9CI)
MF C13 H18 N6 O6

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

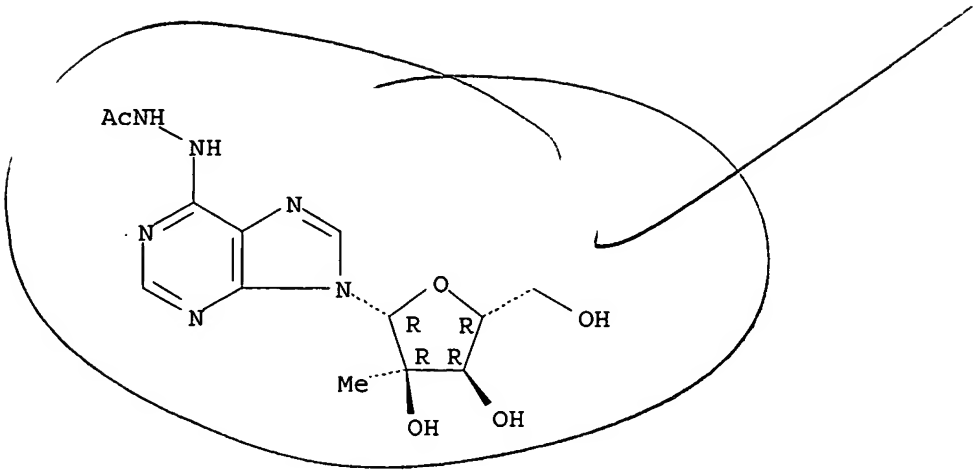
L11 39 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Hydrazinecarboxylic acid, methyl ester (9CI)
MF C2 H6 N2 O2
CI COM



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L11 39 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Acetic acid, 2-[9-(2-C-methyl-β-D-ribofuranosyl)-9H-purin-6-yl]hydrazide (9CI)
MF C13 H18 N6 O5

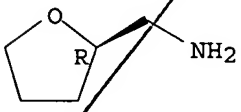
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L11 39 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN 2-Furanmethanamine, tetrahydro-, (2R)- (9CI)
MF C5 H11 N O
CI COM

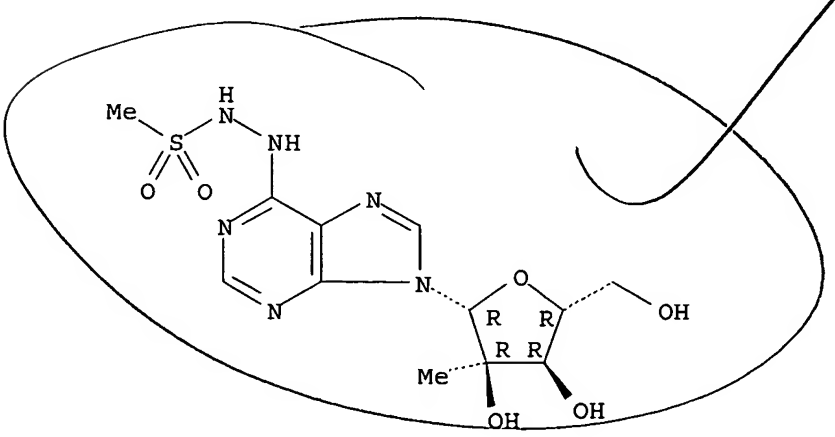
Absolute stereochemistry. Rotation (-).



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L11 39 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Methanesulfonic acid, 2-[9-(2-C-methyl-β-D-ribofuranosyl)-9H-purin-6-yl]hydrazide (9CI)
MF C12 H18 N6 O6 S

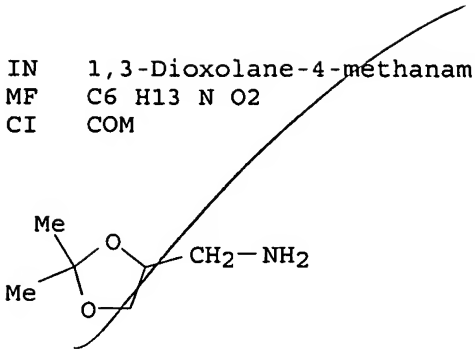
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L11 39 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN

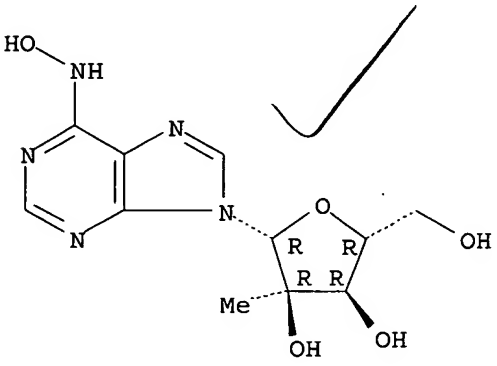
IN 1,3-Dioxolane-4-methanamine, 2,2-dimethyl- (9CI)
MF C6 H13 N O2
CI COM



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

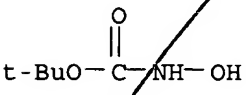
L11 39 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Inosine, 2'-C-methyl-, oxime (9CI)
MF C11 H15 N5 O5

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

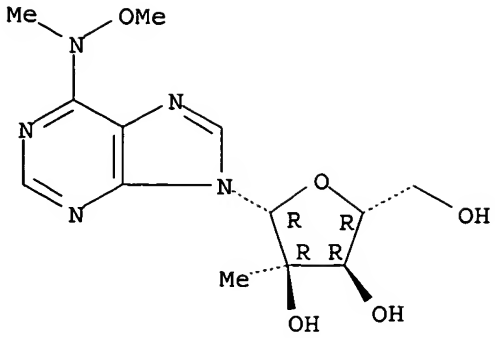
L11 39 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Carbamic acid, hydroxy-, 1,1-dimethylethyl ester (9CI)
MF C5 H11 N O3
CI COM



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

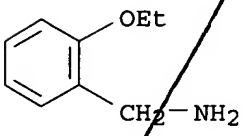
L11 39 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Adenosine, N-methoxy-N-methyl-2'-C-methyl- (9CI)
MF C13 H19 N5 O5

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

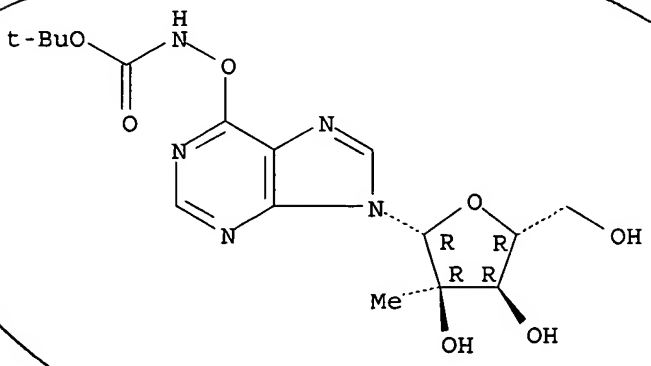
L11 39 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Benzenemethanamine, 2-ethoxy- (9CI)
MF C9 H13 N O
CI COM



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L11 39 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Carbamic acid, [[9-(2-C-methyl-β-D-ribofuranosyl)-9H-purin-6-yl]oxy]-, 1,1-dimethylethyl ester (9CI)
MF C16 H23 N5 O7

Absolute stereochemistry.

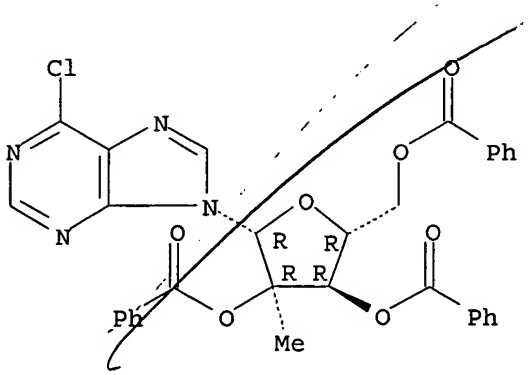


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L11 39 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN

IN 9H-Purine, 6-chloro-9-(2,3,5-tri-O-benzoyl-2-C-methyl-β-D-ribofuranosyl)- (9CI)
MF C32 H25 Cl N4 O7

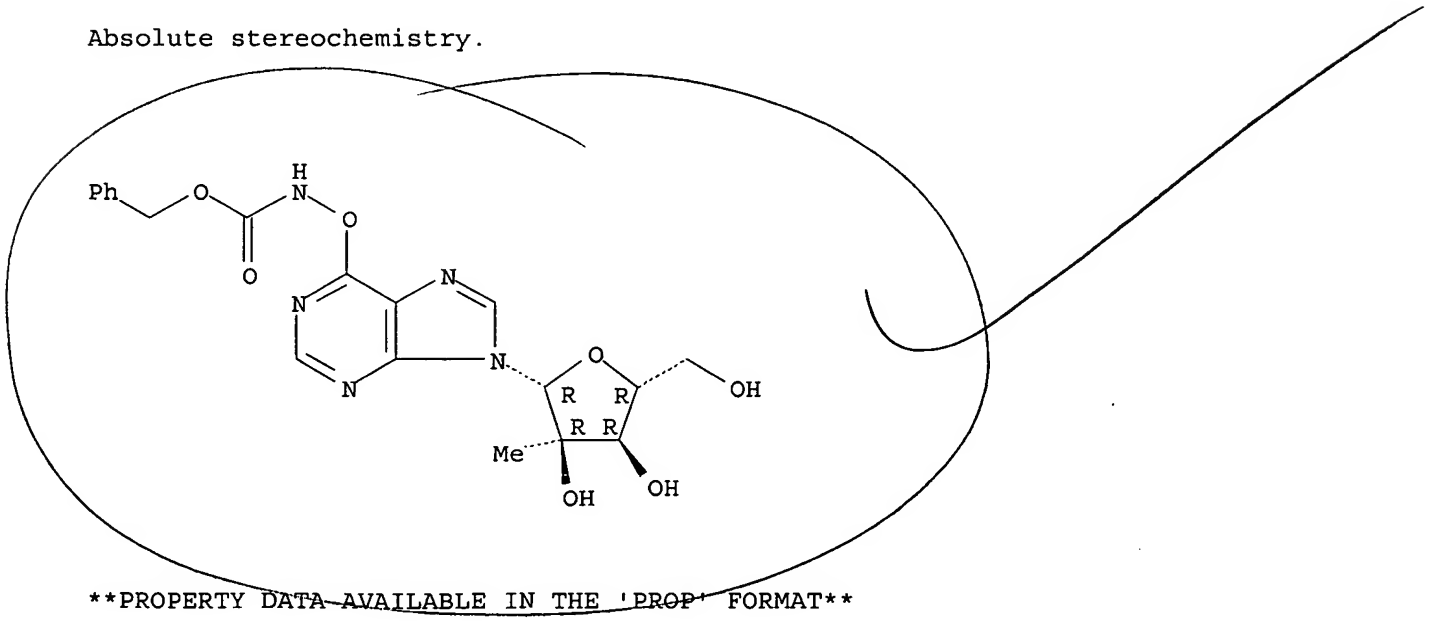
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L11 39 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Carbamic acid, [[9-(2-C-methyl-β-D-ribofuranosyl)-9H-purin-6-yl]oxy]-, phenylmethyl ester (9CI)
MF C19 H21 N5 O7

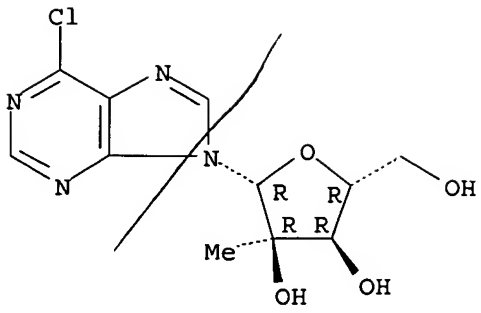
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L11 39 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN 9H-Purine, 6-chloro-9-(2-C-methyl-β-D-ribofuranosyl)- (9CI)
MF C11 H13 Cl N4 O4

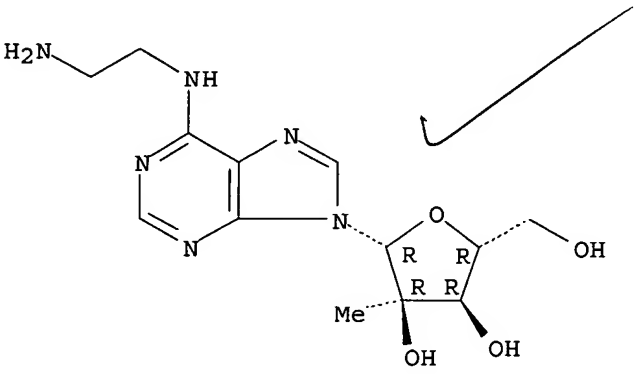
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L11 39 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Adenosine, N-(2-aminoethyl)-2'-C-methyl- (9CI)
MF C13 H20 N6 O4

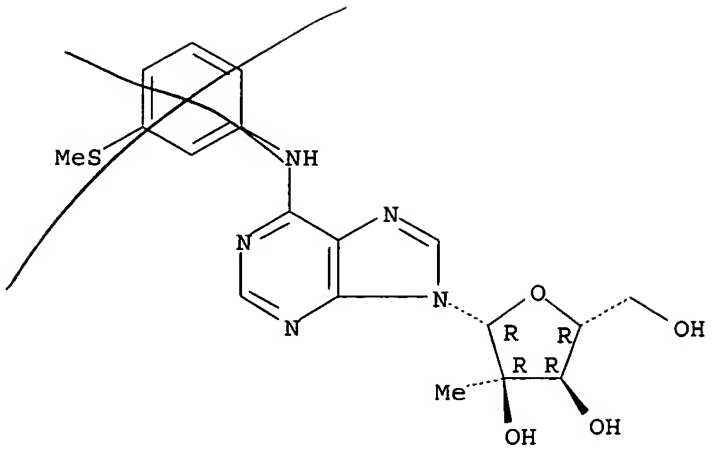
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L11 39 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Adenosine, 2'-C-methyl-N-[3-(methylthio)phenyl]- (9CI)
MF C18 H21 N5 O4 S

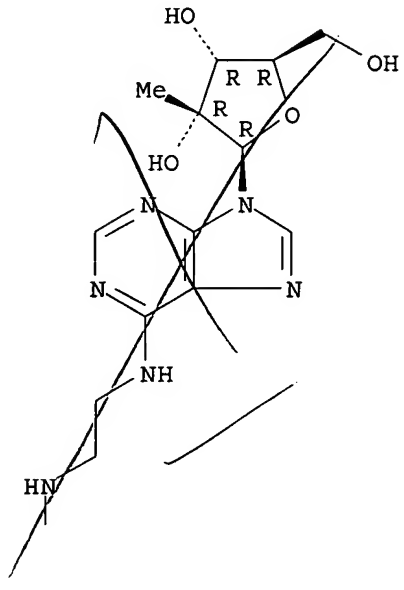
Absolute stereochemistry.

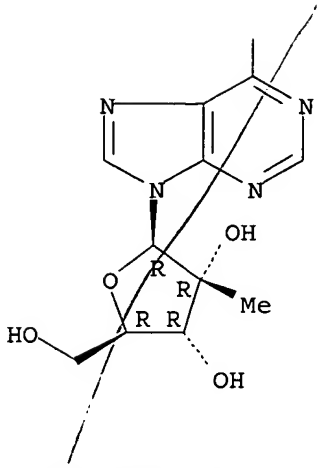


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L11 39 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Adenosine, N,N''-1,2-ethanediylbis[2'-C-methyl- (9CI)
MF C24 H32 N10 O8

Absolute stereochemistry.

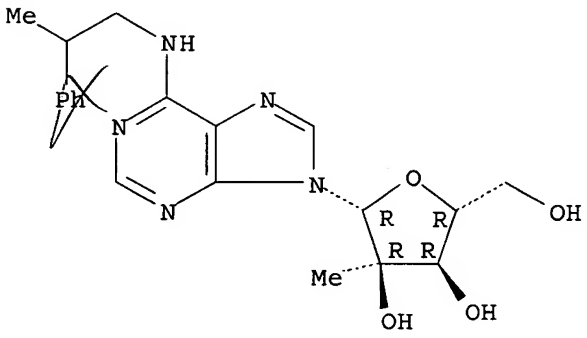




PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L11 39 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Adenosine, 2'-C-methyl-N-(2-phenylpropyl)- (9CI)
MF C20 H25 N5 O4

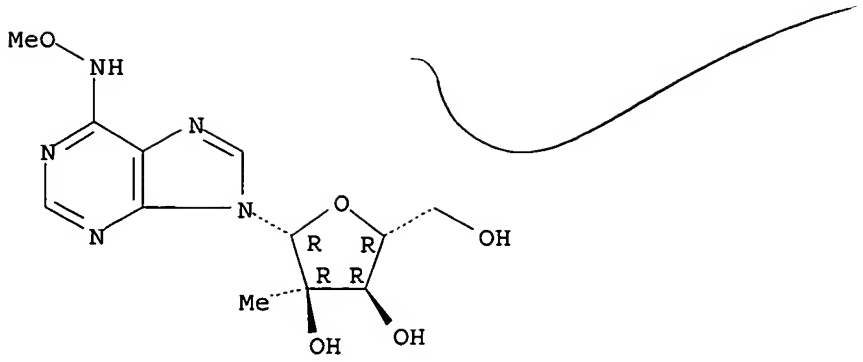
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L11 39 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Inosine, 2'-C-methyl-, O-methyloxime (9CI)
MF C12 H17 N5 O5

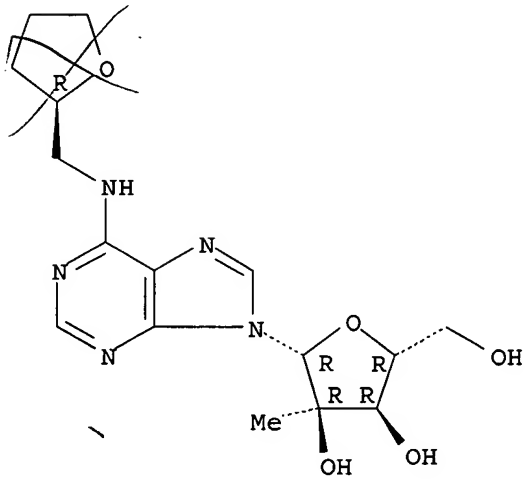
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

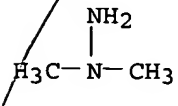
L11 39 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Adenosine, 2'-C-methyl-N-[[(2R)-tetrahydro-2-furanyl]methyl]- (9CI)
MF C16 H23 N5 O5

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L11 39 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Hydrazine, 1,1-dimethyl- (8CI, 9CI)
MF C2 H8 N2
CI COM

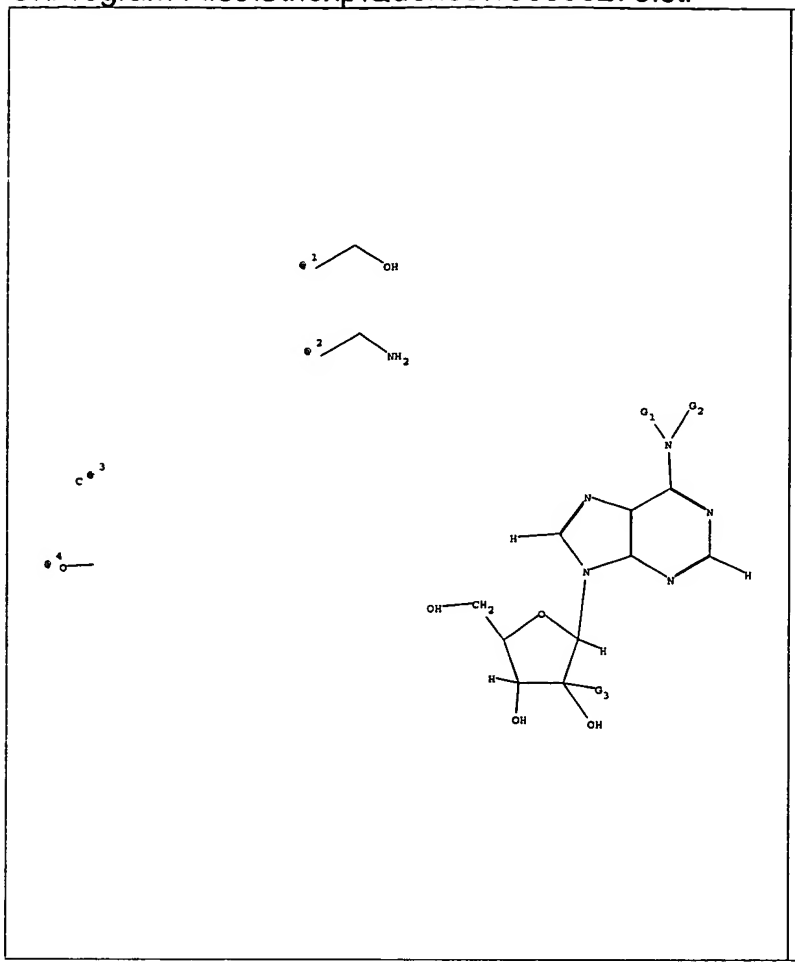


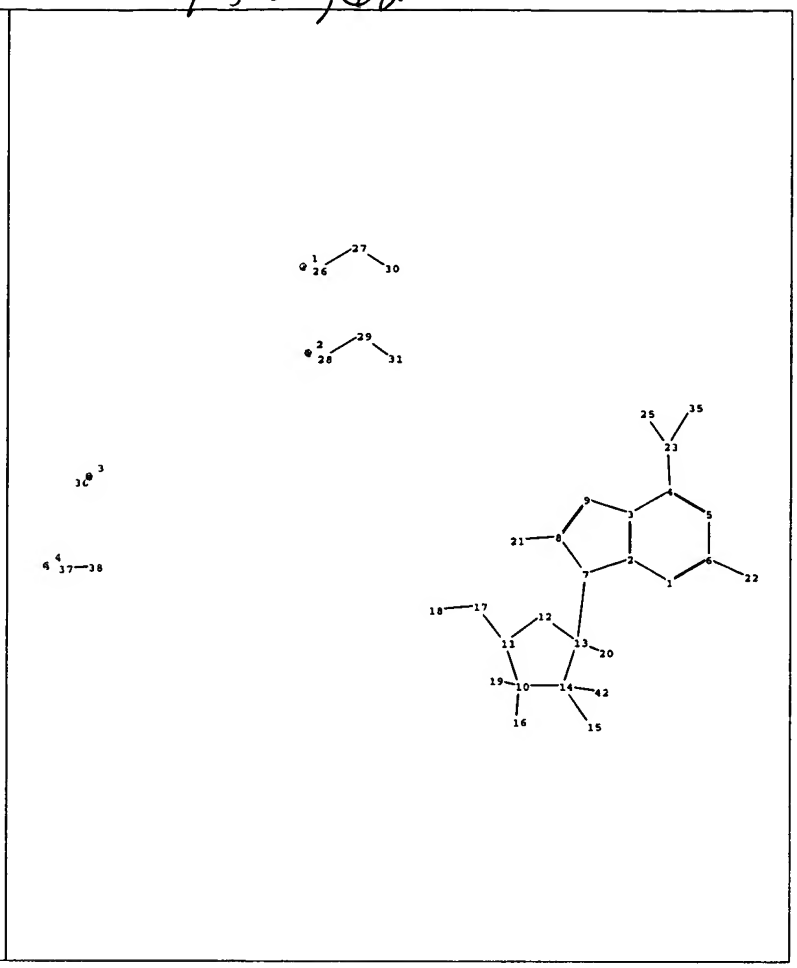
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

C:\Program Files\Stnexp\Queries\10530627e.str

10/530,627





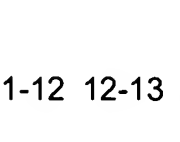
chain nodes :
15 16 17 18 19 20 21 22 23 25 26 27 28 29 30 31 35 37 42
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14
ring/chain nodes :
36 38
chain bonds :
4-23 6-22 7-13 8-21 10-16 10-19 11-17 13-20 14-15 14-42 17-18 23-25 23-35 26-27 27-30 28-29 29-31 37-38
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9 10-11 10-14 11-12 12-13 13-14
exact/norm bonds :
2-7 3-9 4-23 7-8 7-13 8-9 10-11 10-14 10-16 11-12 12-13 13-14 14-15 14-42 23-25 23-35 27-30 29-31 37-38
exact bonds :
6-22 8-21 10-19 11-17 13-20 17-18 26-27 28-29
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

G1:CH3,NH2,H

G2:CH3,OH,MeO,[*1],[*2]

G3:CN,[*3],[*4]

Search for independent claims 2+3 where Z is G3 + X



Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS 25:CLASS 26:CLASS 27:CLASS 28:CLASS 29:CLASS 30:CLASS 31:CLASS 35:CLASS 36:CLASS 37:CLASS 38:CLASS 42:CLASS

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssspta1600txm

PASSWORD:
* * * * * * RECONNECTED TO STN INTERNATIONAL * * * * * *
SESSION RESUMED IN FILE 'REGISTRY' AT 15:05:48 ON 06 JUL 2006
FILE 'REGISTRY' ENTERED AT 15:05:48 ON 06 JUL 2006
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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	334.76	766.46

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-8.25

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	334.76	766.46

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-8.25

FILE 'REGISTRY' ENTERED AT 15:05:56 ON 06 JUL 2006
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STRUCTURE FILE UPDATES: 5 JUL 2006 HIGHEST RN 890705-10-9
DICTIONARY FILE UPDATES: 5 JUL 2006 HIGHEST RN 890705-10-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when
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REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10530627d.str

L21 STRUCTURE UPLOADED

=> d l21

L21 HAS NO ANSWERS
L21 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l21 sss sam
SAMPLE SEARCH INITIATED 15:06:20 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 712 TO ITERATE

100.0% PROCESSED 712 ITERATIONS 8 ANSWERS
SEARCH TIME: 00.00.02

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 12640 TO 15840
PROJECTED ANSWERS: 8 TO 329

L22 8 SEA SSS SAM L21

=> s l21 sss full
FULL SEARCH INITIATED 15:06:31 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 14160 TO ITERATE

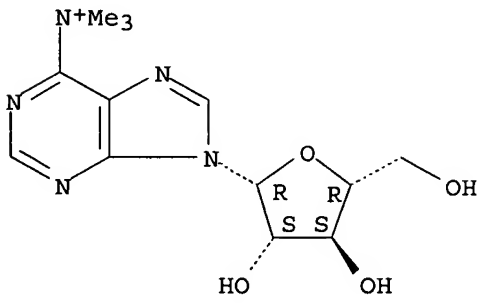
100.0% PROCESSED 14160 ITERATIONS 178 ANSWERS
SEARCH TIME: 00.00.01

L23 178 SEA SSS FUL L21

=> d scan

L23 178 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN 9H-Purin-6-aminium, 9-β-D-arabinofuranosyl-N,N,N-trimethyl- (9CI)
MF C13 H20 N5 O4
CI COM

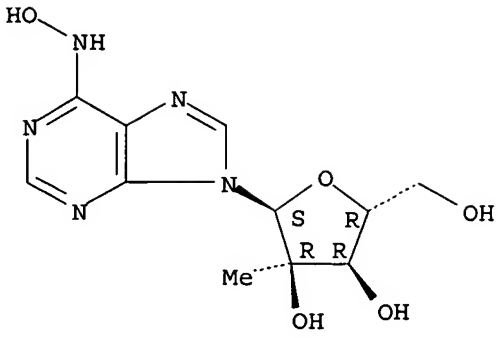
Absolute stereochemistry.



HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):10

L23 178 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN 6H-Purin-6-one, 1,9-dihydro-9-(2-C-methyl-α-D-ribofuranosyl)-, oxime (9CI)
MF C11 H15 N5 O5

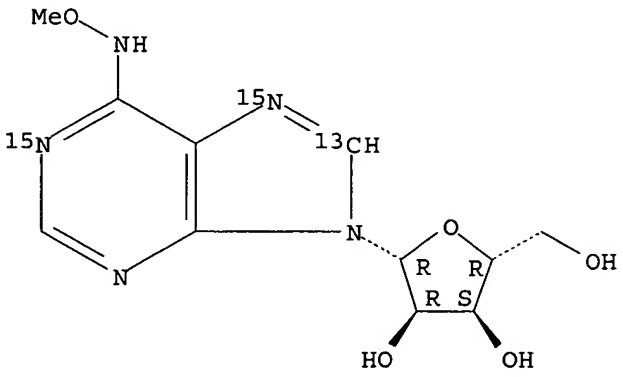
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

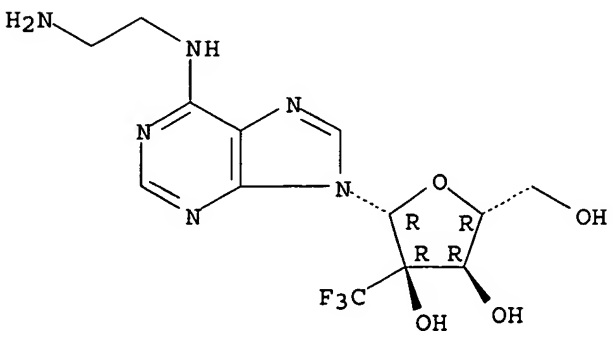
L23 178 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Inosine-8-13C-1,7-15N2, O-methyloxime (9CI)
MF C11 H15 N5 O5

Absolute stereochemistry.



L23 178 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Adenosine, N-(2-aminoethyl)-2'-C-(trifluoromethyl)- (9CI)
MF C13 H17 F3 N6 O4

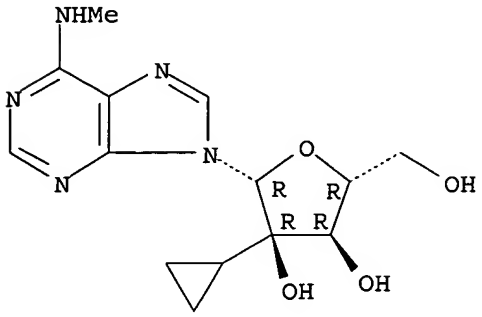
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L23 178 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Adenosine, 2'-C-cyclopropyl-N-methyl- (9CI)
MF C14 H19 N5 O4

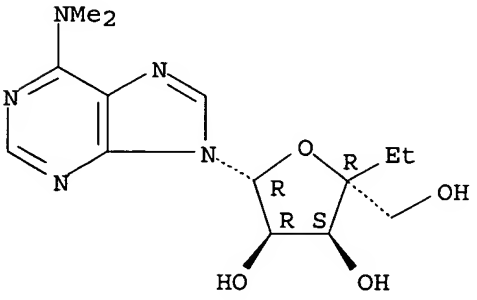
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L23 178 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Adenosine, 4'-C-ethyl-N,N-dimethyl- (9CI)
MF C14 H21 N5 O4

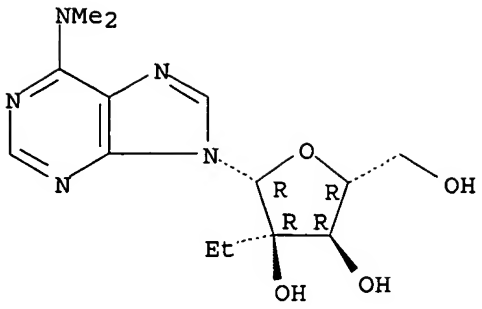
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L23 178 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Adenosine, 2'-C-ethyl-N,N-dimethyl- (9CI)
MF C14 H21 N5 O4

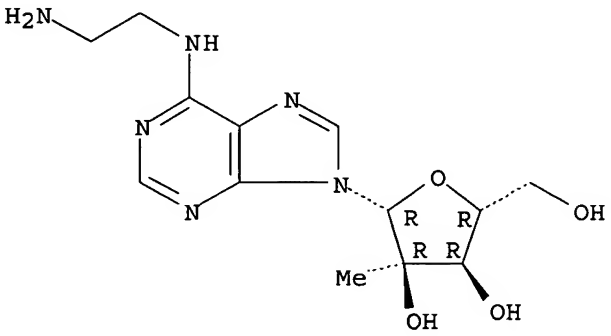
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L23 178 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Adenosine, N-(2-aminoethyl)-2'-C-methyl- (9CI)
MF C13 H20 N6 O4

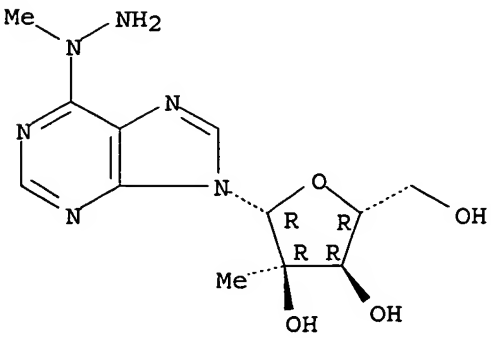
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L23 178 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN 9H-Purine, 6-(1-methylhydrazino)-9-(2-C-methyl-β-D-ribofuranosyl)-
(9CI)
MF C12 H18 N6 O4

Absolute stereochemistry.



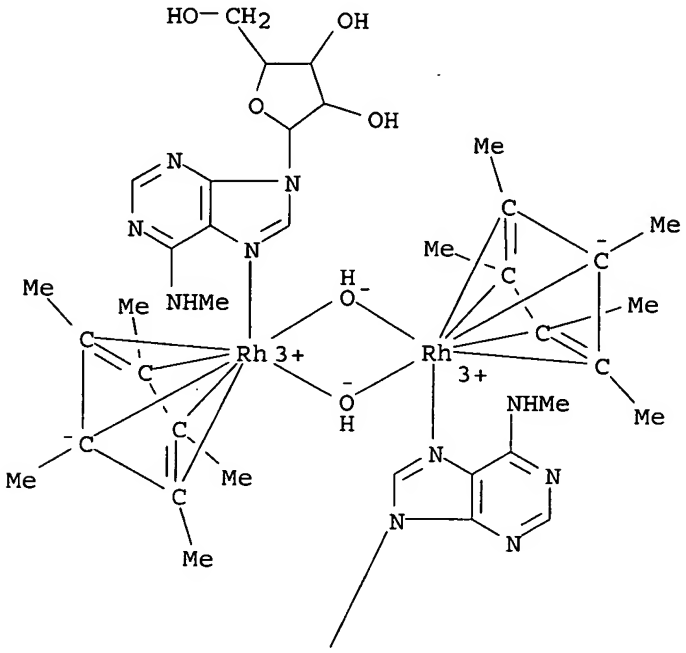
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L23 178 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Rhodium(2+), di-μ-hydroxybis(N-methyladenosine-κN7)bis[(1,2,3,4,5-η)-1,2,3,4,5-pentamethyl-2,4-cyclopentadien-1-yl]di-, stereoisomer, salt with trifluoromethanesulfonic acid (1:2), trihydrate (9CI)
MF C42 H62 N10 O10 Rh2 . C F3 O3 S . 3 H2 O

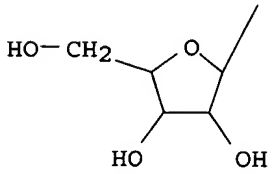
CM 1

CM 2

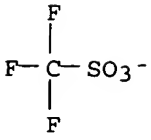
PAGE 1-A



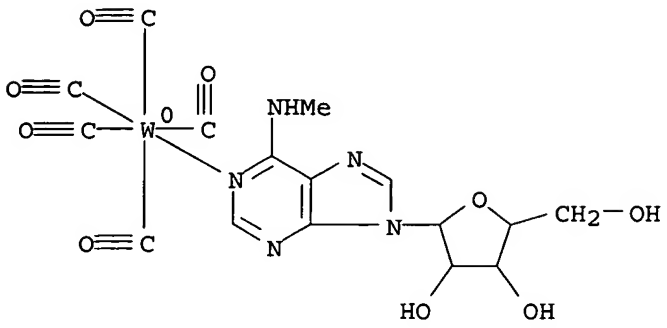
PAGE 2-A



CM 3



L23 178 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Tungsten, pentacarbonyl(N-methyladenosine-κN1)-, (OC-6-22)- (9CI)
MF C16 H15 N5 O9 W
CI CCS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	167.82	934.28

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-8.25

FILE 'CAPLUS' ENTERED AT 15:07:41 ON 06 JUL 2006
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FILE COVERS 1907 - 6 Jul 2006 VOL 145 ISS 2
FILE LAST UPDATED: 5 Jul 2006 (20060705/ED)

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=> d his

(FILE 'HOME' ENTERED AT 13:50:33 ON 06 JUL 2006)

FILE 'REGISTRY' ENTERED AT 13:50:41 ON 06 JUL 2006

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L1              STRUCTURE UPLOADED
L2            3 S L1 SSS SAM
L3          104 S L1 SSS FULL

     FILE 'CAPLUS' ENTERED AT 13:51:24 ON 06 JUL 2006
L4           55 S L3

     FILE 'REGISTRY' ENTERED AT 14:29:47 ON 06 JUL 2006
L5              STRUCTURE UPLOADED
L6            0 S L5 SSS SAM
L7            0 S L5 FULL

     FILE 'CAPLUS' ENTERED AT 14:30:42 ON 06 JUL 2006
L8            0 S 200362256.PN
L9            0 S 200362256/PN
L10           1 S WO 200362256/PN
                SEL RN

     FILE 'REGISTRY' ENTERED AT 14:33:21 ON 06 JUL 2006
L11          39 S E1-E39

     FILE 'CAPLUS' ENTERED AT 14:36:34 ON 06 JUL 2006

     FILE 'HCAPLUS' ENTERED AT 14:36:57 ON 06 JUL 2006

     FILE 'REGISTRY' ENTERED AT 14:45:20 ON 06 JUL 2006
                E 1068-57-1/RN
L12           1 S E3
L13           1 S 565435-03-2/RN
L14           1 S 565435-04-3/RN

     FILE 'CAPLUS' ENTERED AT 14:48:03 ON 06 JUL 2006
L15           2 S L13 OR L14

     FILE 'REGISTRY' ENTERED AT 14:53:10 ON 06 JUL 2006
L16             STRUCTURE UPLOADED
L17           0 S L16 SSS SAM
L18           0 S L16 FULL
L19             STRUCTURE UPLOADED
L20           0 S L19 FULL

     FILE 'REGISTRY' ENTERED AT 15:05:56 ON 06 JUL 2006
L21             STRUCTURE UPLOADED
L22           8 S L21 SSS SAM
L23         178 S L21 SSS FULL

     FILE 'CAPLUS' ENTERED AT 15:07:41 ON 06 JUL 2006

=> s l23
L24         888 L23

=> file reg
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                     ENTRY    SESSION
FULL ESTIMATED COST                                   2.30     936.58

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)      SINCE FILE      TOTAL
                                                     ENTRY    SESSION
CA SUBSCRIBER PRICE                                   0.00      -8.25

FILE 'REGISTRY' ENTERED AT 15:10:35 ON 06 JUL 2006
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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STRUCTURE FILE UPDATES: 5 JUL 2006 HIGHEST RN 890705-10-9
DICTIONARY FILE UPDATES: 5 JUL 2006 HIGHEST RN 890705-10-9

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  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10530627e.str

L25   STRUCTURE UPLOADED

=> s l25 sss sam
SAMPLE SEARCH INITIATED 15:10:59 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   26 TO ITERATE

100.0% PROCESSED   26 ITERATIONS   0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS: 215 TO   825
PROJECTED ANSWERS: 0 TO   0

L26   0 SEA SSS SAM L25

=> s l25 full
FULL SEARCH INITIATED 15:11:03 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   443 TO ITERATE

100.0% PROCESSED   443 ITERATIONS   24 ANSWERS
SEARCH TIME: 00.00.01

L27   24 SEA SSS FUL L25

=> file caplus
COST IN U.S. DOLLARS   SINCE FILE   TOTAL
                                                       ENTRY   SESSION
FULL ESTIMATED COST   166.94   1103.52

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)   SINCE FILE   TOTAL
                                                       ENTRY   SESSION
CA SUBSCRIBER PRICE   0.00   -8.25

FILE 'CAPLUS' ENTERED AT 15:11:09 ON 06 JUL 2006
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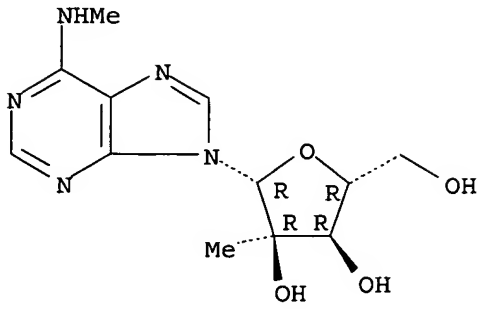
FILE COVERS 1907 - 6 Jul 2006 VOL 145 ISS 2
FILE LAST UPDATED: 5 Jul 2006 (20060705/ED)

=> s l27
L28 11 L27

=> d bib abs hitstr 1-11 l28

L28 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:559568 CAPLUS
DN 143:230125
TI Antitumor Activity of C-Methyl-β-D-ribofuranosyladenine Nucleoside Ribonucleotide Reductase Inhibitors
AU Franchetti, Palmarisa; Cappellacci, Loredana; Pasqualini, Michela; Petrelli, Riccardo; Vita, Patrizia; Jayaram, Hiremagalur N.; Horvath, Zsuzsanna; Szekeres, Thomas; Grifantini, Mario
CS Dipartimento di Scienze Chimiche, Universita di Camerino, Camerino, 62032, Italy
SO Journal of Medicinal Chemistry (2005), 48(15), 4983-4989
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
OS CASREACT 143:230125
AB A series of adenosine derivs. substituted at the 1'-, 2'-, or 3'-position of the ribose ring with a Me group was synthesized and evaluated for antitumor activity. From this study 3'-C-methyladenosine (3'-Me-Ado) emerged as the most active compound, showing activity against human myelogenous leukemia K562, multidrug resistant human leukemia K562IU, human promyelocytic leukemia HL-60, human colon carcinoma HT-29, and human breast carcinoma MCF-7 cell lines with IC50 values ranging from 11 to 38 μM. Structure-activity relationship studies showed that the structure of 3'-Me-Ado is crucial for the activity. Substitution of a hydrogen atom of the N6-amino group with a small alkyl or cycloalkyl group, the introduction of a chlorine atom in the 2-position of the purine ring, or the moving of the Me group from the 3'-position to other ribose positions brought about a decrease or loss of antitumor activity. The antiproliferative activity of 3'-Me-Ado appears to be related to its ability to deplete both intracellular purine and pyrimidine deoxynucleotides through ribonucleotide reductase inhibition.
IT 565450-76-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation, structure-activity, and antitumor activity of C-methyl-β-D-ribofuranosyladenine nucleoside ribonucleotide reductase inhibitors)
RN 565450-76-2 CAPLUS
CN Adenosine, N-methyl-2'-C-methyl- (9CI) (CA INDEX NAME)

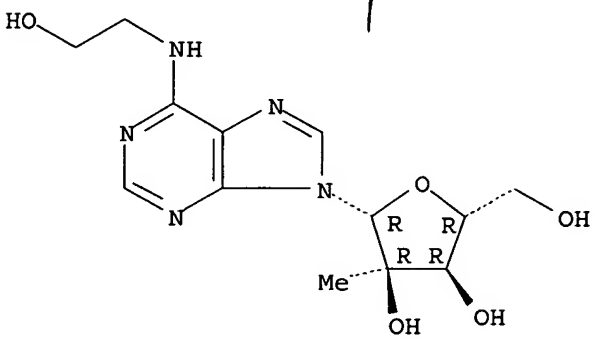
Absolute stereochemistry.



RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

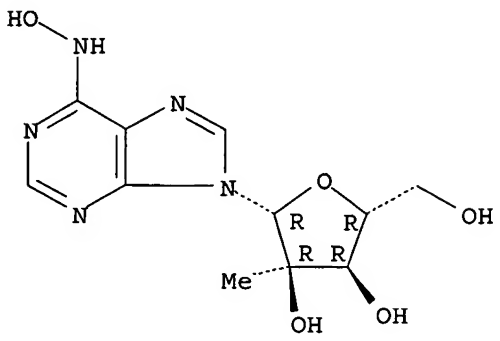
L28 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:74688 CAPLUS
DN 142:336573
TI Synthesis of 9-(2-β-C-methyl-β-D-ribofuranosyl)-6-substituted purine derivatives as inhibitors of HCV RNA replication
AU Ding, Yili; Girardet, Jean-Luc; Hong, Zhi; Lai, Vicky C. H.; An, Haoyun; Koh, Yung-hyo; Shaw, Stephanie Z.; Zhong, Weidong
CS Valeant Pharmaceuticals International, Costa Mesa, CA, 92626, USA
SO Bioorganic & Medicinal Chemistry Letters (2005), 15(3), 709-713
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier B.V.
DT Journal
LA English
AB A series of 9-(2'-β-C-methyl-β-D-ribofuranosyl)-6-substituted purine derivs. were synthesized as potential inhibitors of HCV RNA replication. Their inhibitory activities in a cell based HCV replicon assay were reported. A prodrug approach was used to further improve the potency of these compds. by increasing the intracellular levels of 5'-monophosphate metabolites. These nucleotide prodrugs showed much improved inhibitory activities of HCV RNA replication.
IT 565435-08-7P 565435-18-9P 565435-19-0P
565435-22-5P 565435-24-7P 565450-76-2P
565450-77-3P 728022-80-8P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis of 9-(2-β-C-methyl-β-D-ribofuranosyl)-6-substituted purine derivs. as inhibitors of HCV RNA replication)
RN 565435-08-7 CAPLUS
CN Adenosine, N-(2-hydroxyethyl)-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



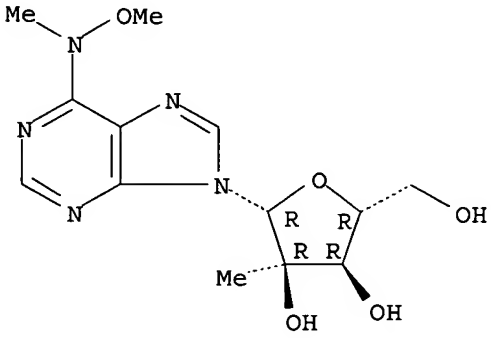
RN 565435-18-9 CAPLUS
CN Inosine, 2'-C-methyl-, oxime (9CI) (CA INDEX NAME)

Absolute stereochemistry.



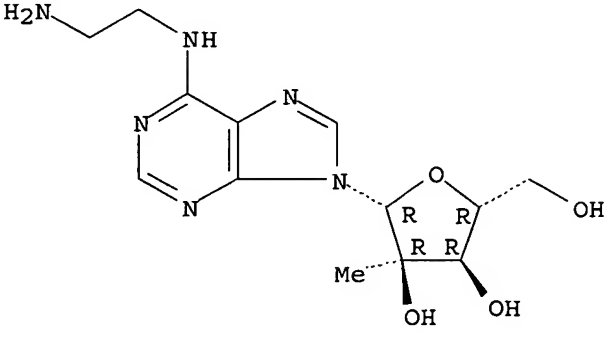
RN 565435-19-0 CAPLUS
CN Adenosine, N-methoxy-N-methyl-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



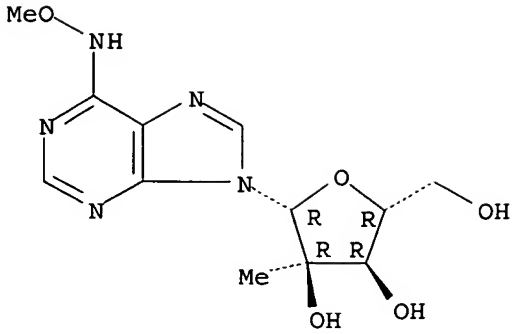
RN 565435-22-5 CAPLUS
CN Adenosine, N-(2-aminoethyl)-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



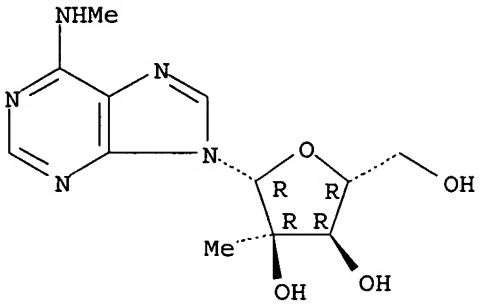
RN 565435-24-7 CAPLUS
CN Inosine, 2'-C-methyl-, O-methyloxime (9CI) (CA INDEX NAME)

Absolute stereochemistry.



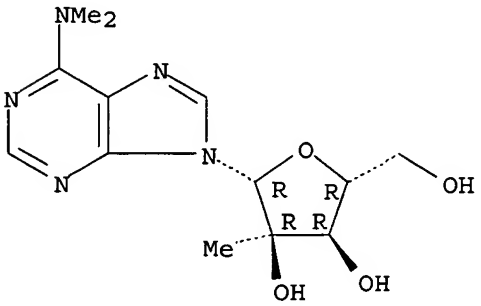
RN 565450-76-2 CAPLUS
CN Adenosine, N-methyl-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



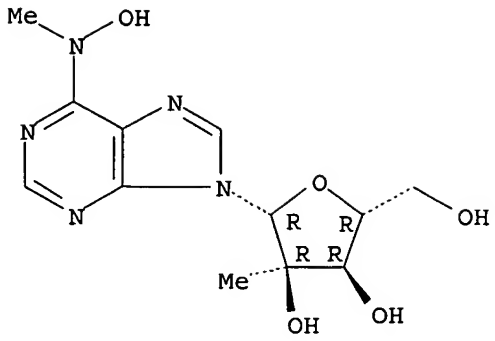
RN 565450-77-3 CAPLUS
CN Adenosine, N,N-dimethyl-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 728022-80-8 CAPLUS
CN Adenosine, N-hydroxy-N-methyl-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

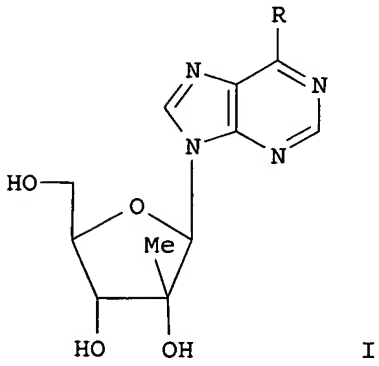
L28 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:633938 CAPLUS
DN 141:157387
TI Synthesis and use of 2'-substituted-N6-modified nucleosides as antiviral agents
IN An, Haoyun; Ramasamy, Kanda; Shaw, Stephanie
PA Ribapharm Inc., USA
SO PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004065398	A2	20040805	WO 2004-US1125	20040115
	WO 2004065398	A3	20050303		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
	US 2006135465	A1	20060622	US 2006-542235	20060123
PRAI	US 2003-440666P	P	20030115		
	WO 2004-US1125	W	20040115		

OS CASREACT 141:157387; MARPAT 141:157387
GI

Bad date

Do ODP



I

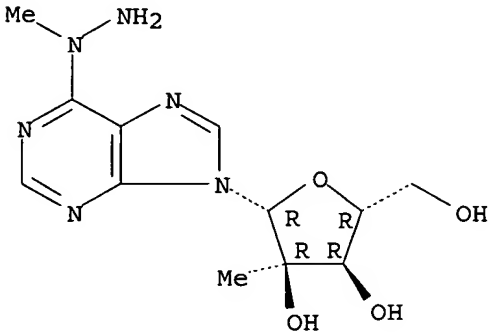
AB An improved method of preparing a sugar modified nucleoside analog I, wherein R is selected from the group consisting of NH2NH2, N(CH3)NH2, N(CH2CH3)NH2, N(CH3)OH, NHOH, NHOCH3, NHOCH2CH3, NHN(CH3)2, N(CH3)NHCH3, NHNHCH3, NHNHOCH3, and NHNHCOOCH3, includes a protocol in which a hydroxy

group of a sugar is selectively deprotected and oxidized prior to nucleophilic modification of the corresponding carbonyl group. The modified sugar is then coupled to a heterocyclic base that is modified with a dual nucleophilic reagent in a further step that provides N6-modified adenosine analogs with high stereoselectivity. Contemplated antiviral and immunomodulatory activities of title nucleosides are reported (no data). Thus, I [R = N(Me)NH2] was prepared from 2-iodo-benzoic acid via stereoselective glycosylation with 6-chloropurine.

IT 565435-14-5P 565435-18-9P 565435-24-7P
728022-80-8P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and use of 2'-substituted-N6-modified nucleosides as antiviral agents via stereoselective glycosylation)

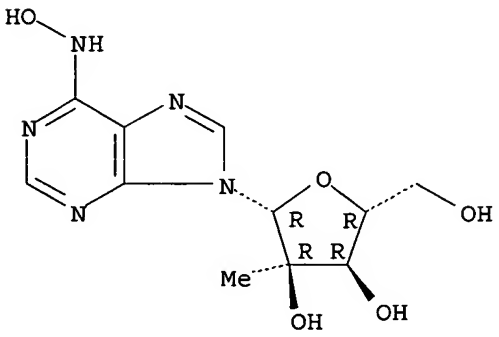
RN 565435-14-5 CAPLUS
CN 9H-Purine, 6-(1-methylhydrazino)-9-(2-C-methyl-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



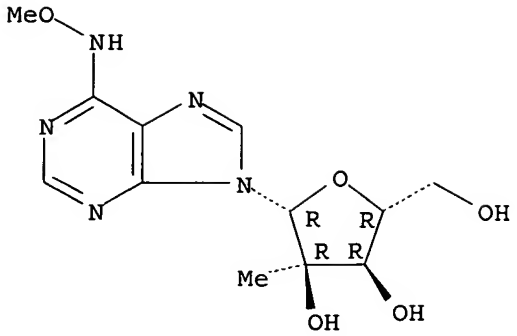
RN 565435-18-9 CAPLUS
CN Inosine, 2'-C-methyl-, oxime (9CI) (CA INDEX NAME)

Absolute stereochemistry.



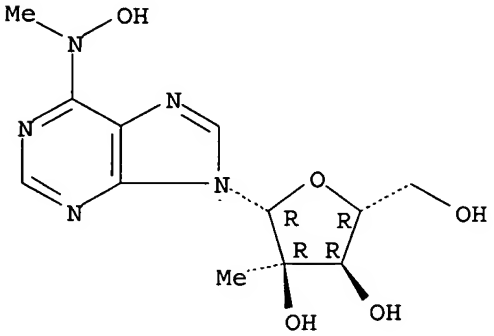
RN 565435-24-7 CAPLUS
CN Inosine, 2'-C-methyl-, O-methyloxime (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 728022-80-8 CAPLUS
CN Adenosine, N-hydroxy-N-methyl-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



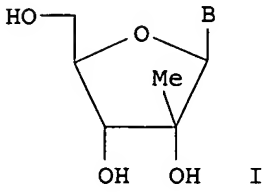
L28 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:566635 CAPLUS
DN 141:89323
TI Process for the production of 3'-nucleoside prodrugs
IN Storer, Richard; Moussa, Adel; Mathieu, Steven; Qu, Lin
PA Idenix Cayman Limited, Cayman I.
SO PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004058792	A1	20040715	WO 2003-US41603	20031223
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2511616	AA	20040715	CA 2003-2511616	20031223
	AU 2003300434	A1	20040722	AU 2003-300434	20031223
	US 2004181051	A1	20040916	US 2003-746395	20031223
	EP 1575971	A1	20050921	EP 2003-814400	20031223
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

	BR 2003016868	A	20051025	BR 2003-16868	20031223
	CN 1751058	A	20060322	CN 2003-80109820	20031223
	JP 2006514038	T2	20060427	JP 2004-562599	20031223
	NO 2005003557	A	20050908	NO 2005-3557	20050720
PRAI	US 2002-436150P	P	20021223		
	WO 2003-US41603	W	20031223		

OS CASREACT 141:89323; MARPAT 141:89323

GI



I

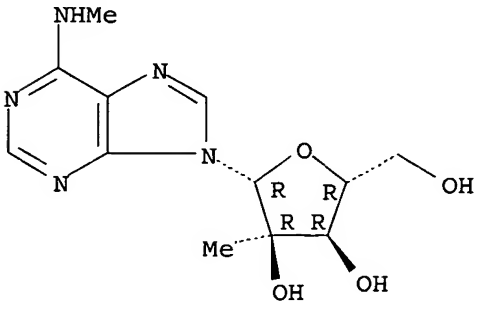
AB Provided is a single-step process for the regioselective 3'-acylation of a ribofuranosyl 2'- or 3'-branched nucleosides I, wherein B is nucleobase. These compds. are useful as antiviral agents, and in particular, can be used to treat Flaviviridae infections in a host in need thereof (no data). Thus, 9-(2'-C-methyl-3'-O-valinoyl-β-D-ribofuranosyl)-6-N-methyladenine dihydrochloride was prepared via regioselective esterification of 9-(2'-C-methyl-β-D-ribofuranosyl)-6-N-methyladenine with N-(tert-butoxycarbonyl)-L-valine.

IT 565450-76-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(process for production of nucleoside prodrugs via regioselective esterification)

RN 565450-76-2 CAPLUS

CN Adenosine, N-methyl-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:453348 CAPLUS

DN 141:17578

TI Treatment of Flaviviridae infection with 2'-branched nucleosides and another mutation-inducing drug such as interferon

IN Sommadossi, Jean-Pierre; La Colla, Paolo; Standring, David; Bichko, Vadim; Qu, Lin

PA Idenix (Cayman) Limited, Cayman I.; Universita Degli Studi Di Cagliari

SO PCT Int. Appl., 166 pp.
CODEN: PIXXD2

DT Patent

LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004046331	A2	20040603	WO 2003-US36714	20031117
	WO 2004046331	A3	20060302		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2506129	AA	20040603	CA 2003-2506129	20031117
	AU 2003298658	A1	20040615	AU 2003-298658	20031117
	US 2005031588	A1	20050210	US 2003-715729	20031117
	EP 1576138	A2	20050921	EP 2003-796412	20031117
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003016363	A	20051004	BR 2003-16363	20031117
	NO 2005002920	A	20050815	NO 2005-2920	20050615
PRAI	US 2002-426675P	P	20021115		
	WO 2003-US36714	W	20031117		

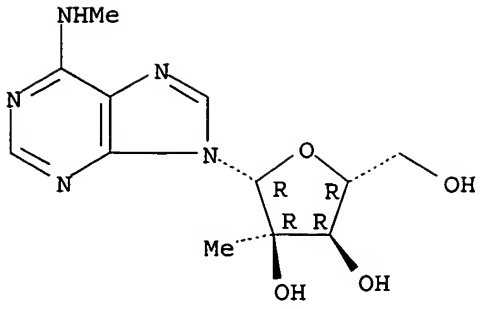
OS MARPAT 141:17578

AB The present invention discloses a method for the treatment of Flaviviridae infection that includes the administration of a 2'-branched nucleoside, or a pharmaceutically acceptable prodrug and/or salt thereof, to a human in need of therapy in combination or alternation with a drug that directly or indirectly induces a mutation in the viral genome at a location other than a mutation of a nucleotide that results in a change from serine to a different amino acid in the highly conserved consensus sequence, XRX<u>S</u>GXXXT, of domain B of the RNA polymerase region, or is associated with such a mutation. The invention also includes a method to detect a mutant strain of Flaviviridae and a method for its treatment. Thus, in bovine viral diarrhea virus (BVDV)-infected MDBK cells treated with β-D-2'-methylcytidine, viruses resistant to the nucleoside appeared. The drug resistance was associated with a mutation in the NS5B gene which resulted in an S405T substitution in the encoded RNA-dependent RNA polymerase. These mutant viruses were sensitive to Intron A (interferon α-2b). Intron A and β-D-2'-methylcytidine exhibited synergistic inhibitory activity on BVDV growth in MDBK cells.

IT 565450-76-2
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treatment of Flaviviridae infection with 2'-branched nucleosides and another mutation-inducing drug such as interferon)

RN 565450-76-2 CAPLUS
CN Adenosine, N-methyl-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

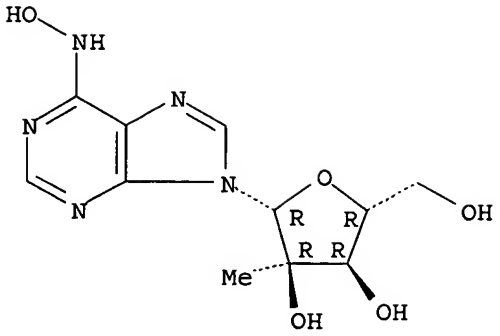


L28 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:290484 CAPLUS
DN 140:327061
TI Nucleoside derivatives for treating hepatitis C virus infection
IN Roberts, Christopher Don; Dyatkina, Natalia B.
PA Genelabs Technologies, Inc., USA
SO PCT Int. Appl., 119 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004028481	A2	20040408	WO 2003-US31433	20030930
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2499253	AA	20040408	CA 2003-2499253	20030930
	AU 2003279797	A1	20040419	AU 2003-279797	20030930
	EP 1572097	A2	20050914	EP 2003-773127	20030930
	EP 1572097	A3	20051207		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2006505537	T2	20060216	JP 2004-540353	20030930
	NO 2005001969	A	20050524	NO 2005-1969	20050422
PRAI	US 2002-415222P	P	20020930		
	US 2003-443169P	P	20030129		
	WO 2003-US31433	W	20030930		

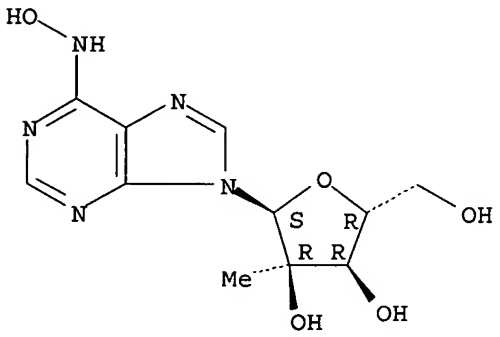
OS MARPAT 140:327061
AB Nucleoside compns. and methods for treating hepatitis C virus infections. Thus, 9-(2'-C-methyl-β-D-ribofuranosyl)-6-methoxyaminopurine was prepared by the reaction of 6-chloro-9-(2'-C-methyl-β-D-ribofuranosyl)purine and methxylamine. This compound exhibited anti-hepatitis C activity by inhibiting HCV polymerase.
IT 565435-18-9P 677298-62-3P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(nucleoside derivs. for treating hepatitis C virus infection)
RN 565435-18-9 CAPLUS
CN Inosine, 2'-C-methyl-, oxime (9CI) (CA INDEX NAME)

Absolute stereochemistry.



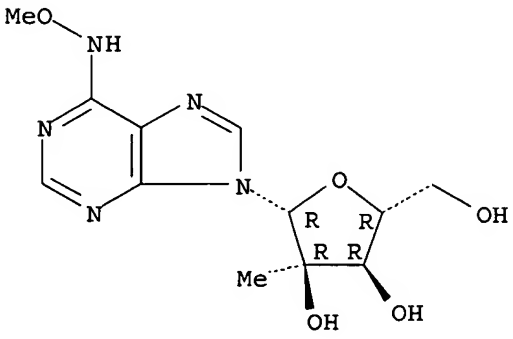
RN 677298-62-3 CAPLUS
CN 6H-Purin-6-one, 1,9-dihydro-9-(2-C-methyl-α-D-ribofuranosyl)-, oxime (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 565435-24-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(nucleoside derivs. for treating hepatitis C virus infection)
RN 565435-24-7 CAPLUS
CN Inosine, 2'-C-methyl-, O-methyloxime (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:2898 CAPLUS
DN 140:42424
TI Preparation of nucleoside derivatives as inhibitors of RNA-dependent RNA

viral polymerase

IN Carroll, Steven S.; Olsen, David B.; Durette, Philippe L.; Bhat, Balkrishen; Dande, Prasad; Eldrup, Anne B.

PA Merck & Co., Inc., USA; Isis Pharmaceuticals, Inc.

SO PCT Int. Appl., 43 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004000858	A2	20031231	WO 2003-US19172	20030617
	WO 2004000858	A3	20050512		
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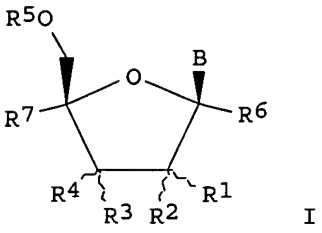
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2488534	AA	20031231	CA 2003-2488534	20030617
	AU 2003269890	A1	20040106	AU 2003-269890	20030617
	EP 1551421	A2	20050713	EP 2003-751777	20030617
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2005530843	T2	20051013	JP 2004-515870	20030617
PRAI	US 2002-390579P	P	20020621		
	WO 2003-US19172	W	20030617		

OS MARPAT 140:42424

GI

bad date



I

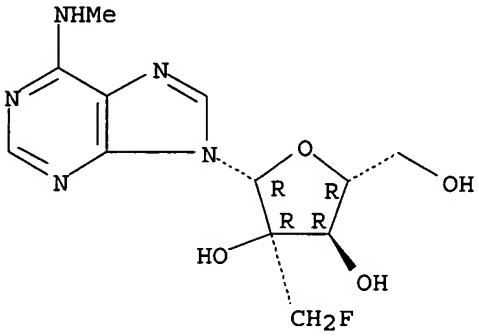
AB The present invention provides nucleoside compds. I, wherein B is nucleobase; R1 is fluoromethyl, difluoromethyl, trifluoromethyl; R2 is H, F, amino, OH, SH, alkoxy, alkylcarbonyloxy, alkyl; R3 and R4 are independently H, Cn, N3, halogen, OH, SH, amino, alkoxy, alkylcarconyloxy, alkenyl, alkynyl; R5 is H, alkylcarbonyl, P3O9H4, P2O6H3, phosphophonyl; R6 and R7 independently H, Me, hydroxymethyl, fluoromethyl; and certain derivs. thereof which are inhibitors of RNA-dependent RNA viral polymerase. These compds. are inhibitors of RNA-dependent RNA viral replication and are useful for the treatment of RNA-dependent RNA viral infection. They are particularly useful as inhibitors of hepatitis C virus (HCV) NS5B polymerase, as inhibitors of HCV replication, and/or for the treatment of hepatitis C infection. The invention also describes pharmaceutical compns. containing such nucleoside compds. alone or in combination with other agents active against RNA-dependent RNA viral infection, in particular HCV infection. Also disclosed are methods of inhibiting RNA-dependent RNA polymerase, inhibiting RNA-dependent RNA

viral replication, and/or treating RNA-dependent RNA viral infection with the nucleoside compds. of the present invention. Thus, 2-amino-9-(2-C-fluoromethyl-β-D-ribofuranosyl)-3,9-dihydropurin-6-one was prepared and tested as inhibitor of RNA-dependent RNA viral polymerase. Title compds. tested in the HCV NS5B polymerase assay exhibited IC50's less than 100 μmol.

IT 636581-90-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nucleoside derivs. as inhibitors of RNA-dependent RNA viral polymerase)

RN 636581-90-3 CAPLUS
CN Adenosine, 2'-C-(fluoromethyl)-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:892793 CAPLUS
DN 139:365176
TI Preparation of nucleoside derivatives for treating hepatitis C virus infection
IN Roberts, Christopher Don; Dyatkina, Natalia B.; Keicher, Jesse D.; Liehr, Sebastian Johannes Reinhard; Hanson, Eric Jason
PA Genelabs Technologies, Inc., USA
SO PCT Int. Appl., 182 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003093290	A2	20031113	WO 2003-US14237	20030506
	WO 2003093290	A3	20040318		
	WO 2003093290	C1	20050519		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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	CA 2484921	AA	20031113	CA 2003-2484921	20030506
	AU 2003232071	A1	20031117	AU 2003-232071	20030506
	US 2004063658	A1	20040401	US 2003-431631	20030506
	EP 1501850	A2	20050202	EP 2003-747674	20030506

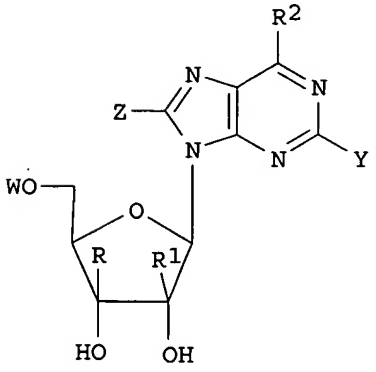
Citing on IDS bad date

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

	BR 2003009581	A	20050329	BR 2003-9581	20030506
	CN 1653077	A	20050810	CN 2003-810239	20030506
	JP 2005530759	T2	20051013	JP 2004-501429	20030506
	NO 2004005247	A	20041130	NO 2004-5247	20041130
PRAI	US 2002-378624P	P	20020506		
	US 2002-392871P	P	20020628		
	WO 2003-US14237	W	20030506		

OS MARPAT 139:365176

GI



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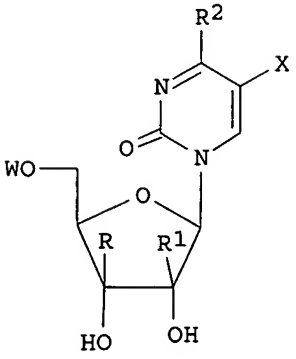
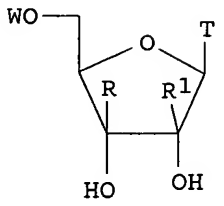


II



III

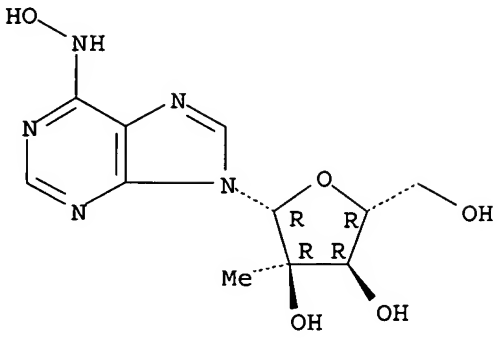
AB Nucleosides I-III, wherein R and R1 are independently H, alkyl, alkenyl, alkynyl, provided that R and R1 are not both H; R2 is alkyl, cycloalkyl, alkenyl, alkynyl, acylamino, guanidino, amidino, thioacylamino, OH, alkoxy, halo, nitro, aryl, heteroaryl, substituted amine; W is H, phosphate, phosphonate, acyl, alkyl, sulfonate, lipid, amino acid, sugar residue, peptide, cholesterol; X is H, halo, alkyl, substituted amine; Y is H, halo, OH, alkylthio, substituted amine; Z is H, halo, OH, alkyl, substituted amine; T is nucleobase, were prepared as HCV RNA polymerase inhibitors and for treating hepatitis C virus infections. Thus, 2-(4-amino-pyrrolo[3,2-c]pyridin-1-yl)-5-hydroxymethyl-3-methyltetrahydro-furan-3,4-diol was prepared for treating hepatitis C virus infections (no data). Different kind of formulation such as tablet, capsule, suspension, injectable, and suppository formulation are reported.

IT 565435-18-9P 565435-22-5P 565435-24-7P
622380-55-6P 622380-68-1P 622380-73-8P
622380-77-2P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nucleoside derivs. for treating hepatitis C virus infection)

RN 565435-18-9 CAPLUS

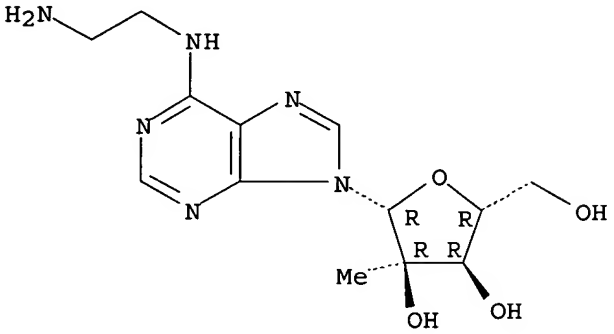
CN Inosine, 2'-C-methyl-, oxime (9CI) (CA INDEX NAME)

Absolute stereochemistry.



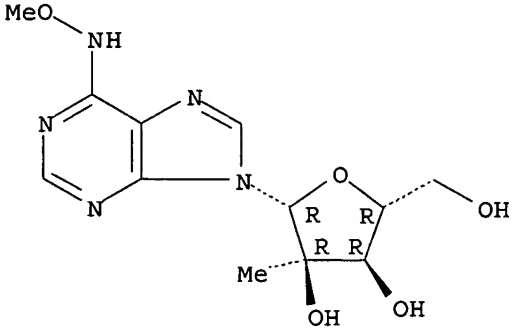
RN 565435-22-5 CAPLUS
CN Adenosine, N-(2-aminoethyl)-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



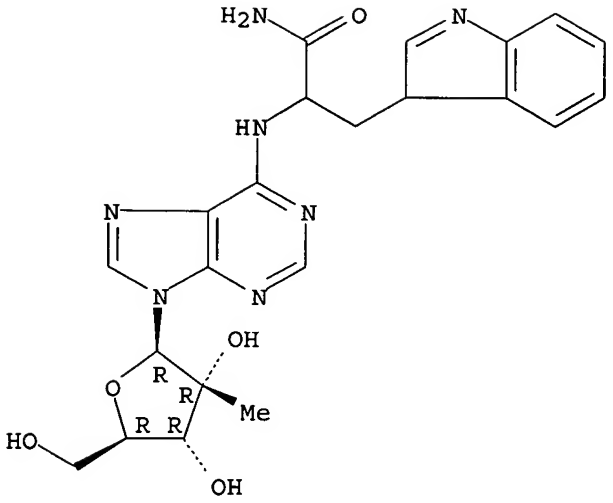
RN 565435-24-7 CAPLUS
CN Inosine, 2'-C-methyl-, O-methyloxime (9CI) (CA INDEX NAME)

Absolute stereochemistry.



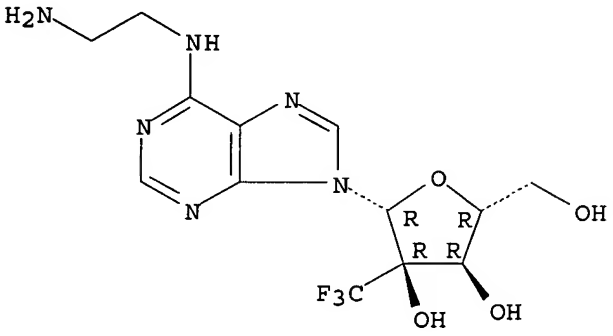
RN 622380-55-6 CAPLUS
CN Adenosine, N-[2-amino-1-(3H-indol-3-ylmethyl)-2-oxoethyl]-2'-C-methyl-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



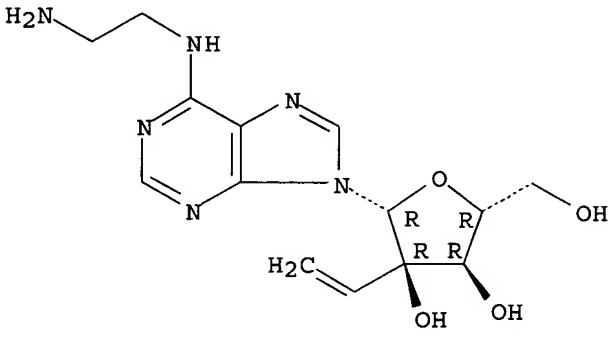
RN 622380-68-1 CAPLUS
CN Adenosine, N-(2-aminoethyl)-2'-C-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



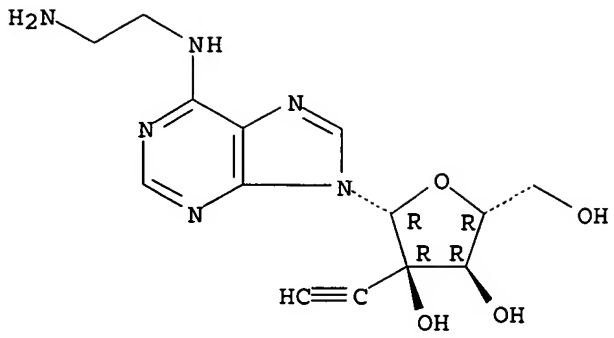
RN 622380-73-8 CAPLUS
CN Adenosine, N-(2-aminoethyl)-2'-C-ethenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 622380-77-2 CAPLUS
CN Adenosine, N-(2-aminoethyl)-2'-C-ethynyl- (9CI) (CA INDEX NAME)

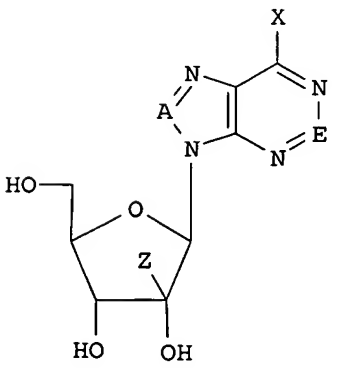
Absolute stereochemistry.



L28 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:591196 CAPLUS
DN 139:133790
TI Preparation of 2'-β-modified-6-substituted adenosine analogs and their use as antiviral agents
IN An, Haoyun; Ding, Yili; Shaw, Stephanie; Hong, Zhi
PA Ribapharm Inc., USA
SO PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 4

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003062256	A1	20030731	WO 2002-US34026	20021023
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI US 2002-350296P	P	20020117		

OS MARPAT 139:133790
GI



I

AB Various 2'-beta-methyl-6-substituted adenosine analogs I in which Z is

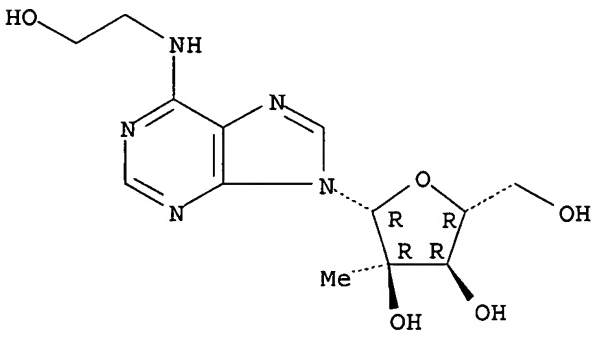
selected from the group consisting of an alkyl, an O-alkyl, an alkenyl, an alkynyl, and CN, wherein the alkyl, the alkenyl, or the alkynyl is optionally substituted with a halogen or OH; A is CH or N, and E is C-R6 or N, such that (1) when A is CH then E is C-R6 or N, and (2) when A is N then E is CH; X is NR1R2, NR2NR3R4, NR2N=NR3, NR2N=CHR3, NR2N=O, NR2C(=O)NR3R4, NR2C(=S)NR3R4, NR2C(=NH)NR3R4, NR1C(=O)NR2NR3R4, NR2OR3, ONHC(O)O-alkyl, ONHC(O)O-aryl, ONR3R4, SNR1R2, SONR1R2, or S(O)2NR1R2; wherein R1-R4 are independently H, alkyl, substituted alkyl, O-alkyl, cyclic alkyl, heterocyclic alkyl, alkoxy, alkaryl, aryl, heterocyclic aryl, substituted aryl, acyl, substituted acyl, S(O)2-alkyl, NO, NH2, or OH; and R6 is H, NH2, halogen, N3, NHR1, NHCOR1 NR1R2, NHSO2R1, NHCONHR1, NHCSNHR1, CH2NHR1, CHR1NHR2, NHNH2, CN, alkyl, alkenyl, alkynyl, CH2-aryl, CH2-heterocycle, halogen, OH, or SH; are prepared by conventional and combinatorial library approaches. Contemplated compds. are particularly useful as therapeutic agents, and especially as antiviral agents. Thus, N6-[3-(methylthio)phenyl]-9H-(2'-β-C-methyl-β-D-ribofuranosyl)adenine was prepared and tested in vitro as antiviral agent against influenza virus A, bovine viral diarrhea virus, Hepatitis B virus, HIV-1 virus and human Rhinovirus.

IT 565435-08-7P 565435-12-3P 565435-14-5P
565435-18-9P 565435-19-0P 565435-22-5P
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(preparation of 2'-β-modified-6-substituted adenosine analogs and their use as antiviral agents)

RN 565435-08-7 CAPLUS

CN Adenosine, N-(2-hydroxyethyl)-2'-C-methyl- (9CI) (CA INDEX NAME)

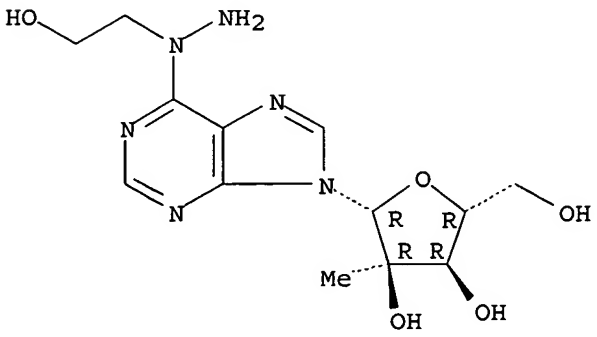
Absolute stereochemistry.



RN 565435-12-3 CAPLUS

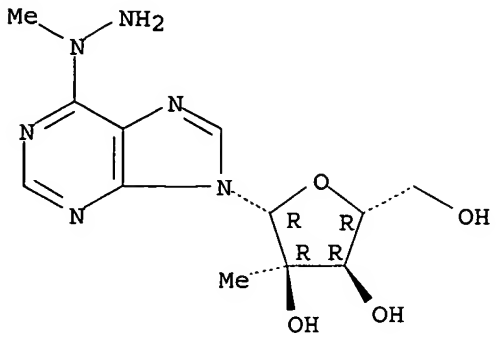
CN Ethanol, 2-[1-[9-(2-C-methyl-β-D-ribofuranosyl)-9H-purin-6-yl]hydrazino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



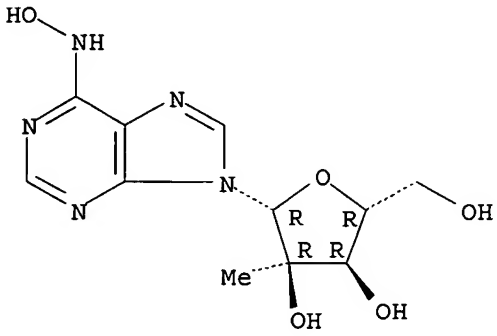
RN 565435-14-5 CAPLUS
CN 9H-Purine, 6-(1-methylhydrazino)-9-(2-C-methyl-β-D-ribofuranosyl)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



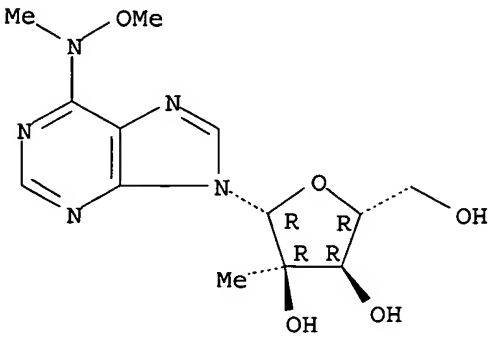
RN 565435-18-9 CAPLUS
CN Inosine, 2'-C-methyl-, oxime (9CI) (CA INDEX NAME)

Absolute stereochemistry.



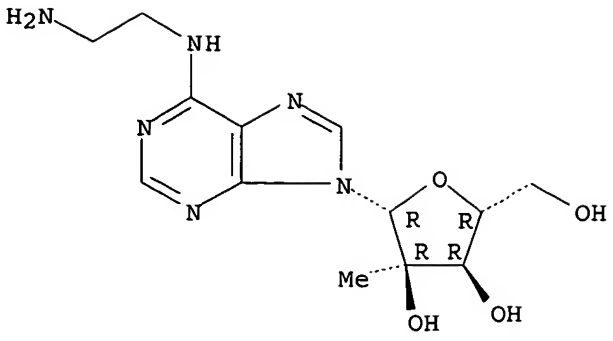
RN 565435-19-0 CAPLUS
CN Adenosine, N-methoxy-N-methyl-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



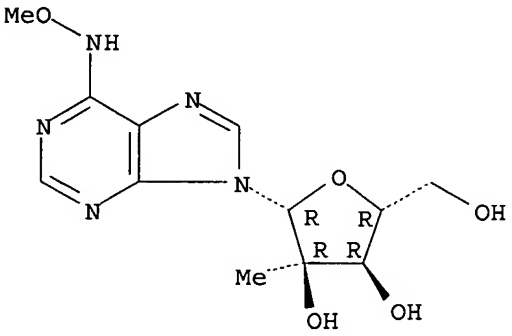
RN 565435-22-5 CAPLUS
CN Adenosine, N-(2-aminoethyl)-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 565435-24-7
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of 2'-β-modified-6-substituted adenosine analogs and their use as antiviral agents)
RN 565435-24-7 CAPLUS
CN Inosine, 2'-C-methyl-, O-methyloxime (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:591195 CAPLUS
DN 139:133789
TI Preparation of sugar modified nucleosides as antiviral agents
IN ~~Hong, Zhi~~; An, Haoyun; ~~Ding, Yili~~; Girardet, Jean-luc; Zhong, Weidong
PA Ribapharm Inc., USA
SO PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DT Patent
LA English

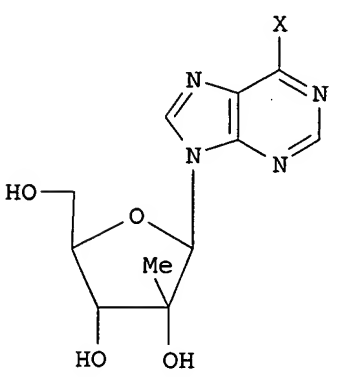
Same priority date

FAN.CNT 4

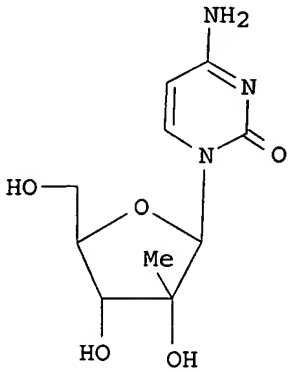
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003062255	A2	20030731	WO 2002-US31556	20021002

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,

CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
EP 1572705 A2 20050914 EP 2002-776103 20021002
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
PRAI US 2002-350296P P 20020117
US 2002-391800P P 20020626
WO 2002-US31556 W 20021002
OS MARPAT 139:133789
GI



I



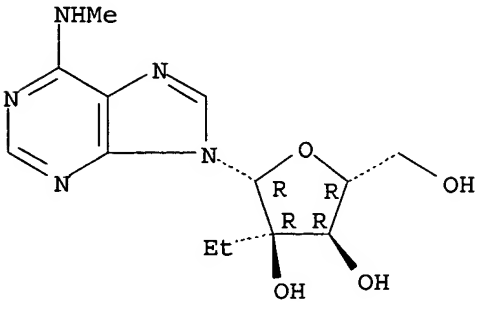
II

AB Various 2'-modified nucleoside analogs I and II wherein X is NH2, NHMe, NMe2, OMe, SMe, and corresponding prodrugs are provided, and particularly contemplated methods of use include use as antiviral agents, and especially as antiviral agents against HCV.

IT 565450-72-8P 565450-73-9P 565450-76-2P
565450-77-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sugar modified nucleosides as antiviral agents)

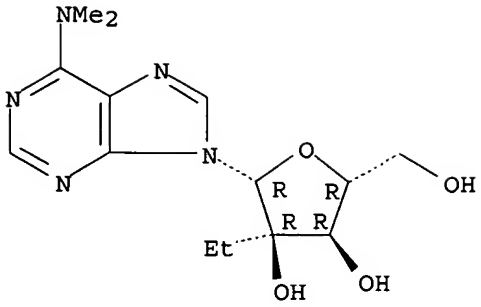
RN 565450-72-8 CAPLUS
CN Adenosine, 2'-C-ethyl-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



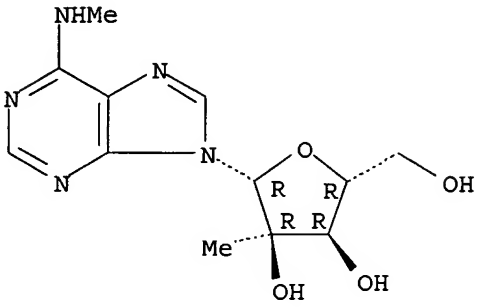
RN 565450-73-9 CAPLUS
CN Adenosine, 2'-C-ethyl-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



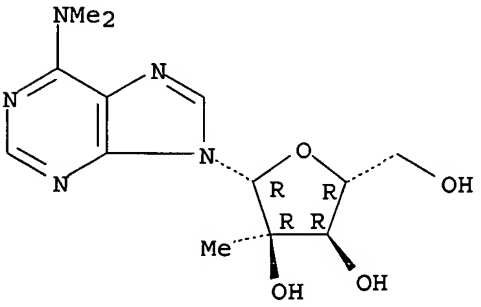
RN 565450-76-2 CAPLUS
CN Adenosine, N-methyl-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



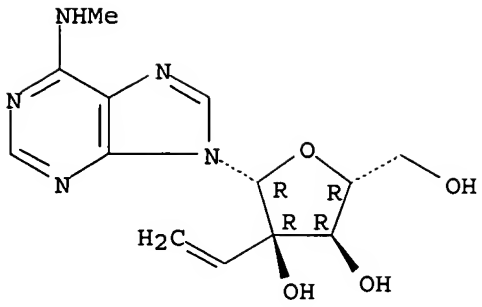
RN 565450-77-3 CAPLUS
CN Adenosine, N,N-dimethyl-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



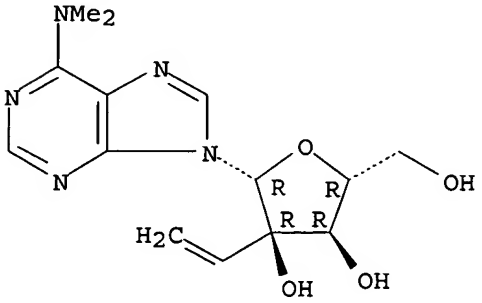
IT 565450-98-8 565450-99-9 565451-03-8
565451-04-9
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of sugar modified nucleosides as antiviral agents)
RN 565450-98-8 CAPLUS
CN Adenosine, 2'-C-ethenyl-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



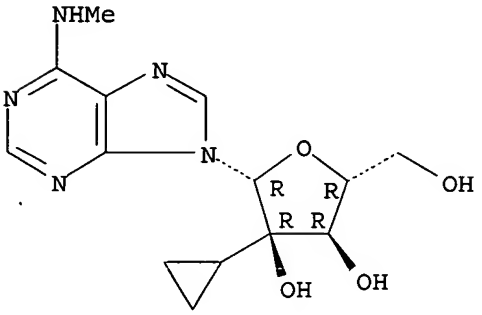
RN 565450-99-9 CAPLUS
CN Adenosine, 2'-C-ethenyl-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



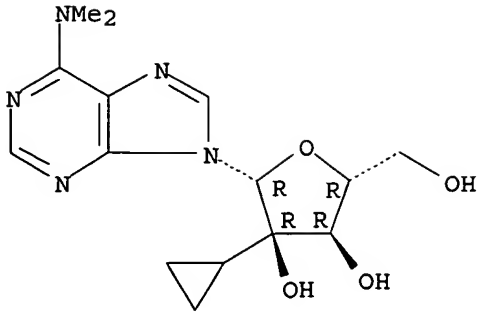
RN 565451-03-8 CAPLUS
CN Adenosine, 2'-C-cyclopropyl-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 565451-04-9 CAPLUS
CN Adenosine, 2'-C-cyclopropyl-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1969:502192 CAPLUS
DN 71:102192
TI Substituted purine nucleosides
IN Walton, Edward
PA Merck and Co., Inc.
SO Fr., 11 pp.
CODEN: FRXXAK
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 1521076		19680412	FR 1967-104388	19670427
	DE 1593110			DE	
	DE 1620053			DE	
	DE 1695411			DE	
	DE 1768470			DE	
	DE 1770700			DE	
	GB 1163102			GB	
	GB 1187824			GB	
	GB 1187825			GB	
	US 3480613		19691125	US	19670703
PRAI	US		19660502		

AB The title compds. (I), which were useful in preparing nucleotides for the study of nucleic acid metabolism were prepared by treating 2,6-substituted chloromercuri-purines with 2,3,5,-tri-O-acyl-2-methyl-D-ribofuranosyl halides to give 2,6-substituted 9-(2,3,5,-tri-O-acyl-2-C-methyl-D-ribofuranosyl) purines which were solvolyzed, aminolyzed, or mercaptolyzed. Thus, a solution of 5 g. 2-C-methyl-D-ribono-1,4-lactone in 100 cc. dry pyridine at 5° was treated with 17 cc.BzCl, heated 65-70° 4 hrs., and kept at room temperature 6 hrs. to give 60% 2,3,5-tri-O-benzoyl-2-C-methyl-D-ribono-1,4-lactone (II) m. 138-40°. A solution 7 g. II in 30 cc. dry tetrahydrofuran under N was cooled and treated with 58.8 cc. M di-sec-isoamyl-borane, kept at room temperature 16 hrs., 6 cc. H2O added, refluxed 0.5 hr., and at 5°, 11.5 cc. H2O2 added keeping the pH 7-8 by the addition of about 7 cc. 3N Na2CO3 to give 37% 2,3,5-tri-O-benzoyl-2-C-methyl-(α and β)-D-ribofuranose (III) purified by chromatog. on silica gel. A solution 4.2 g. III (containing a small amount of 3,5-di-O-benzoyl-2-C-methyl-(α,β)-D-ribofuranose) in 80 cc. dry pyridine was treated with 8.0 cc. BzCl and heated at 90° for 4 hrs. to give 42% 1,2,3,5-tetra-O-benzoyl-2-C-methyl-β-D-ribofuranose (IV), m. 155-6°, and 57% 1,2,3,5-tetra-O-benzoyl-2-C-methyl-α-D-ribofuranose (V) as an oil. to 100 cc. of a saturated HCl Et2O solution was added 2 cc. AcCl and 1.5 g. IV and the mixture kept at room temperature 2 hrs. to give 2,3,5-tri-O-benzoyl-2-C-methyl-β-D-ribofuranosyl chloride (VI). A solution of 1.5 g. V in 7.5 cc. AcOH was treated with a solution of 0.25 cc. AcBr and 7.5 cc. 32% HBr in AcOH and the mixture kept at 25° 24 hrs. to give 2,3,5-tri-O-benzoyl-

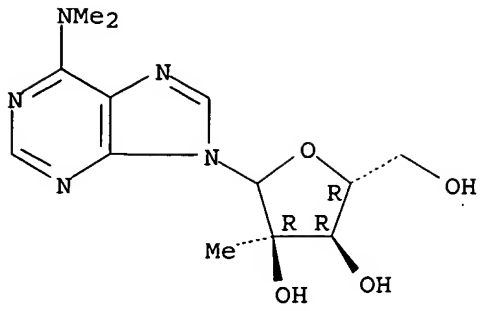
2-C-methyl-β-D-ribofuranosyl bromide. From a suspension of 5.95 g. 2-acetamido-9-chloromercuri-6-hydroxypurine in 175 cc. xylene about 25 cc. of xylene was distilled to remove traces of H2O, the VI prepared from 8.1 g. IV in 25 cc. dry xylene was added, and the mixture stirred at 50-100° and refluxed 1 hr. to give 2-acetamido-9-(2,3,5-tri-O-benzoyl-2-methyl-D-ribofuranosyl)-6-hydroxypurine (VII). Similarly prepared were: 6-N-methyl-9-(2,3,5-tri-O-benzoyl-C-methyl-D-ribofuranosyl)benzamidopurine (VIII); 6-chloro-9-(2,3,5-tri-O-benzoyl-2-C-methyl-D-ribofuranosyl)purine (IX); 2,6-dibenzamido-9-(2,3,5-tri-O-benzoyl-2-C-methyl-D-ribofuranosyl)purine (X); 6-methyl-9-(2,3,5-tri-O-benzoyl-2-C-methyl-D-ribofuranosyl)purine (XI); 6-benzamido-9-(2,3,5-tri-O-benzoyl-2-C-methyl-D-ribofuranosyl)purine (XII). A suspension of 1.0 g. IX in 25 cc. MeOH containing 6.5 g. Me2NH was heated 10 hrs. in a sealed tube at 100° and concentrated in vacuo and the residue dissolved in 25 cc. H2O, washed with C6H6, and treated with 2 g. Dowex II-X8 strongly basic anion-exchange resin to give I (R1 = Me2N, R = H). A mixture of 1.2 g. X in 12 cc. dry MeOH was treated with 97 mg. Na in 12 cc. MeOH and refluxed 3 hrs. to give I (R = R1 = NH2). A suspension of 1.25 g. IX and 307 mg. thiourea in 3 cc. EtOH was refluxed 40 min. to give 9-(2,3,5-tri-O-benzoyl-2-C-methyl-D-ribofuranosyl)purine-6-thiol, (XIII). A suspension of 400 mg. XIII in 3.5 cc. MeOH was treated with a solution prepared from 19.5 mg. Na in 3.5 cc. dry MeOH and the mixture refluxed 3 hrs. to give I (R = H, R1 = SH). A mixture 1 g. IX, 8 g. MeNH2, and 25 g. MeOH was heated at 100° 10 hrs. in a sealed tube to give I(R = H, R1 = NHMe). A solution of 1 g. IX in 17 cc. dioxane, 80 mg. MgO, and 0.5 g. of 5% Pd on C was shaken 98 hrs. in a H atmospheric at 25° to give 9-(2,3,5-tri-O-benzoyl-2-C-methyl-D-ribofuranosyl)purine (XIV). A solution 400 mg. XIV in 8 cc. dry MeOH was treated with a solution of 23 mg. Na in 8 cc. dry MeOH and refluxed 3 hrs. to give I(R = R1 = H). A suspension of 800 mg. VII in 8 cc. anhydrous MeOH was treated with a solution of 105 mg. Na in 8 cc. dry MeOH and the mixture refluxed 2 hrs. to give 9-(2-C-methyl-D-ribofuranosyl)guanine. A solution of 479 mg. IX in 20 cc. MeOH containing 2 g. NH3 was kept at 5° 20 hrs. to give I(R = H, R1 = Cl). A suspension of 3.9 g. VIII in 40 cc. dry MeOH was treated with a solution prepared from 175 mg. Na in 40 cc. dry MeOH, and the mixture refluxed 3.5 hrs. to give I(R = H, R1 = MeNH). A solution of 2.0 g. IX in 30 cc. EtOH containing 12 cc. EtNH2 was heated in a sealed tube at 100° for 10 hrs. to give I(R = H, R1 = NHEt). A solution of 605 mg. IX in 30 cc. dry MeOH was treated with a solution prepared by saturating 20 cc. of a 0.1N NaOMe solution with MeSH, and the mixture refluxed 30 min. to give I(R = H, R1 = SMe). A mixture of 590 mg. XI and 50 cc. dry MeOH was treated with a solution prepared from 23 mg. Na and 10 cc. dry MeOH, and the mixture refluxed 4 hrs. to give I(R = H, R1 = Me). A mixture 1.48 g. XII and 15 cc. MeOH was treated with a solution prepared from 70 mg. Na and 5 cc. MeOH, and the mixture refluxed 45 min. to give 59% 2'-C-methyladenosine.

IT 25899-60-9P 25899-63-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 25899-60-9 CAPLUS

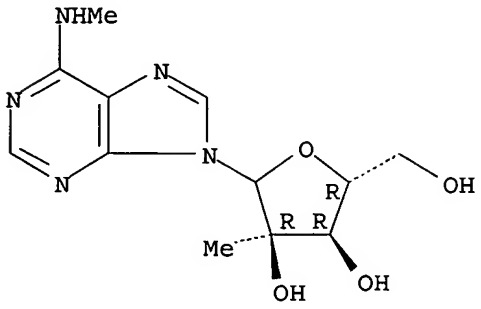
CN Adenine, N,N-dimethyl-9-(2-C-methyl-D-ribofuranosyl)- (8CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 25899-63-2 CAPLUS
CN Adenine, N-methyl-9-(2-C-methyl-D-ribofuranosyl)- (8CI) (CA INDEX NAME)

Absolute stereochemistry.



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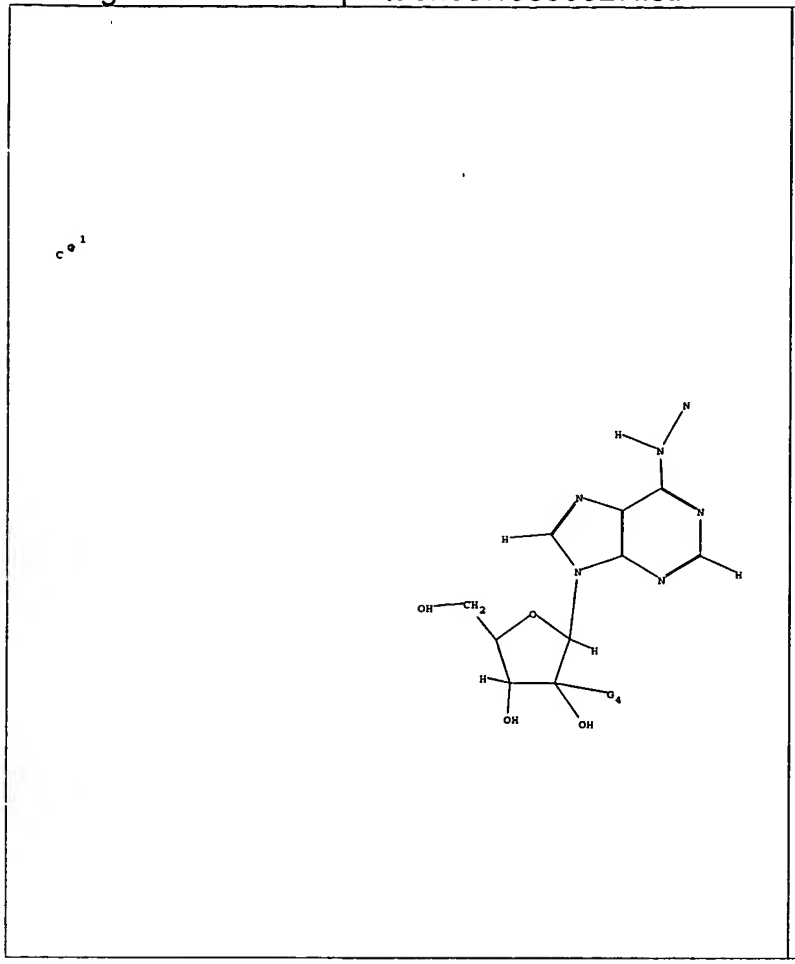

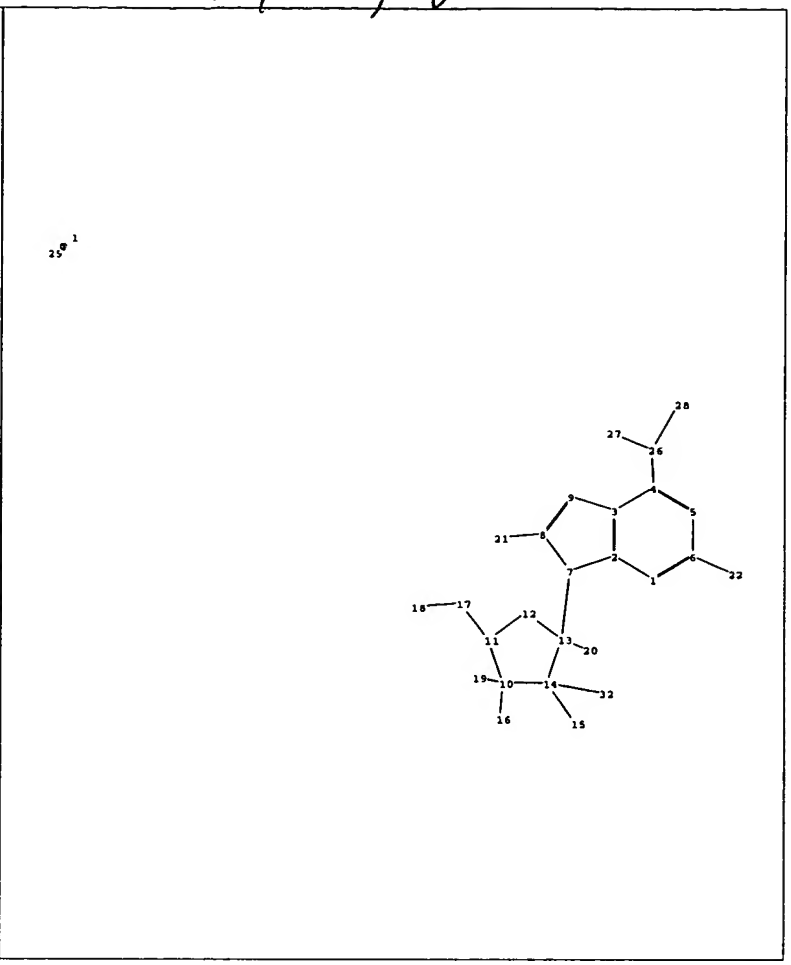

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ring bonds :
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exact bonds :
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normalized bonds :
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G1:CH3,NH2,H

G2:CH3,OH,MeO

G3:CN

G4:O,[*1]

Search for indepent claims

4+5 where Z is:

C- or O-, both oper

& X is:

@-$\overset{H}{N}$-N=(open)

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS 22:CLASS 26:CLASS 27:CLASS 28:CLASS 29:CLASS 32:CLASS

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SAMPLE SCREEN SEARCH COMPLETED - 2 TO ITERATE

100.0% PROCESSED 2 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 2 TO 124
PROJECTED ANSWERS: 0 TO 0

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FULL SCREEN SEARCH COMPLETED - 17 TO ITERATE

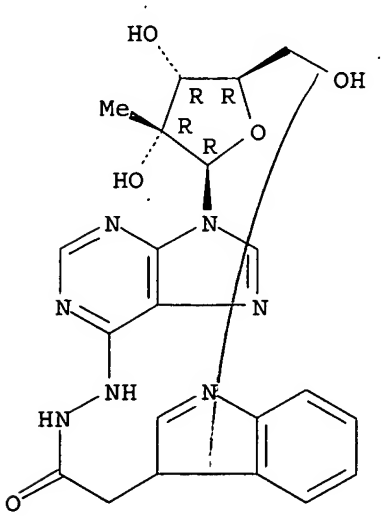
100.0% PROCESSED 17 ITERATIONS 8 ANSWERS
SEARCH TIME: 00.00.02

L43 8 SEA SSS FUL L41

=> d 1-8 l43

L43 ANSWER 1 OF 8 REGISTRY COPYRIGHT 2006 ACS on STN
RN 622380-62-5 REGISTRY
ED Entered STN: 01 Dec 2003
CN 3H-Indole-3-acetic acid, 2-[9-(2-C-methyl-β-D-ribofuranosyl)-9H-purin-6-yl]hydrazide (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C21 H23 N7 O5
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

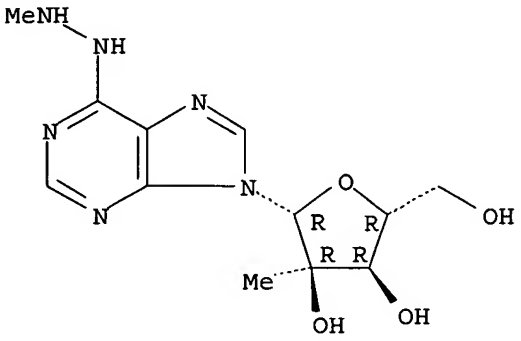


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L43 ANSWER 2 OF 8 REGISTRY COPYRIGHT 2006 ACS on STN
RN 622379-60-6 REGISTRY
ED Entered STN: 01 Dec 2003
CN Inosine, 2'-C-methyl-, methylhydrazone (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C12 H18 N6 O4
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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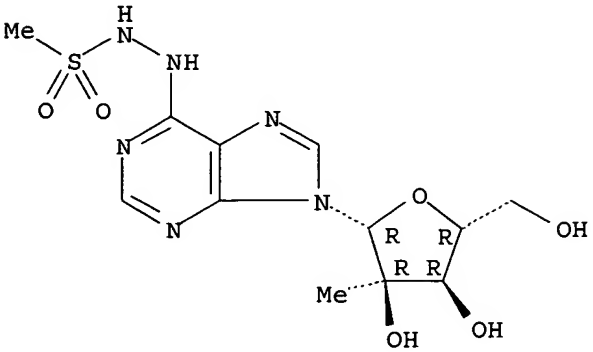
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L43 ANSWER 3 OF 8 REGISTRY COPYRIGHT 2006 ACS on STN
RN 565435-17-8 REGISTRY
ED Entered STN: 13 Aug 2003
CN Methanesulfonic acid, 2-[9-(2-C-methyl-β-D-ribofuranosyl)-9H-purin-6-yl]hydrazide (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C12 H18 N6 O6 S
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



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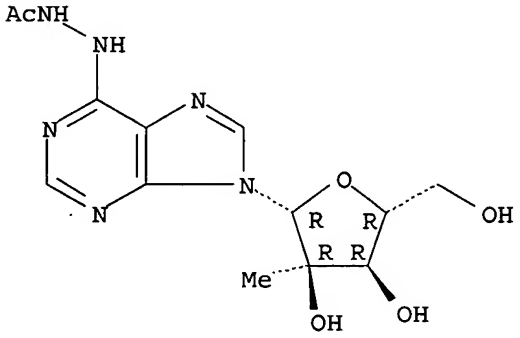
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L43 ANSWER 4 OF 8 REGISTRY COPYRIGHT 2006 ACS on STN
RN 565435-16-7 REGISTRY
ED Entered STN: 13 Aug 2003
CN Acetic acid, 2-[9-(2-C-methyl-β-D-ribofuranosyl)-9H-purin-6-yl]hydrazide (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C13 H18 N6 O5
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

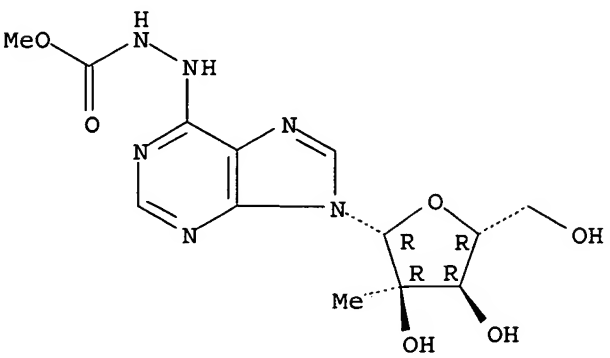


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L43 ANSWER 5 OF 8 REGISTRY COPYRIGHT 2006 ACS on STN
RN 565435-15-6 REGISTRY
ED Entered STN: 13 Aug 2003
CN Hydrazinecarboxylic acid, 2-[9-(2-C-methyl-β-D-ribofuranosyl)-9H-purin-6-yl]-, methyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C13 H18 N6 O6
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



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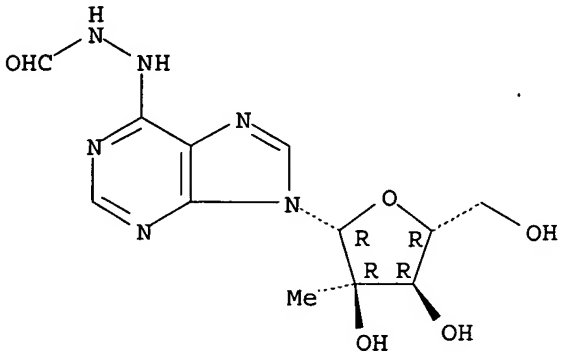
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L43 ANSWER 6 OF 8 REGISTRY COPYRIGHT 2006 ACS on STN
RN 565435-13-4 REGISTRY
ED Entered STN: 13 Aug 2003
CN Inosine, 2'-C-methyl-, formylhydrazone (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C12 H16 N6 O5
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



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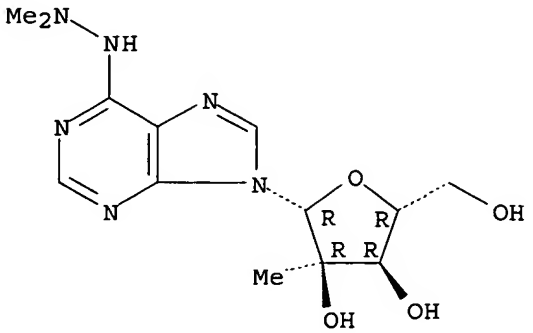
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L43 ANSWER 7 OF 8 REGISTRY COPYRIGHT 2006 ACS on STN
RN 565435-11-2 REGISTRY
ED Entered STN: 13 Aug 2003
CN Inosine, 2'-C-methyl-, 2,2-dimethylhydrazone (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C13 H20 N6 O4
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

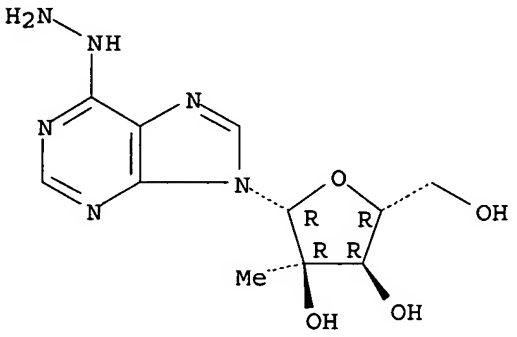


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L43 ANSWER 8 OF 8 REGISTRY COPYRIGHT 2006 ACS on STN
RN 565435-10-1 REGISTRY
ED Entered STN: 13 Aug 2003
CN Inosine, 2'-C-methyl-, hydrazone (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C11 H16 N6 O4
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



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2 REFERENCES IN FILE CA (1907 TO DATE)
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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	182.58	1854.37

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-16.50

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FILE LAST UPDATED: 5 Jul 2006 (20060705/ED)

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L44 2 L43

=> d bib abs hitstr 1-2

L44 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:892793 CAPLUS
DN 139:365176
TI Preparation of nucleoside derivatives for treating hepatitis C virus infection
IN Roberts, Christopher Don; Dyatkina, Natalia B.; Keicher, Jesse D.; Liehr, Sebastian Johannes Reinhard; Hanson, Eric Jason
PA Genelabs Technologies, Inc., USA
SO PCT Int. Appl., 182 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003093290	A2	20031113	WO 2003-US14237	20030506
	WO 2003093290	A3	20040318		
	WO 2003093290	C1	20050519		
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OS MARPAT 139:365176
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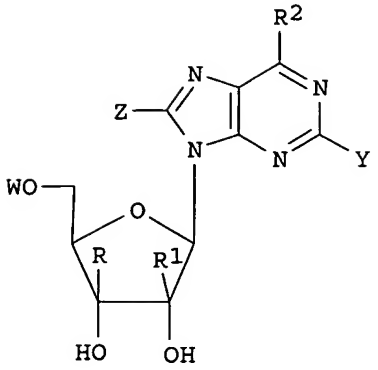

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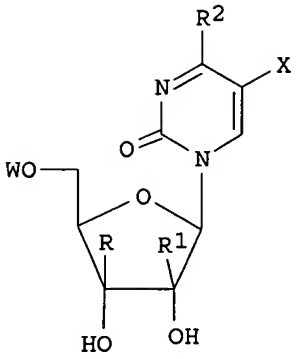

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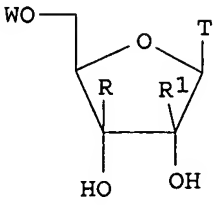


III

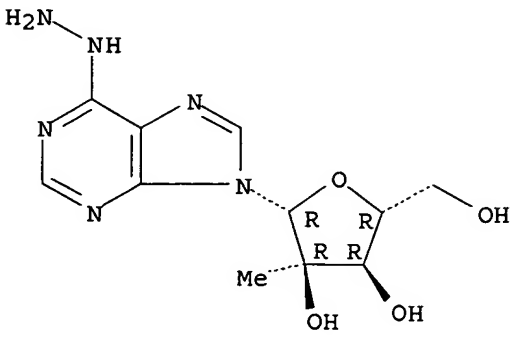
AB Nucleosides I-III, wherein R and R1 are independently H, alkyl, alkenyl, alkynyl, provided that R and R1 are not both H; R2 is alkyl, cycloalkyl, alkenyl, alkynyl, acylamino, guanidino, amidino, thioacylamino, OH, alkoxy, halo, nitro, aryl, heteroaryl, substituted amine; W is H, phosphate, phosphonate, acyl, alkyl, sulfonate, lipid, amino acid, sugar residue, peptide, cholesterol; X is H, halo, alkyl, substituted amine; Y is H, halo, OH, alkylthio, substituted amine; Z is H, halo, OH, alkyl, substituted amine; T is nucleobase, were prepared as HCV RNA polymerase inhibitors and for treating hepatitis C virus infections. Thus, 2-(4-amino-pyrrolo[3,2-c]pyridin-1-yl)-5-hydroxymethyl-3-methyltetrahydro-furan-3,4-diol was prepared for treating hepatitis C virus infections (no data). Different kind of formulation such as tablet, capsule, suspension, injectable, and suppository formulation are reported.

IT 565435-10-1P 622379-60-6P 622380-62-5P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nucleoside derivs. for treating hepatitis C virus infection)

RN 565435-10-1 CAPLUS

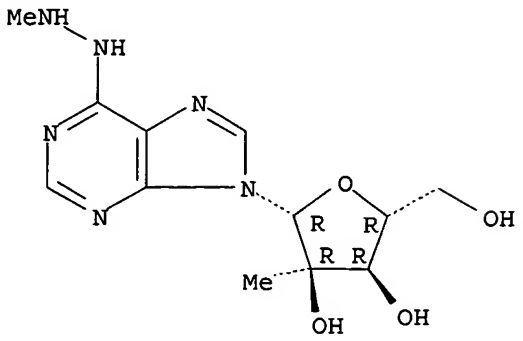
CN Inosine, 2'-C-methyl-, hydrazone (9CI) (CA INDEX NAME)

Absolute stereochemistry.



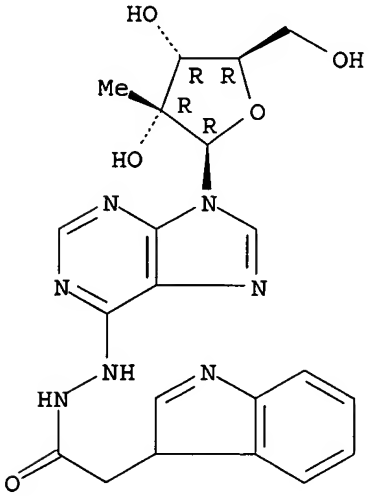
RN 622379-60-6 CAPLUS
CN Inosine, 2'-C-methyl-, methylhydrazone (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 622380-62-5 CAPLUS
CN 3H-Indole-3-acetic acid, 2-[9-(2-C-methyl-β-D-ribofuranosyl)-9H-purin-6-yl]hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



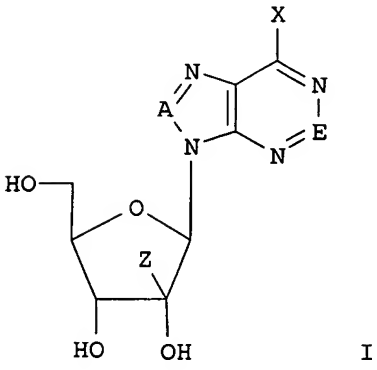
L44 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:591196 CAPLUS
DN 139:133790
TI Preparation of 2'-β-modified-6-substituted adenosine analogs and their use as antiviral agents
IN An, Haoyun; Ding, Yili; Shaw, Stephanie; Hong, Zhi
PA Ribapharm Inc., USA
SO PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 4

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003062256	A1	20030731	WO 2002-US34026	20021023

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,
CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2002-350296P P 20020117
OS MARPAT 139:133790
GI



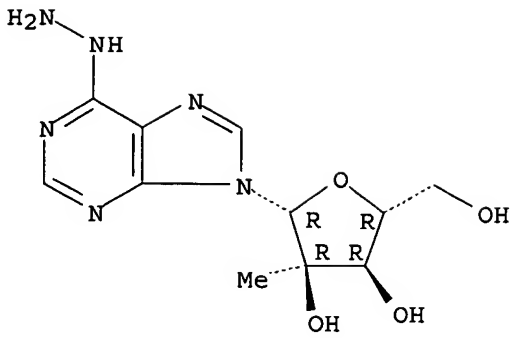
I

AB Various 2'-beta-methyl-6-substituted adenosine analogs I in which Z is selected from the group consisting of an alkyl, an O-alkyl, an alkenyl, an alkynyl, and CN, wherein the alkyl, the alkenyl, or the alkynyl is optionally substituted with a halogen or OH; A is CH or N, and E is C-R6 or N, such that (1) when A is CH then E is C-R6 or N, and (2) when A is N then E is CH; X is NR1R2, NR2NR3R4, NR2N=NR3, NR2N=CHR3, NR2N=O, NR2C(=O)NR3R4, NR2C(=S)NR3R4, NR2C(=NH)NR3R4, NR1C(=O)NR2NR3R4, NR2OR3, ONHC(O)O-alkyl, ONHC(O)O-aryl, ONR3R4, SNR1R2, SONR1R2, or S(O)2NR1R2; wherein R1-R4 are independently H, alkyl, substituted alkyl, O-alkyl, cyclic alkyl, heterocyclic alkyl, alkoxy, alkaryl, aryl, heterocyclic aryl, substituted aryl, acyl, substituted acyl, S(O)2-alkyl, NO, NH2, or OH; and R6 is H, NH2, halogen, N3, NHR1, NHCOR1 NR1R2, NHSO2R1, NHCONHR1, NHCSNHR1, CH2NHR1, CHR1NHR2, NHNH2, CN, alkyl, alkenyl, alkynyl, CH2-aryl, CH2-heterocycle, halogen, OH, or SH; are prepared by conventional and combinatorial library approaches. Contemplated compds. are particularly useful as therapeutic agents, and especially as antiviral agents. Thus, N6-[3-(methylthio)phenyl]-9H-(2'-β-C-methyl-β-D-ribofuranosyl)adenine was prepared and tested in vitro as antiviral agent against influenza virus A, bovine viral diarrhea virus, Hepatitis B virus, HIV-1 virus and human Rhinovirus.

IT 565435-10-1P 565435-11-2P 565435-13-4P
565435-15-6P 565435-16-7P 565435-17-8P
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(preparation of 2'-β-modified-6-substituted adenosine analogs and their use as antiviral agents)

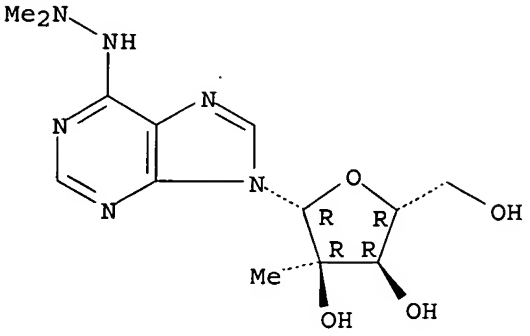
RN 565435-10-1 CAPLUS
CN Inosine, 2'-C-methyl-, hydrazone (9CI) (CA INDEX NAME)

Absolute stereochemistry.



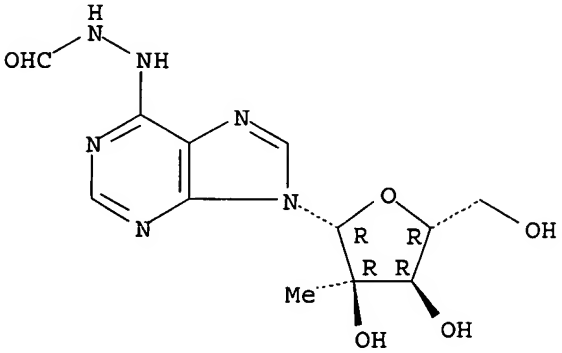
RN 565435-11-2 CAPLUS
CN Inosine, 2'-C-methyl-, 2,2-dimethylhydrazone (9CI) (CA INDEX NAME)

Absolute stereochemistry.



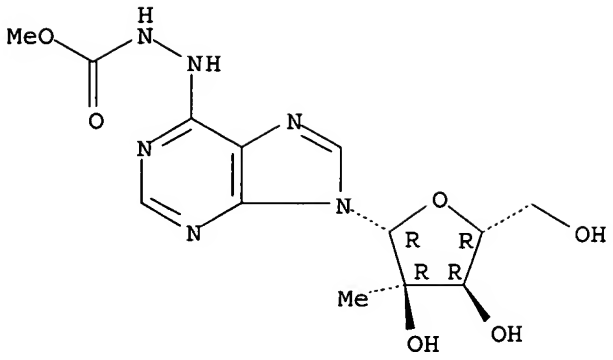
RN 565435-13-4 CAPLUS
CN Inosine, 2'-C-methyl-, formylhydrazone (9CI) (CA INDEX NAME)

Absolute stereochemistry.



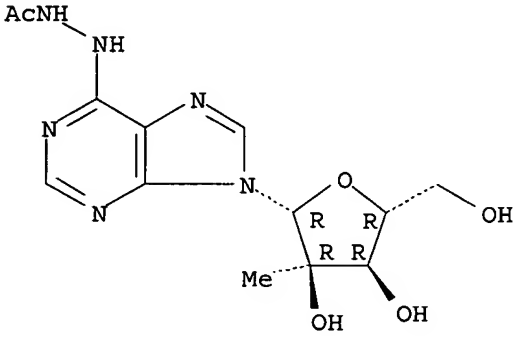
RN 565435-15-6 CAPLUS
CN Hydrazinecarboxylic acid, 2-[9-(2-C-methyl-β-D-ribofuranosyl)-9H-purin-6-yl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



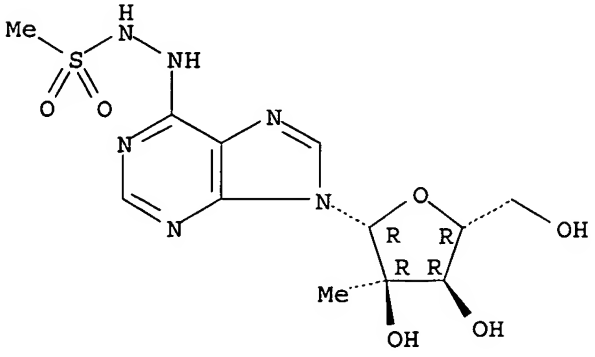
RN 565435-16-7 CAPLUS
CN Acetic acid, 2-[9-(2-C-methyl-β-D-ribofuranosyl)-9H-purin-6-yl]hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 565435-17-8 CAPLUS
CN Methanesulfonic acid, 2-[9-(2-C-methyl-β-D-ribofuranosyl)-9H-purin-6-yl]hydrazide (9CI) (CA INDEX NAME)

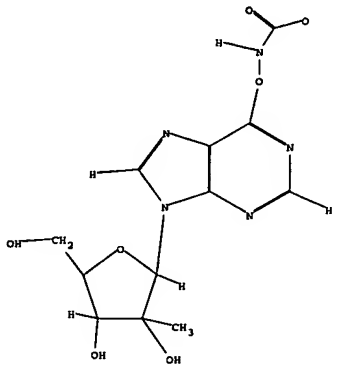
Absolute stereochemistry.

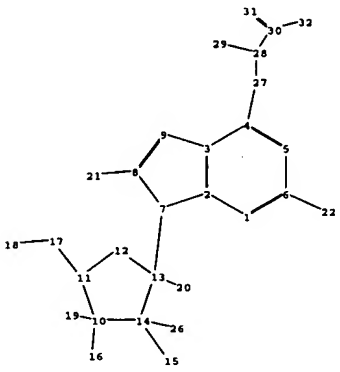


RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

C:\Program Files\Stnexp\Queries\10530627h.str

10/530,627

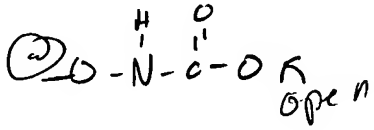




chain nodes :
15 16 17 18 19 20 21 22 26 27 28 29 30 31 32
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14
chain bonds :
4-27 6-22 7-13 8-21 10-16 10-19 11-17 13-20 14-15 14-26 17-18 27-28 28-29 28-30 30-31 30-32
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9 10-11 10-14 11-12 12-13 13-14
exact/norm bonds :
2-7 3-9 4-27 7-8 7-13 8-9 10-11 10-14 10-16 11-12 12-13 13-14 14-15 27-28 28-30 30-31 30-32
exact bonds :
6-22 8-21 10-19 11-17 13-20 14-26 17-18 28-29
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

Search for independent claims 6 + 7 where

$Z = CH_3$ & X is:



G1:CH3,NH2,H

G2:CH3,OH,MeO

G3:CN

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS 22:CLASS 26:CLASS 27:CLASS 28:CLASS 29:CLASS 30:CLASS 31:CLASS 32:CLASS

```
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Welcome to STN International!  Enter x:x

LOGINID:ssspta1600txm

PASSWORD:
 * * * * * * RECONNECTED TO STN INTERNATIONAL * * * * * *
SESSION RESUMED IN FILE 'REGISTRY' AT 15:30:31 ON 06 JUL 2006
FILE 'REGISTRY' ENTERED AT 15:30:31 ON 06 JUL 2006
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COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   167.38    1496.27

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                     0.00     -16.50

=>
Uploading C:\Program Files\Stnexp\Queries\10530627h.str

L35     STRUCTURE UPLOADED

=> d l35
L35 HAS NO ANSWERS
L35             STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.


=> s l35 sss sam
SAMPLE SEARCH INITIATED 15:30:58 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -        5 TO ITERATE

100.0% PROCESSED         5 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            5 TO      234
PROJECTED ANSWERS:               0 TO        0

L36           0 SEA SSS SAM L35

=> s l35 sss full
FULL SEARCH INITIATED 15:31:04 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      106 TO ITERATE

100.0% PROCESSED       106 ITERATIONS                               2 ANSWERS
SEARCH TIME: 00.00.01

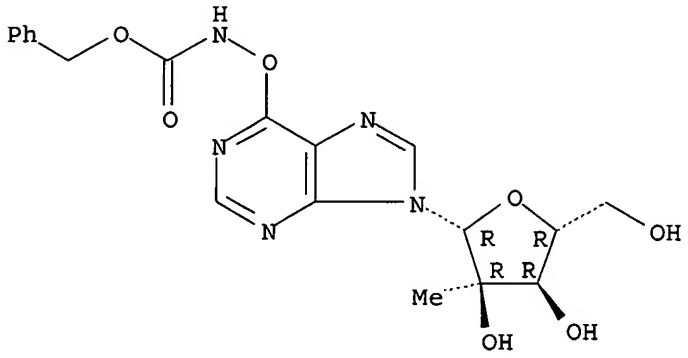
L37           2 SEA SSS FUL L35

=> d 1-2 l37

L37  ANSWER 1 OF 2  REGISTRY  COPYRIGHT 2006 ACS on STN
RN   565435-21-4  REGISTRY
```

ED Entered STN: 13 Aug 2003
CN Carbamic acid, [[9-(2-C-methyl-β-D-ribofuranosyl)-9H-purin-6-yl]oxy]-, phenylmethyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C19 H21 N5 O7
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

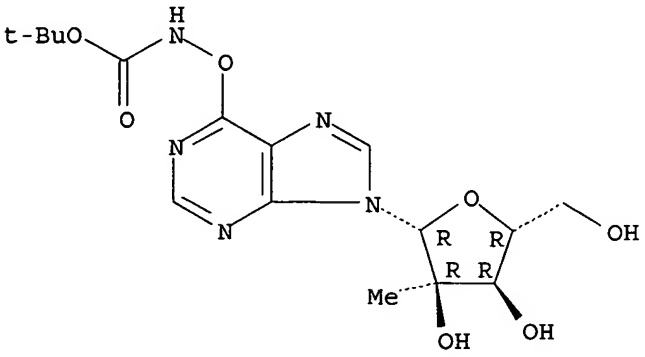


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L37 ANSWER 2 OF 2 REGISTRY COPYRIGHT 2006 ACS on STN
RN 565435-20-3 REGISTRY
ED Entered STN: 13 Aug 2003
CN Carbamic acid, [[9-(2-C-methyl-β-D-ribofuranosyl)-9H-purin-6-yl]oxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C16 H23 N5 O7
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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=> file caplus
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                       338.56    1667.45

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)              SINCE FILE      TOTAL
                                                           ENTRY    SESSION
CA SUBSCRIBER PRICE                                         0.00     -16.50

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FILE COVERS 1907 - 6 Jul 2006  VOL 145 ISS 2
FILE LAST UPDATED: 5 Jul 2006  (20060705/ED)

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=> s l35
   REG1stRY INITIATED
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SAMPLE SEARCH INITIATED 15:31:32 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -        5 TO ITERATE

100.0% PROCESSED        5 ITERATIONS                                 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            5 TO      234
PROJECTED ANSWERS:               0 TO        0

L38           0 SEA SSS SAM L35



L39          0 L38

=> s l37
L40          1 L37

=> d bib l40
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L40 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:591196 CAPLUS
DN 139:133790
TI Preparation of 2'-β-modified-6-substituted adenosine analogs and their use as antiviral agents
IN An, Haoyun; Ding, Yili; Shaw, Stephanie; Hong, Zhi
PA Ribapharm Inc., USA
SO PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 4

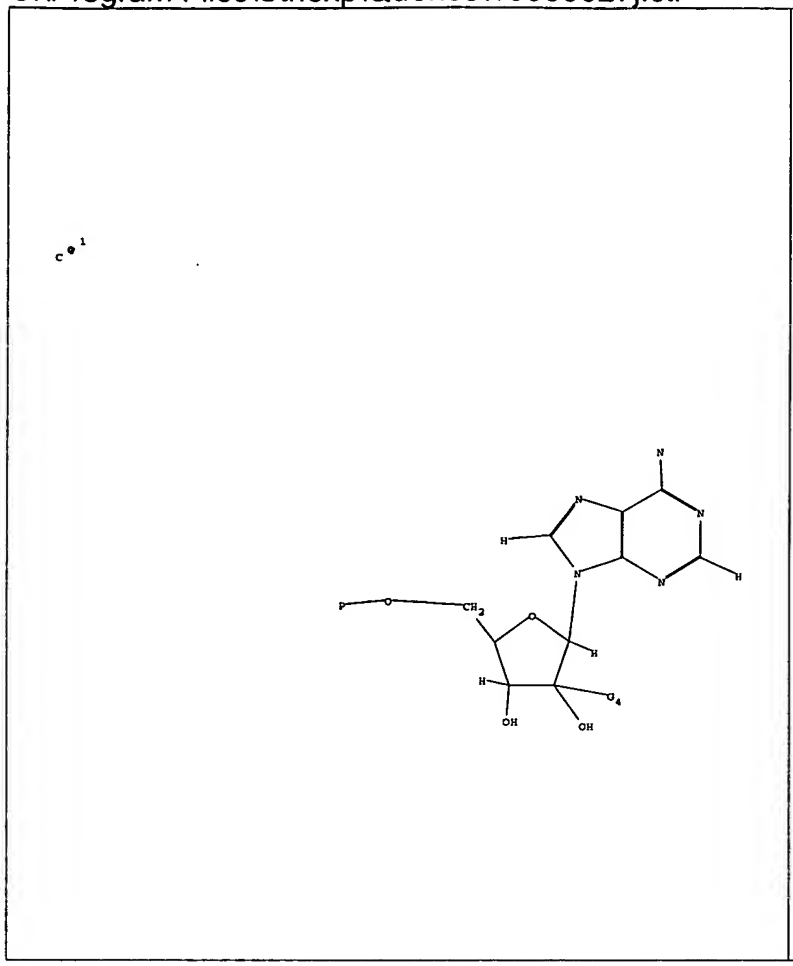
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003062256	A1	20030731	WO 2002-US34026	20021023

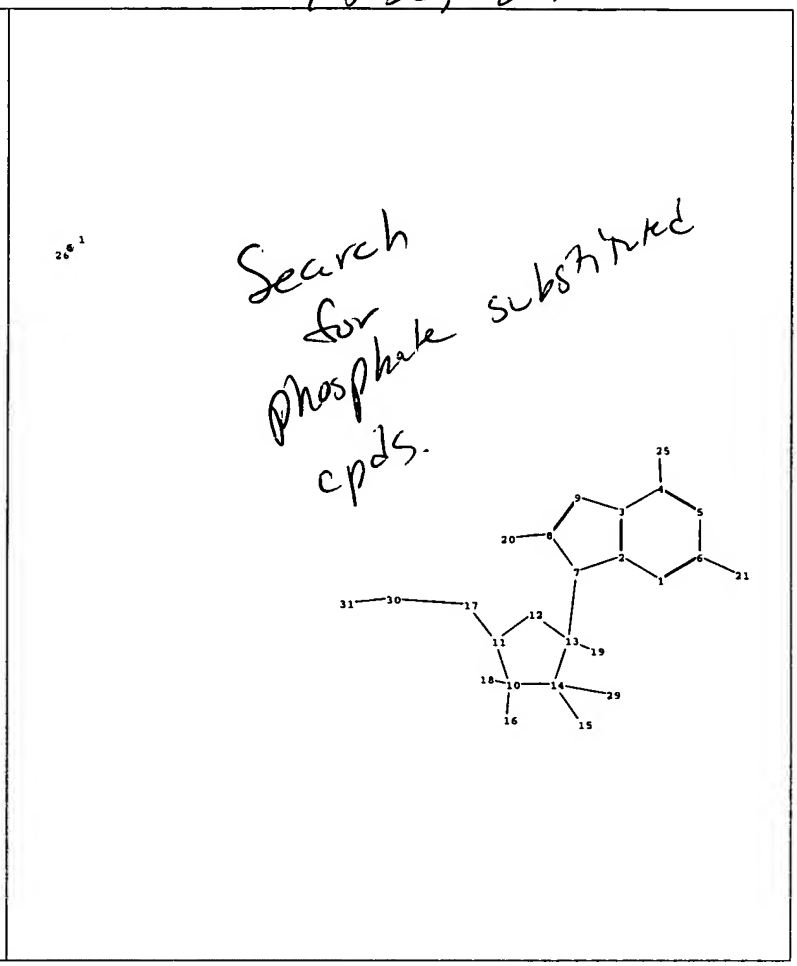
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2002-350296P P 20020117
OS MARPAT 139:133790
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

C:\Program Files\Stnexp\Queries\10530627j.str

10/530,627





Search for phosphate substituted cpds.

chain nodes :
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ring nodes :
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ring/chain nodes :
26
chain bonds :
4-25 6-21 7-13 8-20 10-16 10-18 11-17 13-19 14-15 14-29 17-30 30-31
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9 10-11 10-14 11-12 12-13 13-14
exact/norm bonds :
2-7 3-9 4-25 7-8 7-13 8-9 10-11 10-14 10-16 11-12 12-13 13-14 14-15 14-29 30-31
exact bonds :
6-21 8-20 10-18 11-17 13-19 17-30
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

G1:CH3,NH2,H

G2:CH3,OH,MeO

G3:CN

G4:O,[*1]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS 25:CLASS 26:CLASS 29:CLASS 30:CLASS 31:CLASS

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LOGINID:ssspta1600txm

PASSWORD:
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NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	FEB 27	New STN AnaVist pricing effective March 1, 2006
NEWS 4	APR 04	STN AnaVist $500 visualization usage credit offered
NEWS 5	MAY 10	CA/CAplus enhanced with 1900-1906 U.S. patent records
NEWS 6	MAY 11	KOREAPAT updates resume
NEWS 7	MAY 19	Derwent World Patents Index to be reloaded and enhanced
NEWS 8	MAY 30	IPC 8 Rolled-up Core codes added to CA/CAplus and USPATFULL/USPAT2
NEWS 9	MAY 30	The F-Term thesaurus is now available in CA/CAplus
NEWS 10	JUN 02	The first reclassification of IPC codes now complete in INPADOC
NEWS 11	JUN 26	TULSA/TULSA2 reloaded and enhanced with new search and and display fields
NEWS 12	JUN 28	Price changes in full-text patent databases EPFULL and PCTFULL

NEWS EXPRESS JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.

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NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8
NEWS X25	X.25 communication option no longer available

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* some databases may not be available until 04:00 (4:00 AM) *
* Eastern Daylight Time. *
****

* * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 17:38:32 ON 06 JUL 2006

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

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FILE 'REGISTRY' ENTERED AT 17:38:43 ON 06 JUL 2006
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STRUCTURE FILE UPDATES:     5 JUL 2006  HIGHEST RN 890705-10-9
DICTIONARY FILE UPDATES:    5 JUL 2006  HIGHEST RN 890705-10-9

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experimental property data in the original document.  For information
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http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10530627j.str

L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.


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SAMPLE SEARCH INITIATED 17:39:02 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -       30 TO ITERATE

100.0% PROCESSED       30 ITERATIONS                                1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          272 TO      928
PROJECTED ANSWERS:               1 TO       80

L2            1 SEA SSS SAM L1

=> d l2

L2   ANSWER 1 OF 1  REGISTRY  COPYRIGHT 2006 ACS on STN
RN   867258-93-3  REGISTRY
ED   Entered STN:  11 Nov 2005
CN   Adenosine 5'-(trihydrogen diphosphate), 2'-C-methyl-, P'→5'-ester
     with 2-[2,3-O-(1-methylethylidene)-β-D-ribofuranosyl]-4-
     thiazolecarboxamide, diammonium salt (9CI)  (CA INDEX NAME)
FS   STEREOSEARCH
MF   C23 H31 N7 O14 P2 S . 2 H3 N
SR   CA
```
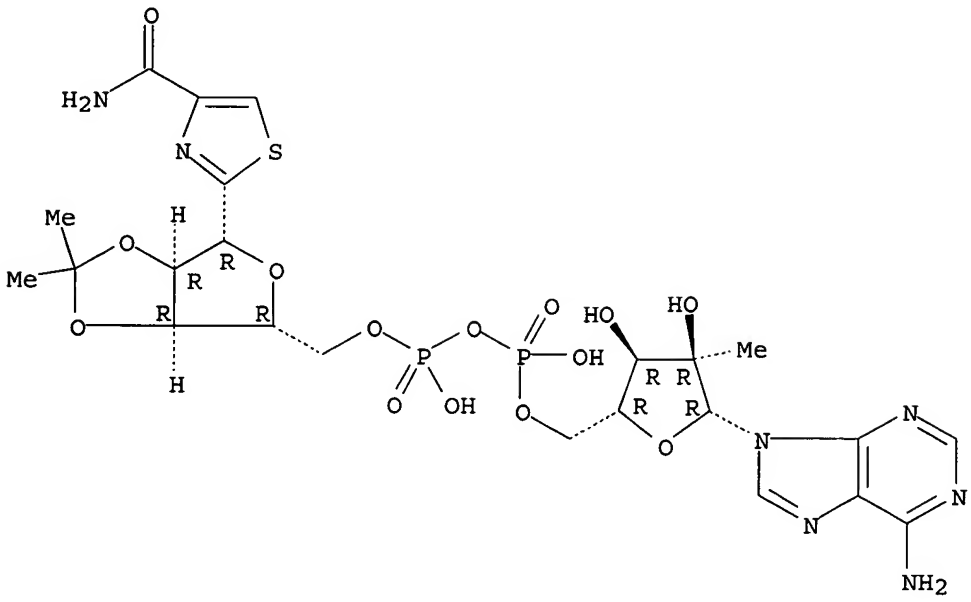

LC STN Files: CA, CAPLUS, CASREACT, TOXCENTER
CRN (849146-59-4)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

●2 NH_3

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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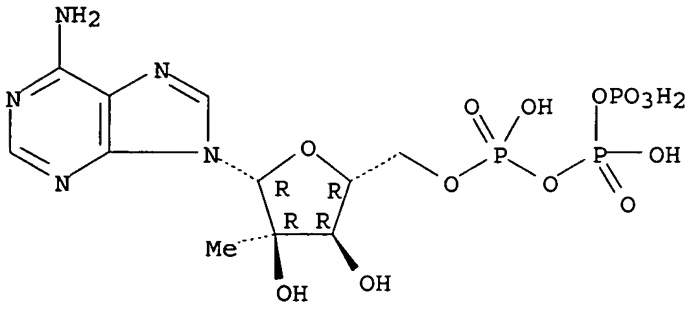
L4 ANSWER 1 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2006:136728 CAPLUS
DN 144:324202
TI The Novel Nucleoside Analog R1479 (4'-Azidocytidine) Is a Potent Inhibitor of NS5B-dependent RNA Synthesis and Hepatitis C Virus Replication in Cell Culture
AU Klumpp, Klaus; Leveque, Vincent; Le Pogam, Sophie; Ma, Han; Jiang, Wen-Rong; Kang, Hyunsoon; Granycome, Caroline; Singer, Margaret; Laxton, Carl; Hang, Julie Qi; Sarma, Keshab; Smith, David B.; Heindl, Dieter; Hobbs, Chris J.; Merrett, John H.; Symons, Julian; Cammack, Nick; Martin, Joseph A.; Devos, Rene; Najera, Isabel
CS Roche Palo Alto LLC, Palo Alto, CA, 94304, USA
SO Journal of Biological Chemistry (2006), 281(7), 3793-3799
CODEN: JBCHA3; ISSN: 0021-9258
PB American Society for Biochemistry and Molecular Biology
DT Journal
LA English
AB Hepatitis C virus (HCV) polymerase activity is essential for HCV replication. Targeted screening of nucleoside analogs identified R1479 (4'-azidocytidine) as a specific inhibitor of HCV replication in the HCV subgenomic replicon system (IC50 = 1.28 μM) with similar potency compared with 2'-C-methylcytidine (IC50 = 1.13 μM). R1479 showed no effect on cell viability or proliferation of HCV replicon or Huh-7 cells at concns. up to 2 mM. HCV replicon RNA could be fully cleared from replicon cells after prolonged incubation with R1479. The corresponding 5'-triphosphate derivative (R1479-TP) is a potent inhibitor of native HCV replicase isolated from replicon cells and of recombinant HCV polymerase (NS5B)-mediated RNA synthesis activity. R1479-TP inhibited RNA synthesis as a CTP-competitive inhibitor with a Ki of 40 nM. On an HCV RNA-derived template substrate (complementary internal ribosome entry site), R1479-TP showed similar potency of NS5B inhibition compared with 3'-dCTP. R1479-TP was incorporated into nascent RNA by HCV polymerase and reduced further elongation with similar efficiency compared with 3'-dCTP under the reaction conditions. The S282T point mutation in the coding sequence of NS5B confers resistance to inhibition by 2'-C-MeATP and other 2'-methyl-nucleotides. In contrast, the S282T mutation did not confer cross-resistance to R1479.
IT 374750-27-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)
(novel nucleoside analog R1479 (4'-azidocytidine) is a potent inhibitor of NS5B-dependent RNA synthesis and hepatitis C virus replication in cell culture)

RN 374750-27-3 CAPLUS

CN Adenosine 5'-(tetrahydrogen triphosphate), 2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2006:100316 CAPLUS

DN 144:192451

TI Preparation of nucleoside aryl phosphoramidates for use as an inhibitor of hepatitis C virus NS5B polymerase, RNA-dependent RNA polymerase, RNA viral replication and treating RNA-dependent RNA viral infections

IN Maccoss, Malcolm; Olsen, David B.

PA Merck & Co., Inc., USA

SO PCT Int. Appl., 40 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006012078	A2	20060202	WO 2005-US21684	20050620
	WO 2006012078	A3	20060601		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI	US 2004-582667P	P	20040624
	US 2004-619746P	P	20041018

OS MARPAT 144:192451

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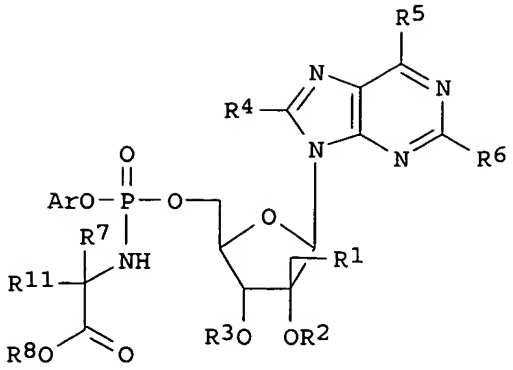


I

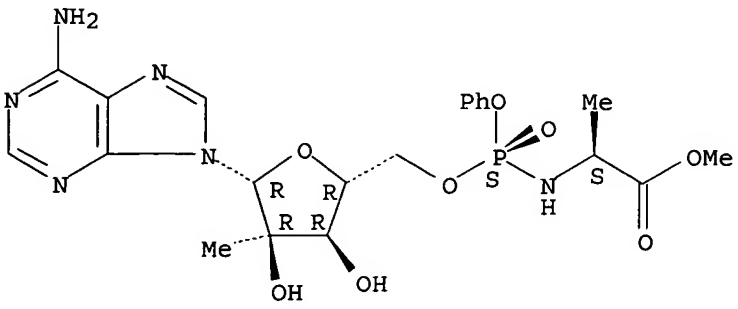
AB Nucleoside aryl phosphoramidates I, wherein Y is (un)substituted C or N; Ar is (un)substituted Ph; R1 is hydrogen, fluoro, azido, amino, hydroxy, C1-3 alkoxy, mercapto, and C1-3 alkylthio; R2 and R3 are each independently selected from the group consisting of hydrogen, Me, C1-16 alkylcarbonyl, C2-18 alkenylcarbonyl, C1-10 alkyloxycarbonyl, C3-6 cycloalkylcarbonyl, and C3-6 cycloalkyloxycarbonyl; R4 is hydrogen, halogen, Me, azido, or amino; R5 and R6 are each independently selected from the group consisting of hydrogen, hydroxy, halogen, C1-4 alkoxy, amino, C1-4 alkylamino, di(C1-4 alkyl)amino, C3-6 cycloalkylamino, di(C3-6 cycloalkyl)amino, benzylamino, dibenzylamino, or C4-6 heterocycloalkyl, wherein alkyl, cycloalkyl, benzyl, and heterocycloalkyl; R7 is hydrogen, C1-5 alkyl, (un)substituted Ph or benzyl; R8 is hydrogen, C1-6 alkyl, C3-6 cycloalkyl, (un)substituted Ph or benzyl; R9 is hydrogen or Me, were prepared as precursors to inhibitors of RNA-dependent RNA viral polymerase. Nucleoside aryl phosphoramidates, I, alone or in combination with other agents active against RNA-dependent RNA polymerase, inhibiting RNA-dependent RNA viral replication, and/or treating RNA-dependent RNA viral infection. Thus, II was prepared (no yield) and tested as an inhibitor of hepatitis C virus (HCV) NS5B polymerase, as precursors to inhibitors of HCV replication, and/or for the treatment of hepatitis C infection (EC50 less than 100 μM).

IT 874883-59-7P 874883-60-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nucleoside aryl phosphoramidates for use as an inhibitors of hepatitis C virus NS5B polymerase, RNA-dependent RNA polymerase, RNA viral replication and treating RNA-dependent RNA viral infections)

RN 874883-59-7 CAPLUS

CN L-Alanine, N-[[P(S)]-2'-C-methyl-P-phenyl-5'-adenylyl]-, methyl ester (9CI) (CA INDEX NAME)

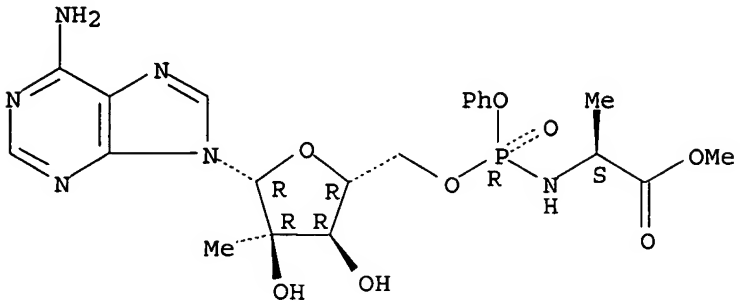
Absolute stereochemistry.



RN 874883-60-0 CAPLUS

CN L-Alanine, N-[[P(R)]-2'-C-methyl-P-phenyl-5'-adenylyl]-, methyl ester (9CI) (CA INDEX NAME)

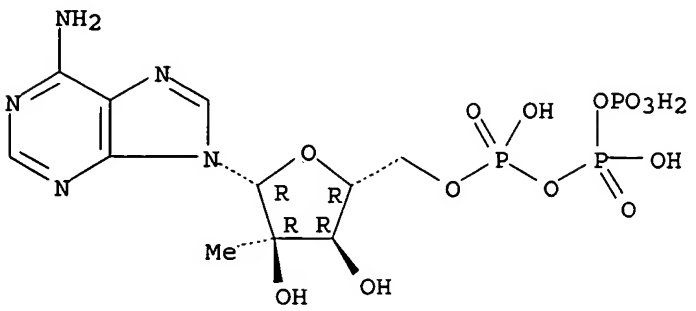
Absolute stereochemistry.



L4 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:410482 CAPLUS
DN 143:444
TI Inhibitory effect of 2'-substituted nucleosides on hepatitis C virus replication correlates with metabolic properties in replicon cells
AU Tomassini, Joanne E.; Getty, Krista; Stahlhut, Mark W.; Shim, Sung; Bhat, Balkrishen; Eldrup, Anne B.; Prakash, Thazha P.; Carroll, Steven S.; Flores, Osvaldo; MacCoss, Malcolm; McMasters, Daniel R.; Migliaccio, Giovanni; Olsen, David B.
CS Department of Antiviral Research, Merck Research Laboratories, West Point, PA, 19486, USA
SO Antimicrobial Agents and Chemotherapy (2005), 49(5), 2050-2058
CODEN: AMACCQ; ISSN: 0066-4804
PB American Society for Microbiology
DT Journal
LA English
AB Nucleosides have been widely used in the treatment of viral diseases, but relatively few have been identified as inhibitors of hepatitis C virus (HCV). The modified ribonucleosides, 2'-C-methyl-adenosine and 2'-O-methyl-cytidine, are potent inhibitors of HCV replication which specifically target the NS5B polymerase. Herein, a more extensive characterization of the effect of these compds. upon HCV replication in subgenomic replicons is reported. A highly selective antireplicative effect induced by the nucleosides in replicon-containing cell lines was maintained during an exponential growth period with potencies which paralleled the reduction of both pos.- and neg.-strand RNA replication. Moreover, the inhibitory effect closely correlated with the intrinsic metabolic properties of differing replicon clonal lines. Interestingly, while 2'-C-methyl-adenosine elicited similar inhibitory potencies in different cell lines, 2'-O-methyl-cytidine was found to be inactive in one replicon cell line tested, although the corresponding triphosphates comparably inhibited the in vitro activity of replication complexes isolated from these cells and the activity of NS5B polymerase using synthetic templates. The lack of antireplicative effect, attributed to poor intracellular conversion of the 2'-O-methyl-cytidine nucleoside to the active 5'-triphosphate, was reversed using a monophosphate prodrug. Thus, although replicon cells are useful for evaluating the effect of inhibitors upon HCV replication, these findings have important implications for their use in the identification and characterization of nucleosides and other chemotherapeutic agents requiring cellular metabolism
IT 374750-27-3
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitory effect of 2'-substituted nucleosides on hepatitis C virus replication correlates with metabolic properties in replicon cells)
RN 374750-27-3 CAPLUS

CN Adenosine 5'-(tetrahydrogen triphosphate), 2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:216597 CAPLUS
DN 142:291323
TI Compositions and methods for the treatment of severe acute respiratory syndrome (SARS)
IN Hardee, Greg; Dellamary, Luis
PA Isis Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 217 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005020885	A2	20050310	WO 2004-US16196	20040521
	WO 2005020885	A3	20050804		

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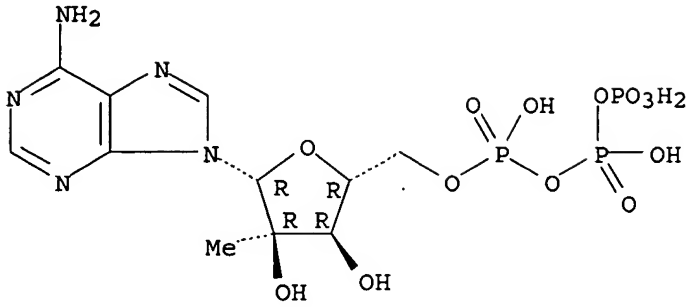
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

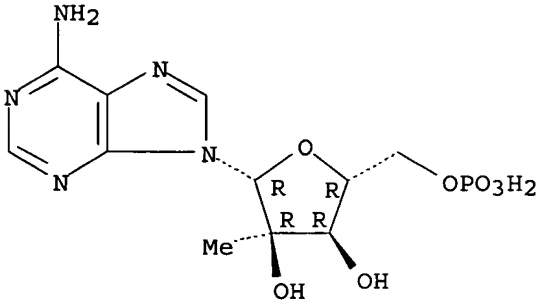
PRAI US 2003-472774P P 20030521
AB The invention provides compns. and methods for treating a coronavirus infection, especially a SARS CoV infection. The compns. comprise an antiviral nucleoside or mimetic thereof, or an antiviral antisense agent, in a form suitable for pulmonary or nasal delivery. The methods comprise administration to a patient in need thereof the effective amount of an antiviral composition by pulmonary or nasal instillation.
IT 374750-27-3 444019-70-9 847651-45-0
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. and methods for treatment of severe acute respiratory syndrome)
RN 374750-27-3 CAPLUS
CN Adenosine 5'-(tetrahydrogen triphosphate), 2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



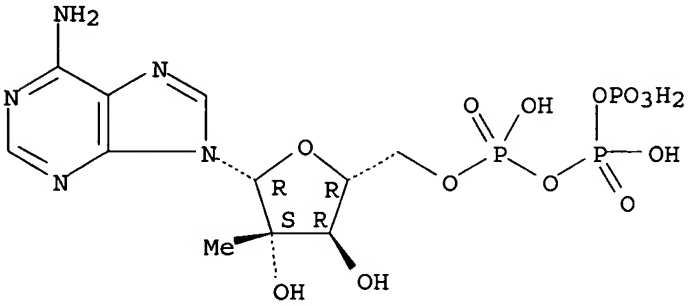
RN 444019-70-9 CAPLUS
CN 5'-Adenylic acid, 2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 847651-45-0 CAPLUS
CN 9H-Purin-6-amine, 9-[5-O-[hydroxy[[hydroxy(phosphonooxy)phosphinyl]oxy]pho
sphinyl]-2-C-methyl-β-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

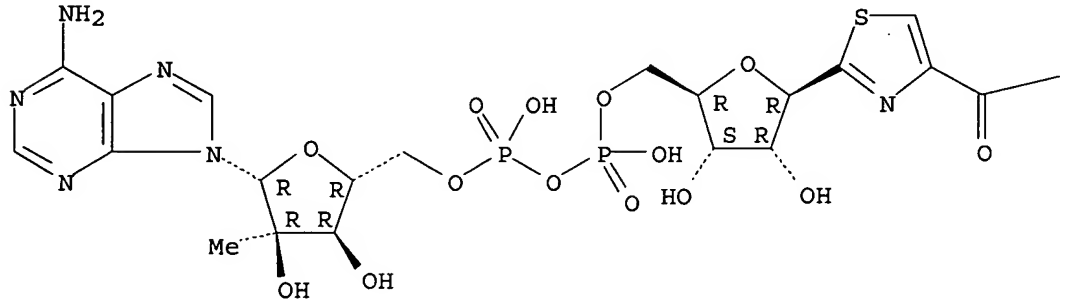


L4 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:150037 CAPLUS
DN 142:348134
TI Synthesis, conformational analysis, and biological activity of new analogues of thiazole-4-carboxamide adenine dinucleotide (TAD) as IMP dehydrogenase inhibitors
AU Franchetti, Palmarisa; Cappellacci, Loredana; Pasqualini, Michela; Petrelli, Riccardo; Jayaprakasan, Vetrichelvan; Jayaram, Hiremagalur N.; Boyd, Donald B.; Jain, Manojkumar D.; Grifantini, Mario
CS Dipartimento di Scienze Chimiche, Universita di Camerino, Camerino, 62032, Italy

SO Bioorganic & Medicinal Chemistry (2005), 13(6), 2045-2053
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier Ltd.
DT Journal
LA English
OS CASREACT 142:348134
AB Thiazole-4-carboxamide adenine dinucleotide (TAD) analogs T-2'-MeAD (1) and T-3'-MeAD (2) containing, resp., a Me group at the ribose 2'-C-, and 3'-C-position of the adenosine moiety, were prepared as potential selective human inosine monophosphate dehydrogenase (IMPDH) type II inhibitors. The synthesis of heterodinucleotides was carried out by CDI-catalyzed coupling reaction of unprotected 2'-C-methyl- or 3'-C-methyl-AMP with 2',3'-O-isopropylidene-tiazofurin 5'-monophosphate, and then deisopropylidenation. Biol. evaluation of dinucleotides 1 and 2 as inhibitors of recombinant human IMPDH type I and type II resulted in a good activity. Inhibition of both isoenzymes by T-2'-MeAD and T-3'-MeAD was noncompetitive with respect to NAD substrate. Binding of T-3'-MeAD was comparable to that of parent compound TAD, while T-2'-MeAD proved to be a weaker inhibitor. However, no significant difference was found in inhibition of the IMPDH isoenzymes. T-2'-MeAD and T-3'-MeAD were found to inhibit the growth of K562 cells (IC50 30.7 and 65.0 μM, resp.).
IT 867258-82-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis, conformational anal., and biol. activity of new analogs of thiazole-4-carboxamide adenine dinucleotide (TAD) as IMP dehydrogenase inhibitors)
RN 867258-82-0 CAPLUS
CN Adenosine 5'-(trihydrogen diphosphate), 2'-C-methyl-, P'→5'-ester with 2-β-D-ribofuranosyl-4-thiazolecarboxamide, diammonium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



●2 NH_3

PAGE 1-B

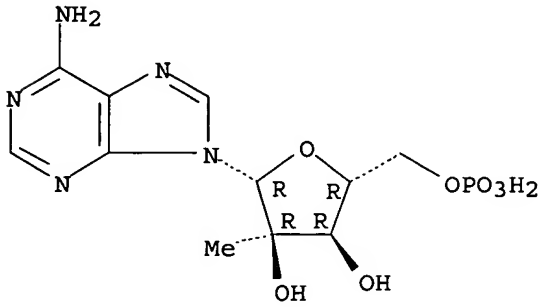
—NH_2

IT 444019-70-9P 849146-56-1P 849146-61-8P
867258-93-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis, conformational anal., and biol. activity of new analogs of thiazole-4-carboxamide adenine dinucleotide (TAD) as IMP dehydrogenase inhibitors)

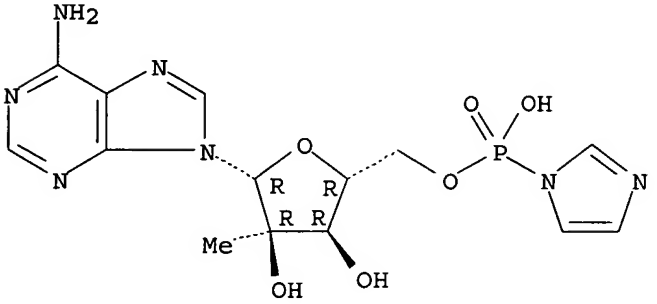
RN 444019-70-9 CAPLUS
CN 5'-Adenylic acid, 2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



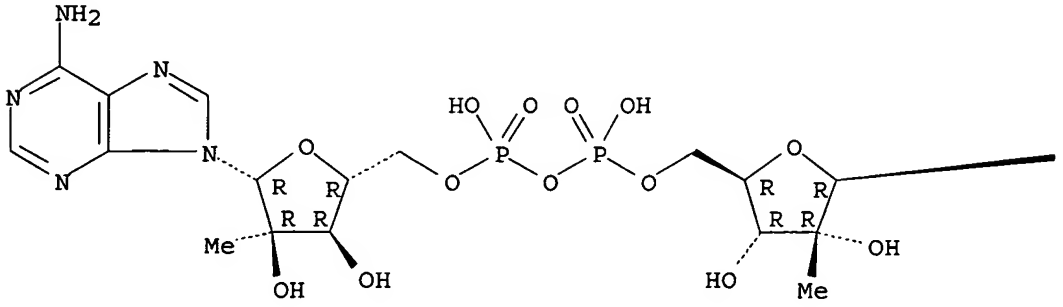
RN 849146-56-1 CAPLUS
CN Adenosine, 2'-C-methyl-, 5'-(hydrogen 1H-imidazol-1-ylphosphonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 849146-61-8 CAPLUS
CN Adenosine 5'-(trihydrogen diphosphate), 2'-C-methyl-, P'→5'-ester with 2'-C-methyladenosine (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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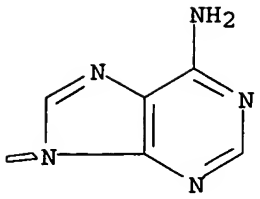
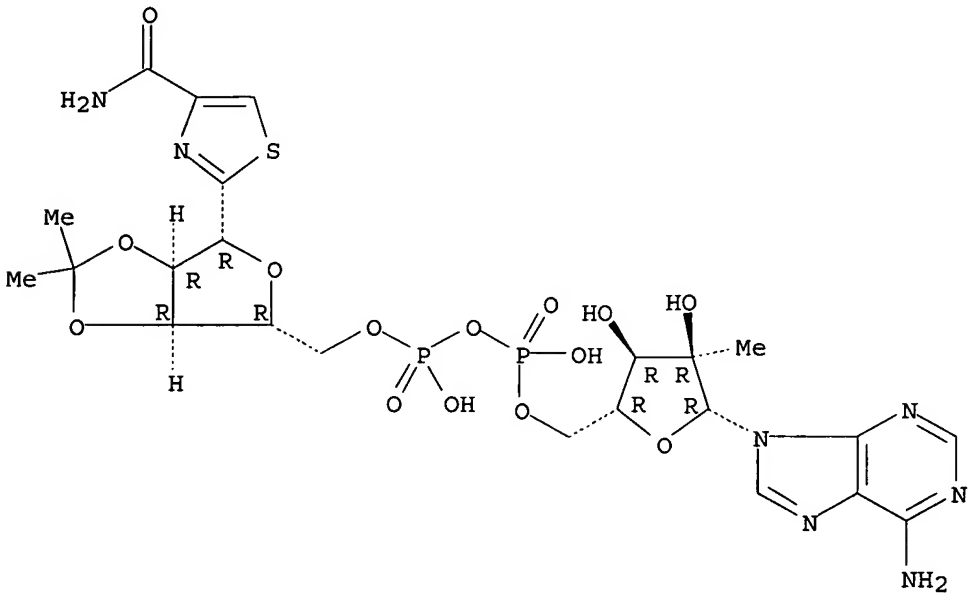


RN 867258-93-3 CAPLUS
CN Adenosine 5'-(trihydrogen diphosphate), 2'-C-methyl-, P'→5'-ester with 2-[2,3-O-(1-methylethylidene)-β-D-ribofuranosyl]-4-thiazolecarboxamide, diammonium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

●2 NH_3

RE.CNT 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:34765 CAPLUS
DN 142:94074
TI Preparation of modified fluorinated (2'R)-2'-deoxy-2'-fluoro-2'-C-methyl nucleoside analogs as antiviral agents
IN Clark, Jeremy
PA Pharmasset, Ltd., Barbados
SO PCT Int. Appl., 228 pp.
CODEN: PIXXD2
DT Patent
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005003147	A2	20050113	WO 2004-US12472	20040421
	WO 2005003147	A3	20050303		
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	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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	CA 2527657	AA	20050113	CA 2004-2527657	20040421
	US 2005009737	A1	20050113	US 2004-828753	20040421
	EP 1633766	A2	20060315	EP 2004-775900	20040421
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	WO 2004-US12472	W	20040421		

OS MARPAT 142:94074

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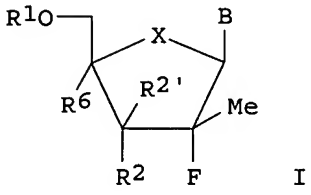


I

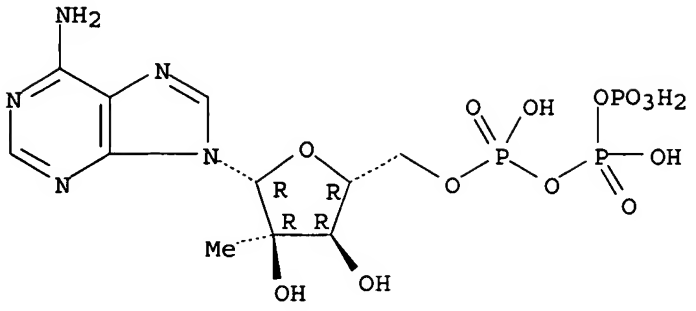
AB The disclosed invention provides nucleoside analogs I, wherein B is purine and pyrimidine nucleobase; X is O, S, CH2, Se, NH, N-alkyl, CHW, C(W)2; W is F, Cl, Br, iodo; R1 is H, phosphate, H-phosphonate, acyl, Ph, alkyl, carboxyalkylamino, sulfonate ester, peptide, amino acid, sugar reside; R2 and R2' are independently H, alkyl, alkenyl, alkynyl, vunyl, N3, CN, halogen, NO2, ester, alkoxy, thioalkyl, sulfoxide, sulfonyl; R6 is alkyl, CN, Me, OMe, OEt, CH2OH, CH2F, N3, CHCN, CH2N3, CH2NH2, CH2NHMe, CH2NMe2, alkylne; and methods of treating a Flaviviridae infection, including hepatitis C virus, West Nile Virus, yellow fever virus, and a rhinovirus infection in a host, including animals, and especially human, using a (2'R)-2'-deoxy-2'-fluoro-2'-C-Me nucleosides, or a pharmaceutically acceptable salt or prodrug thereof. Thus, (2'R)-2'-deoxy-2'-fluoro-2'-C-methylcytidine was prepared and tested as antiviral agent. The effects the nucleoside analogs tested on human bone marrow cells are reported. (2'R)-2'-deoxy-2'-fluoro-2'-C-methylcytidine shows activity against Rhinovirus, West Nile virus, Yellow Fever virus, and Dengue virus. Cytotoxicity and effect of nucleoside analogs on human bone marrow cells are reported.

IT 374750-27-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of modified fluorinated (2'R)-2'-deoxy-2'-fluoro-2'-C-Me nucleoside analogs as antiviral agents)

RN 374750-27-3 CAPLUS

CN Adenosine 5'-(tetrahydrogen triphosphate), 2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



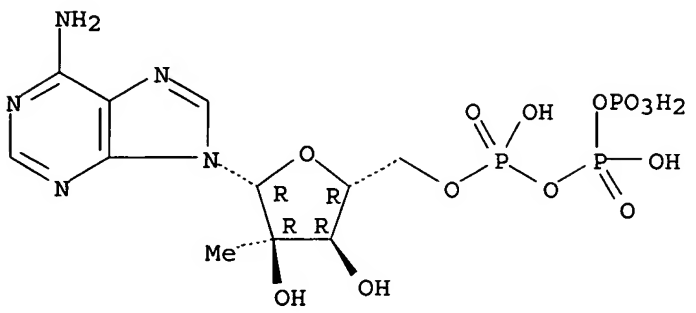
L4 ANSWER 7 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:1127101 CAPLUS
DN 142:49201
TI Inhibiting Coronaviridae viral replication and treating Coronaviridae viral infection with nucleoside compounds
IN Olsen, David B.; Tomassini, Joanne E.; Mao, Shi-Shan; Carroll, Steven S.
PA USA
SO U.S. Pat. Appl. Publ., 19 pp., which
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004259934	A1	20041223	US 2004-832945	20040427
PRAI	US 2003-467068P	P	20030501		
	US 2003-470658P	P	20030515		

OS MARPAT 142:49201
AB Infection by a Coronaviridae virus (e.g. a coronavirus) and/or illness due to a Coronaviridae virus are treated or protected against by administration of a therapeutically or prophylactically effective amount of certain nucleoside compds. and derivs. thereof, either alone or in a composition comprising the nucleoside compound or its derivative and a pharmaceutically acceptable carrier. In addition, replication of a Coronaviridae virus is inhibited by administration of the nucleoside compds. and derivs. thereof, either alone or in pharmaceutical compns. The nucleosides are particularly suitable for use in treating or prophylaxis of an infection by the SARS virus and/or in treating or prophylaxis of SARS, and for use in inhibiting replication of the SARS virus. The nucleoside compds. and derivs. can optionally be administered in combination with other agents active against the Coronaviridae virus and/or an illness due to the virus. The nucleoside compds. are also for use in the manufacture of medicaments for the inhibition of Coronaviridae virus replication, for the treatment or prophylaxis of Coronaviridae virus infection, and/or for the treatment or prophylaxis of an illness due to a Coronaviridae virus (e.g., the SARS virus). In addition, the compds. are for use as medicaments for the inhibition of Coronaviridae virus replication, for the treatment or prophylaxis of Coronaviridae virus infection, and/or for the treatment or prophylaxis of an illness due to a Coronaviridae virus. Compds. of the invention include e.g. 4-Amino-7-(2-C-methyl-β-D-ribofuranosyl)-7H-pyrrolo[2,3-d]pyrimidine (preparation described).
IT 374750-27-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(nucleoside compds. for inhibition of Coronaviridae viral replication and treating Coronaviridae viral infection)
RN 374750-27-3 CAPLUS

CN Adenosine 5'-(tetrahydrogen triphosphate), 2'-C-methyl- (9CI) (CA INDEX NAME)

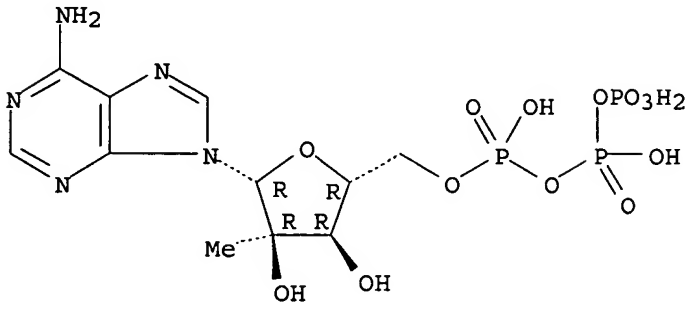
Absolute stereochemistry.



L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:848340 CAPLUS
DN 142:226
TI A 7-deaza-adenosine analog is a potent and selective inhibitor of hepatitis C virus replication with excellent pharmacokinetic properties
AU Olsen, David B.; Eldrup, Anne B.; Bartholomew, Linda; Bhat, Balkrishen; Bosserman, Michele R.; Ceccacci, Alessandra; Colwell, Lawrence F.; Fay, John F.; Flores, Osvaldo A.; Getty, Krista L.; Grobler, Jay A.; LaFemina, Robert L.; Markel, Eric J.; Migliaccio, Giovanni; Prhavc, Marija; Stahlhut, Mark W.; Tomassini, Joanne E.; MacCoss, Malcolm; Hazuda, Daria J.; Carroll, Steven S.
CS Department of Biological Chemistry, Merck Research Laboratories, West Point, PA, USA
SO Antimicrobial Agents and Chemotherapy (2004), 48(10), 3944-3953
CODEN: AMACCQ; ISSN: 0066-4804
PB American Society for Microbiology
DT Journal
LA English
AB Improved treatments for chronic hepatitis C virus (HCV) infection are needed due to the suboptimal response rates and deleterious side effects associated with current treatment options. The triphosphates of 2'-C-methyl-adenosine and 2'-C-methyl-guanosine were previously shown to be potent inhibitors of the HCV RNA-dependent RNA polymerase (RdRp) that is responsible for the replication of viral RNA in cells. Here we demonstrate that the inclusion of a 7-deaza modification in a series of purine nucleoside triphosphates results in an increase in inhibitory potency against the HCV RdRp and improved pharmacokinetic properties. Notably, incorporation of the 7-deaza modification into 2'-C-methyl-adenosine results in an inhibitor with a 20-fold-increased potency as the 5'-triphosphate in HCV RdRp assays while maintaining the inhibitory potency of the nucleoside in the bicistronic HCV replicon and with reduced cellular toxicity. In contrast, while 7-deaza-2'-C-methyl-GTP also displays enhanced inhibitory potency in enzyme assays, due to poor cellular penetration and/or metabolism, the nucleoside does not inhibit replication of a bicistronic HCV replicon in cell culture. 7-Deaza-2'-C-methyl-adenosine displays promising in vivo pharmacokinetics in three animal species, as well as an acute oral LD in excess of 2,000 mg/kg of body weight in mice. Taken together, these data demonstrate that 7-deaza-2'-C-methyl-adenosine is an attractive candidate for further investigation as a potential treatment for HCV infection.
IT 374750-27-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(a 7-deaza-adenosine analog is a potent and selective inhibitor of hepatitis C virus replication with excellent pharmacokinetic

properties)
RN 374750-27-3 CAPLUS
CN Adenosine 5'-(tetrahydrogen triphosphate), 2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

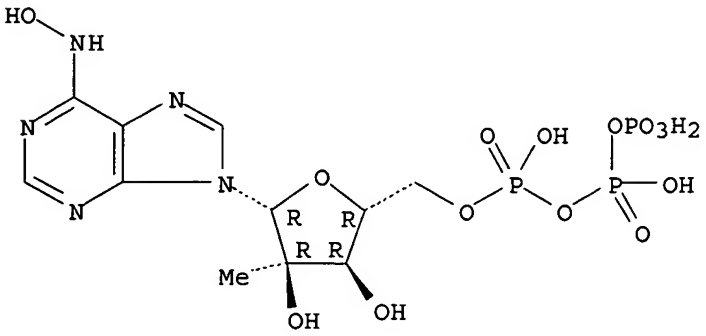
L4 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:290484 CAPLUS
DN 140:327061
TI Nucleoside derivatives for treating hepatitis C virus infection
IN Roberts, Christopher Don; Dyatkina, Natalia B.
PA Genelabs Technologies, Inc., USA
SO PCT Int. Appl., 119 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004028481	A2	20040408	WO 2003-US31433	20030930
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2499253	AA	20040408	CA 2003-2499253	20030930
	AU 2003279797	A1	20040419	AU 2003-279797	20030930
	EP 1572097	A2	20050914	EP 2003-773127	20030930
	EP 1572097	A3	20051207		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2006505537	T2	20060216	JP 2004-540353	20030930
	NO 2005001969	A	20050524	NO 2005-1969	20050422
PRAI	US 2002-415222P	P	20020930		
	US 2003-443169P	P	20030129		
	WO 2003-US31433	W	20030930		

OS MARPAT 140:327061
AB Nucleoside compns. and methods for treating hepatitis C virus infections. Thus, 9-(2'-C-methyl-β-D-ribofuranosyl)-6-methoxyaminopurine was prepared by the reaction of 6-chloro-9-(2'-C-methyl-β-D-ribofuranosyl)purine and methxylamine. This compound exhibited anti-hepatitis C activity by inhibiting HCV polymerase.

IT 677299-04-6P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(nucleoside derivs. for treating hepatitis C virus infection)
RN 677299-04-6 CAPLUS
CN Inosine 5'-(tetrahydrogen triphosphate), 2'-C-methyl-, oxime (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:2898 CAPLUS
DN 140:42424
TI Preparation of nucleoside derivatives as inhibitors of RNA-dependent RNA viral polymerase
IN Carroll, Steven S.; Olsen, David B.; Durette, Philippe L.; Bhat, Balkrishen; Dande, Prasad; Eldrup, Anne B.
PA Merck & Co., Inc., USA; Isis Pharmaceuticals, Inc.
SO PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004000858	A2	20031231	WO 2003-US19172	20030617
	WO 2004000858	A3	20050512		
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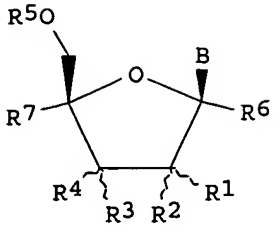
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2488534	AA	20031231	CA 2003-2488534	20030617
	AU 2003269890	A1	20040106	AU 2003-269890	20030617
	EP 1551421	A2	20050713	EP 2003-751777	20030617
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2005530843	T2	20051013	JP 2004-515870	20030617
PRAI	US 2002-390579P	P	20020621		
	WO 2003-US19172	W	20030617		

OS MARPAT 140:42424
GI



I

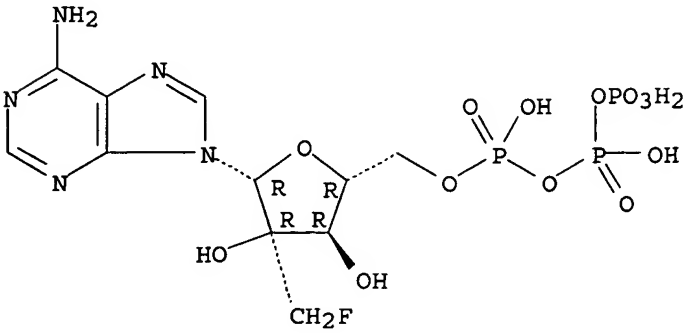
AB The present invention provides nucleoside compds. I, wherein B is nucleobase; R1 is fluoromethyl, difluoromethyl, trifluoromethyl; R2 is H, F, amino, OH, SH, alkoxy, alkylcarbonyloxy, alkyl; R3 and R4 are independently H, Cn, N3, halogen, OH, SH, amino, alkoxy, alkylcarconyloxy, alkenyl, alkynyl; R5 is H, alkylcarbonyl, P3O9H4, P2O6H3, phosphophonyl; R6 and R7 independently H, Me, hydroxymethyl, fluoromethyl; and certain derivs. thereof which are inhibitors of RNA-dependent RNA viral polymerase. These compds. are inhibitors of RNA-dependent RNA viral replication and are useful for the treatment of RNA-dependent RNA viral infection. They are particularly useful as inhibitors of hepatitis C virus (HCV) NS5B polymerase, as inhibitors of HCV replication, and/or for the treatment of hepatitis C infection. The invention also describes pharmaceutical compns. containing such nucleoside compds. alone or in combination with other agents active against RNA-dependent RNA viral infection, in particular HCV infection. Also disclosed are methods of inhibiting RNA-dependent RNA polymerase, inhibiting RNA-dependent RNA viral replication, and/or treating RNA-dependent RNA viral infection with the nucleoside compds. of the present invention. Thus, 2-amino-9-(2-C-fluoromethyl-β-D-ribofuranosyl)-3,9-dihydropurin-6-one was prepared and tested as inhibitor of RNA-dependent RNA viral polymerase. Title compds. tested in the HCV NS5B polymerase assay exhibited IC50's less than 100 μmol.

IT 636581-94-7P 636581-95-8P 636582-00-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nucleoside derivs. as inhibitors of RNA-dependent RNA viral polymerase)

RN 636581-94-7 CAPLUS

CN Adenosine 5'-(tetrahydrogen triphosphate), 2'-C-(fluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

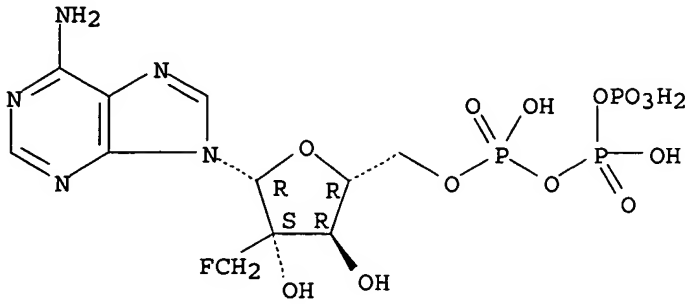


RN 636581-95-8 CAPLUS

CN 9H-Purin-6-amine, 9-[2-C-(fluoromethyl)-5-O-[hydroxy[[hydroxy(phosphonooxy

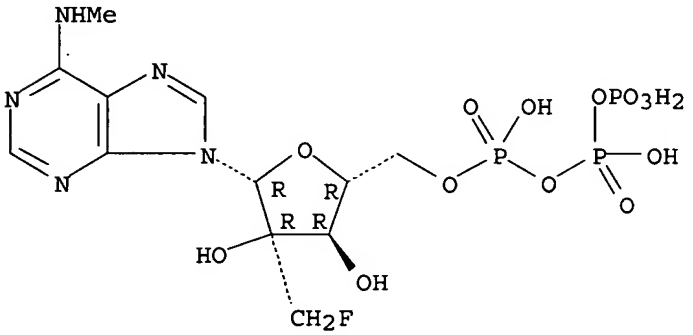
)phosphinyl]oxy]phosphinyl]-β-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 636582-00-8 CAPLUS
CN Adenosine 5'-(tetrahydrogen triphosphate), 2'-C-(fluoromethyl)-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:247410 CAPLUS
DN 139:111121
TI Inhibition of Hepatitis C Virus RNA Replication by 2'-Modified Nucleoside Analogs
AU Carroll, Steven S.; Tomassini, Joanne E.; Bosserman, Michele; Getty, Krista; Stahlhut, Mark W.; Eldrup, Anne B.; Bhat, Balkrishen; Hall, Dawn; Simcoe, Amy L.; LaFemina, Robert; Rutkowski, Carrie A.; Wolanski, Bohdan; Yang, Zhucheng; Migliaccio, Giovanni; De Francesco, Raffaele; Kuo, Lawrence C.; MacCoss, Malcolm; Olsen, David B.
CS Department of Biological Chemistry, Merck Research Laboratories, West Point, PA, 19486, USA
SO Journal of Biological Chemistry (2003), 278(14), 11979-11984
CODEN: JBCHA3; ISSN: 0021-9258
PB American Society for Biochemistry and Molecular Biology
DT Journal
LA English
AB The RNA-dependent RNA polymerase (NS5B) of hepatitis C virus (HCV) is essential for the replication of viral RNA and thus constitutes a valid target for the chemotherapeutic intervention of HCV infection. In this report, we describe the identification of 2'-substituted nucleosides as inhibitors of HCV replication. The 5'-triphosphates of 2'-C-methyladenosine and 2'-O-methylcytidine are found to inhibit NS5B-catalyzed RNA synthesis in vitro, in a manner that is competitive with substrate nucleoside triphosphate. NS5B is able to incorporate

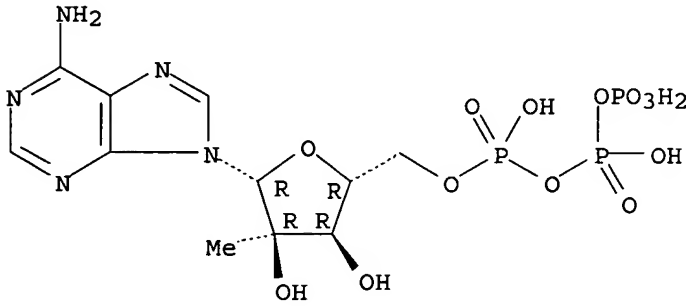
either nucleotide analog into RNA as determined with gel-based incorporation assays but is impaired in its ability to extend the incorporated analog by addition of the next nucleotide. In a subgenomic replicon cell line, 2-C-methyladenosine and 2'-O-methylcytidine inhibit HCV RNA replication. The 5'-triphosphates of both nucleosides are detected intracellularly following addition of the nucleosides to the media. However, significantly higher concns. of 2'-C-methyladenosine triphosphate than 2'-O-methylcytidine triphosphate are detected, consistent with the greater potency of 2'-C-methyladenosine in the replicon assay, despite similar inhibition of NS5B by the triphosphates in the in vitro enzyme assays. Thus, the 2'-modifications of natural substrate nucleosides transform these mols. into potent inhibitors of HCV replication.

IT 374750-27-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inhibition of hepatitis C virus RNA replication by 2'-modified nucleoside analogs)

RN 374750-27-3 CAPLUS

CN Adenosine 5'-(tetrahydrogen triphosphate), 2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:555629 CAPLUS

DN 137:125359

TI Preparation of nucleoside derivatives as inhibitors of RNA-dependent RNA viral polymerase

IN Carroll, Steven S.; Lafemina, Robert L.; Hall, Dawn L.; Himmelberger, Amy L.; Kuo, Lawrence C.; Maccoss, Malcolm; Olsen, David B.; Rutkowski, Carrie A.; Tomassini, Joanne E.; An, Haoyun; Bhat, Balkrishen; Bhat, Neelima; Cook, Phillip Dan; Eldrup, Anne B.; Guinosso, Charles J.; Prhavc, Marija; Prakash, Thazha P.

PA Merck & Co., Inc., USA; Isis Pharmaceuticals, Inc.

SO PCT Int. Appl., 235 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002057425	A2	20020725	WO 2002-US1531	20020118
	WO 2002057425	A3	20050421		

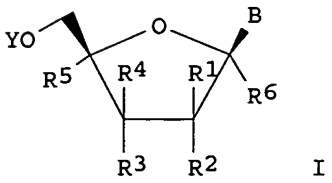
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,

UG, US, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

	CA 2433878	AA	20020725	CA 2002-2433878	20020118
	US 2002147160	A1	20021010	US 2002-52318	20020118
	US 6777395	B2	20040817		
	CN 1498221	A	20040519	CN 2002-806977	20020118
	JP 2004532184	T2	20041021	JP 2002-558479	20020118
	EP 1539188	A2	20050615	EP 2002-709095	20020118
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	US 2004072788	A1	20040415	US 2003-431657	20030507
	ZA 2003005078	A	20040521	ZA 2003-5078	20030630
	US 2004067901	A1	20040408	US 2003-688691	20031017
	US 2004110717	A1	20040610	US 2004-250873	20040116
	US 2005272676	A1	20051208	US 2005-200499	20050809
PRAI	US 2001-263313P	P	20010122		
	US 2001-282069P	P	20010406		
	US 2001-299320P	P	20010619		
	US 2001-344528P	P	20011025		
	US 2002-52318	A3	20020118		
	WO 2002-US1531	W	20020118		
	US 2003-431657	B1	20030507		

OS MARPAT 137:125359

GI

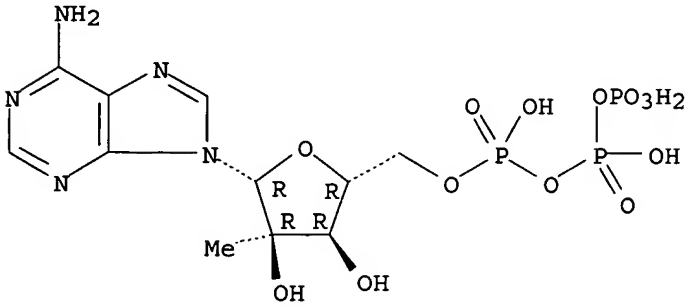


I

AB The present invention provides the preparation of nucleoside compds. I, wherein B is nucleobase, Y is H, alkylcarbonyl, phosphate; R1 is H, alkenyl, alkynyl, alkyl; R2 and R3 are independently H, OH, halogen, alkyl, alkoxy, alkenyloxy, alkylthio, alkylcarbonyloxy, aryloxycrbonyl, azido, amino, alkylamino; R1 and R2 together with the carbon atom to which they are attached form a 3- to 6-membered heterocycle; R4 is H, OH, SH, NH2, alkylamino, cycloalkylamino, halogen, alkyl, alkoxy, CF3; R5 and R6 are independently H, hydroxymethyl, Me, fluoromethyl; and certain derivs. thereof which are inhibitors of RNA-dependent RNA viral polymerase. These compds. are inhibitors of RNA-dependent RNA viral replication and are useful for the treatment of RNA-dependent RNA viral infection. They are particularly useful as inhibitors of hepatitis C virus (HCV) NS5B polymerase, as inhibitors of HCV replication, and/or for the treatment of hepatitis C infection. The invention also describes pharmaceutical compns. containing such nucleoside compds. alone or in combination with other agents active against RNA-dependent RNA viral infection, in particular HCV infection. Also disclosed are methods of inhibiting RNA-dependent RNA polymerase, inhibiting RNA-dependent RNA viral replication, and/or treating RNA-dependent RNA viral infection with the nucleoside compds. of the present invention. Thus, 4-amino-1-(2-C-methyl-β-D-ribofuranosyl)-1H-pyrazolo[3,4-d]pyrimidine was prepared as inhibitors of RNA-dependent RNA viral polymerase. Representative compds. tested in the HCV NS5B polymerase assay exhibited IC's less than 100 μM. The compds. of the present invention were also evaluated for their ability to affect the replication of Hepatitis C Virus RNA in cultured hepatoma (HuH-7) cells containing a sub-genomic HCV Replicon.

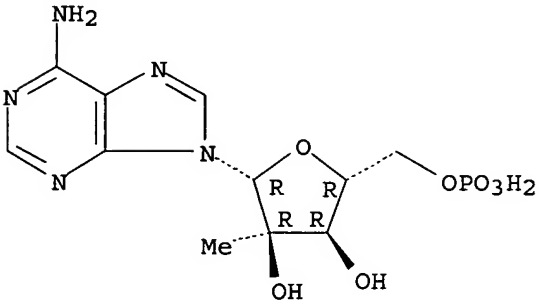
IT 374750-27-3P 444019-70-9P 444020-86-4P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nucleoside derivs. as inhibitors of RNA-dependent human RNA viral polymerase)
RN 374750-27-3 CAPLUS
CN Adenosine 5'-(tetrahydrogen triphosphate), 2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



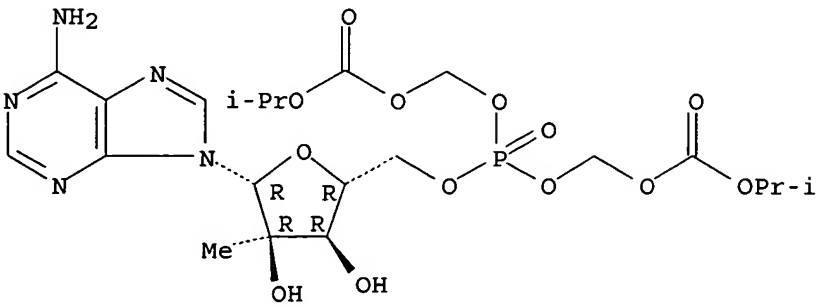
RN 444019-70-9 CAPLUS
CN 5'-Adenylic acid, 2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 444020-86-4 CAPLUS
CN 5'-Adenylic acid, 2'-C-methyl-, bis[[[(1-methylethoxy)carbonyl]oxy]methyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN

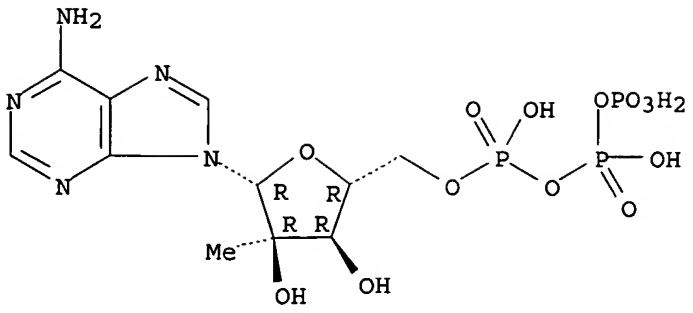
AN 2001:886155 CAPLUS
DN 136:590
TI Methods and compositions using modified nucleosides for treating flaviviruses and pestiviruses
IN Sommadossi, Jean-Pierre; Lacolla, Paolo
PA Novirio Pharmaceuticals Limited, Cayman I.; Universita Degli Studi Di Cagliari
SO PCT Int. Appl., 302 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001092282	A2	20011206	WO 2001-US16687	20010523
	WO 2001092282	A3	20020502		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2410579	AA	20011206	CA 2001-2410579	20010523
	EP 1294735	A2	20030326	EP 2001-952131	20010523
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	US 2003060400	A1	20030327	US 2001-863816	20010523
	US 6812219	B2	20041102		
	BR 2001011196	A	20040406	BR 2001-11196	20010523
	JP 2004510698	T2	20040408	JP 2002-500895	20010523
	NO 2002005600	A	20030117	NO 2002-5600	20021121
	ZA 2002010112	A	20040623	ZA 2002-10112	20021212
	US 2004063622	A1	20040401	US 2003-602693	20030620
	US 2004097462	A1	20040520	US 2003-602692	20030620
	US 2004102414	A1	20040527	US 2003-602694	20030620
PRAI	US 2000-207674P	P	20000526		
	US 2001-283276P	P	20010411		
	US 2001-863816	A3	20010523		
	WO 2001-US16687	W	20010523		

OS MARPAT 136:590
AB A method and composition are provided for treating a host infected with flavivirus or pestivirus, comprising administering an effective amount of a 1', 2' or 3'-modified nucleoside or a pharmaceutically acceptable salt or prodrug thereof.
IT 374750-27-3
RL: BSU (Biological study, unclassified); PKT (Pharmacokinetics); BIOL (Biological study)
(nucleoside derivs. for treating flaviviruses and pestiviruses)
RN 374750-27-3 CAPLUS
CN Adenosine 5'-(tetrahydrogen triphosphate), 2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

6,812,219 - phosphate esters -
column 109 - 110 wherein
R^1 = triphosphate, $R^2 = H = R^3$, $R^4 = CH_3$, $X = O$,
Base = adenine

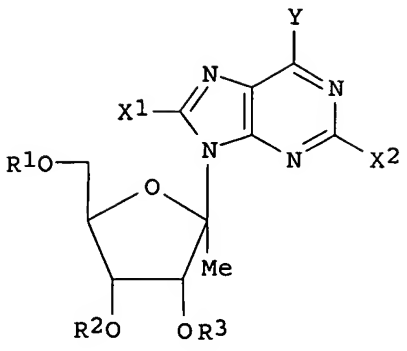


L4 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:868467 CAPLUS
DN 136:6296
TI Preparation of antiviral nucleosides and methods for treating hepatitis C virus
IN Sommadossi, Jean-Pierre; Lacolla, Paulo
PA Novirio Pharmaceuticals Limited, Cayman I.; Universita degli Studi di Cagliari
SO PCT Int. Appl., 296 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001090121	A2	20011129	WO 2001-US16671	20010523
	WO 2001090121	A3	20020502		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2409613	AA	20011129	CA 2001-2409613	20010523
	AU 2001074906	A5	20011203	AU 2001-74906	20010523
	US 2003050229	A1	20030313	US 2001-864078	20010523
	US 6914054	B2	20050705		
	EP 1292603	A2	20030319	EP 2001-941564	20010523
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2001011127	A	20030624	BR 2001-11127	20010523
	JP 2004533401	T2	20041104	JP 2001-586308	20010523
	NZ 522863	A	20050729	NZ 2001-522863	20010523
	EP 1669364	A2	20060614	EP 2006-75216	20010523
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO, CY, TR				
	NO 2002005627	A	20030106	NO 2002-5627	20021122
	ZA 2002010101	A	20040614	ZA 2002-10101	20021212
	US 2004097461	A1	20040520	US 2003-602691	20030620
	US 2004101535	A1	20040527	US 2003-602976	20030620
	US 2005124532	A1	20050609	US 2003-602142	20030620
	US 2005137161	A1	20050623	US 2003-602136	20030620
PRAI	US 2000-206585P	P	20000523		
	EP 2001-941564	A3	20010523		
	US 2001-864078	A1	20010523		
	WO 2001-US16671	W	20010523		

OS MARPAT 136:6296

GI



I

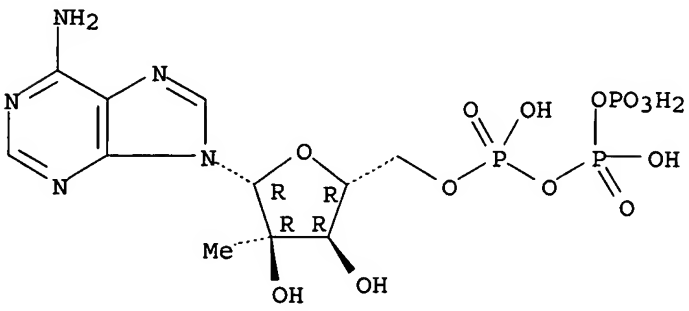
AB A method and composition for treating a host infected with hepatitis C comprising administering an effective hepatitis C treatment amount of a described 1'-, 2'- or 3'-modified nucleosides I, wherein : R1-R3 and R are independently H, phosphate (including mono, di- or triphosphate and a stabilized phosphate prodrug); acyl; alkyl; sulfonate ester including alkyl or arylalkyl sulfonyl including methanesulfonyl and benzyl, wherein the Ph group is optionally substituted with one or more substituents as described in the definition of aryl given herein; a lipid, including a phospholipid; an amino acid; a carbohydrate; a peptide; a cholesterol; or other pharmaceutically acceptable leaving group which when administered in vivo is capable of providing a compound wherein R1-R3 are independently H or phosphate; Y is hydrogen, bromo, chloro, fluoro, iodo, OR4, NR4R5 or SR4; X1 and X2 are independently selected from the group consisting of H, straight chained, branched or cyclic alkyl, CO-alkyl, CO-aryl, CO-alkoxyalkyl, chloro, bromo, fluoro, iodo, OR4, NR4R5 or SR4; and R4 and R5 are independently hydrogen, acyl, alkyl.or a pharmaceutically acceptable salt or prodrug thereof, is provided. Thus, I (R1-R3 = X1 = X2 = H, Y = NH2) was prepared and tested in Cynomolgus monkeys as antiviral agent. Oral bioavailability in monkeys, bone human bone marrow toxicity (IC50 > 10 μM), and mitochondrial toxicity, were reported .

IT 374750-27-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antiviral nucleosides and methods for treating hepatitis C virus)

RN 374750-27-3 CAPLUS

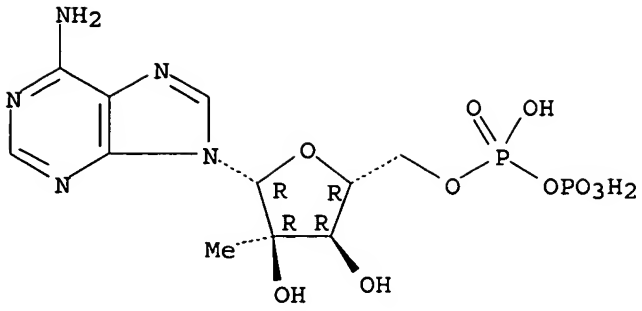
CN Adenosine 5'-(tetrahydrogen triphosphate), 2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



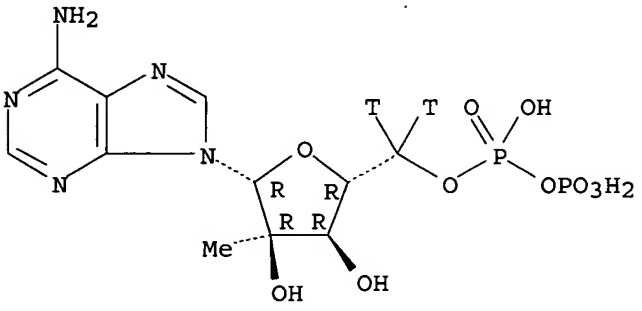
L4 ANSWER 15 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1996:169523 CAPLUS
DN 124:224779
TI Mechanism-based inhibition of ribonucleoside diphosphate reductase from corynebacterium nephridii by 2-C-methyladenosine diphosphate
AU McFarlan, Sara C.; Ong, Seng Poon; Hogenkamp, Harry P. C.
CS Department of Biochemistry, University of Minnesota, Minneapolis, MN, 55455, USA
SO Biochemistry (1996), 35(14), 4485-91
CODEN: BICHAW; ISSN: 0006-2960
PB American Chemical Society
DT Journal
LA English
AB The interaction of the adenosylcobalamin-dependent ribonucleoside diphosphate reductase of Corynebacterium nephridii with 2'-C-methyladenosine diphosphate (2'-C-methylADP) has been investigated in more detail [Ong, S. P., McFarlan, S. C., & Hogenkamp, H. P. C. (1993) Biochem. 32, 11397-11404]. This nucleotide analog partitioned between normal reduction to 2'-deoxy-2'-C-methyladenosine diphosphate and decomposition to adenine, 2-methylene-3(2H)-4-methylfuranone, and presumably pyrophosphate. Reaction of the reduced enzyme with 2'-C-methylADP caused the development of a chromophore at 318 nm that is characteristic of the modification of the enzyme by the furanone [Harris, G., Ator, M., & Stubbe, J. (1984) Biochem. 23, 5214-5225]. Incubation of [5'-3H2]-2'-C-methylADP with reduced reductase resulted in the covalent incorporation of the radiolabel into the protein and into aquocobalamin. A similar incubation of the enzyme, the labeled nucleotide analog, and dithiothreitol resulted in the formation of three radioactive hydrophilic compds. Mass spectroscopic anal. of one of these compds. showed the presence of 2-methylene-3(2H)-4-methylfuranone. 2'-Deoxy-2'-C-methylADP is a very effective promoter of the tritium exchange reaction between [5'-3H2]adenosylcobalamin and the solvent, confirming that the exchange reaction is an integral part of the overall reduction All these observations are consistent with the proposal that 2'-C-methylADP serves as a substrate and a mechanism-based inhibitor of the ribonucleotide reductase of C. nephridii, indicating that the enzyme is able to catalyze the conversion of the nucleotide analog to a 2'-deoxy-2'-C-methyl-3'-ketonucleotide that can collapse to the reactive 2-methylene-3(2H)-4-methylfuranone. Surprisingly, 2'-C-methylADP did not serve as either a substrate or an inhibitor of the ribonucleoside diphosphate reductase of Escherichia coli.
IT 150993-72-9
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(mechanism-based inhibition of ribonucleoside diphosphate reductase from Corynebacterium nephridii by 2-C-methyladenosine diphosphate)
RN 150993-72-9 CAPLUS
CN Adenosine 5'-(trihydrogen diphosphate), 2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 174753-96-9P
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Prepreparation); PROC (Process)
(preparation of tritiated 2-C-methyladenosine diphosphate for study of mechanism-based inhibition of ribonucleoside diphosphate reductase from Corynebacterium nephridii)
RN 174753-96-9 CAPLUS
CN Adenosine-5',5'-C-t2 5'-(trihydrogen diphosphate), 2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 16 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1993:620274 CAPLUS
DN 119:220274
TI 2'-C-Methyladenosine and 2'-C-methyluridine 5'-diphosphates are mechanism-based inhibitors of ribonucleoside diphosphate reductase from Corynebacterium nephridii
AU Ong, Seng Poon; McFarlan, Sara C.; Hogenkamp, Harry P. C.
CS Dep. Biochem., Univ. Minnesota, Minneapolis, MN, 55455, USA
SO Biochemistry (1993), 32(42), 11397-404
CODEN: BICHAW; ISSN: 0006-2960
DT Journal
LA English
AB The interaction of the adenosylcobalamin-dependent ribonucleoside diphosphate reductase of Corynebacterium nephridii with 2'-C-methyladenosine 5'-diphosphate (2'-MeADP) and 2'-C-methyluridine 5'-diphosphate (2'-MeUDP) has been investigated. The nucleotide analogs are converted to adenine and uracil, resp., suggesting that they may be mechanism-based inhibitors. In addition, both analogs generate nucleotides with properties expected for the 2'-deoxy-2'-C-methylnucleotides. The nucleoside obtained after enzymic dephosphorylation of the product formed from 2'-MeADP has been identified as 2'-deoxy-2'-C-methyladenosine by 1H NMR and mass spectroscopies. Adenine is the major product derived from 2'-MeADP, indicating that the degradation pathway predominates. During the reaction, the carbon-cobalt bond of the coenzyme is cleaved irreversibly

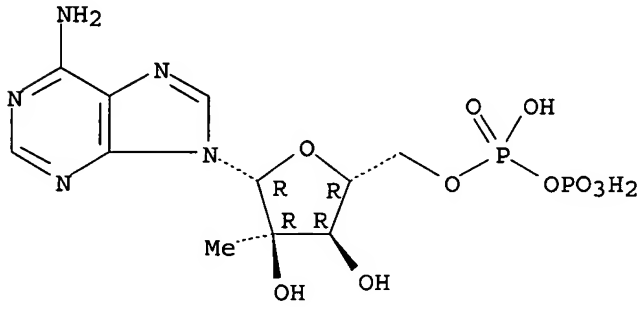
to yield 5'-deoxyadenosine and cob(II)alamin. 2'-MeADP is a potent competitive inhibitor of the reduction of the purine nucleotides ADP and GDP, while 2'-MeUDP competitively inhibits the reduction of the pyrimidine nucleotides UDP and CDP. 2'-MeADP is a very effective promoter of the tritium exchange reaction between [5'-3H2]adenosylcobalamin and the solvent, indicating that the exchange reaction is an integral part of the overall reduction All these observations are consistent with the reaction mechanism proposed by Stubbe and co-workers [Harris, G., Ashley, G. W., Robins, M. J., Tolman, R. L., & Stubbe, I. (1987) Biochem. 26, 1895-1902 (1987); Stubbe, J. (1990) J. Biol. Chemical 265, 5329-5332] in which they suggest that the partitioning between reduction and inactivation occurs at the level of the 2'-deoxy-3'-keto ribonucleotide intermediate.

IT 150993-72-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and ribonucleotide diphosphate reductase of Corynebacterium nephridii inhibition by, enzyme mechanism in relation to)

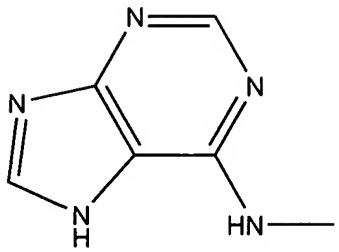
RN 150993-72-9 CAPLUS

CN Adenosine 5'-(trihydrogen diphosphate), 2'-C-methyl- (9CI) (CA INDEX NAME)

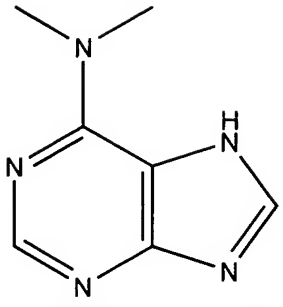
Absolute stereochemistry.



10/530,627



6-methylaminopurine



6-dimethylaminopurine